09/ 895,975

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NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2	Apr 08	"Ask CAS" for self-help around the clock
NEWS 3	Apr 09	BEILSTEIN: Reload and Implementation of a New Subject Area
NEWS 4	Apr 09	ZDB will be removed from STN
NEWS 5	Apr 19	US Patent Applications available in IFICDB, IFIPAT, and IFIUDB
NEWS 6	Apr 22	Records from IP.com available in CAPLUS, HCAPLUS, and ZCAPLUS
NEWS 7	Apr 22	BIOSIS Gene Names now available in TOXCENTER
NEWS 8	Apr 22	Federal Research in Progress (FEDRIP) now available
NEWS 9	Jun 03	New e-mail delivery for search results now available
NEWS 10	Jun 10	MEDLINE Reload
NEWS 11	Jun 10	PCTFULL has been reloaded
NEWS 12	Jul 02	FOREGE no longer contains STANDARDS file segment
NEWS 13	Jul 22	USAN to be reloaded July 28, 2002; saved answer sets no longer valid
NEWS 14	Jul 29	Enhanced polymer searching in REGISTRY
NEWS 15	Jul 30	NETFIRST to be removed from STN
NEWS 16	Aug 08	CANCERLIT reload
NEWS 17	Aug 08	PHARMAMarketLetter(PHARMAML) - new on STN
NEWS 18	Aug 08	NTIS has been reloaded and enhanced
NEWS 19	Aug 19	Aquatic Toxicity Information Retrieval (AQUIRE) now available on STN
NEWS 20	Aug 19	IFIPAT, IFICDB, and IFIUDB have been reloaded
NEWS 21	Aug 19	The MEDLINE file segment of TOXCENTER has been reloaded
NEWS 22	Aug 26	Sequence searching in REGISTRY enhanced
NEWS 23	Sep 03	JAPIO has been reloaded and enhanced
NEWS 24	Sep 16	Experimental properties added to the REGISTRY file
NEWS 25	Sep 16	Indexing added to some pre-1967 records in CA/CAPLUS
NEWS 26	Sep 16	CA Section Thesaurus available in CAPLUS and CA
NEWS 27	Oct 01	CASREACT Enriched with Reactions from 1907 to 1985
NEWS 28	Oct 21	EVENTLINE has been reloaded
NEWS 29	Oct 24	BEILSTEIN adds new search fields
NEWS 30	Oct 24	Nutraceuticals International (NUTRACEUT) now available on STN
NEWS 31	Oct 25	MEDLINE SDI run of October 8, 2002
NEWS 32	Nov 18	DKILIT has been renamed APOLLIT
NEWS 33	Nov 25	More calculated properties added to REGISTRY
NEWS 34	Dec 02	TIBKAT will be removed from STN
NEWS 35	Dec 04	CSA files on STN
NEWS 36	Dec 17	PCTFULL now covers WP/PCT Applications from 1978 to date
NEWS 37	Dec 17	TOXCENTER enhanced with additional content
NEWS 38	Dec 17	Adis Clinical Trials Insight now available on STN
NEWS 39	Dec 30	ISMEC no longer available

NEWS EXPRESS	December 31 CURRENT WINDOWS VERSION IS V6.01a, CURRENT MACINTOSH VERSION IS V6.0a(ENG) AND V6.0Ja(JP), AND CURRENT DISCOVER FILE IS DATED 01 OCTOBER 2002
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

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* * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 15:04:48 ON 06 JAN 2003

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 15:05:00 ON 06 JAN 2003
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 3 JAN 2003 HIGHEST RN 478133-28-7
DICTIONARY FILE UPDATES: 3 JAN 2003 HIGHEST RN 478133-28-7

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

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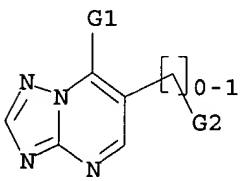
L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 C,N,Cy

G2 Hy,Ak,Ph

09/ 895,975

Structure attributes must be viewed using STN Express query preparation.

=> s l1 ful
FULL SEARCH INITIATED 15:05:24 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 12937 TO ITERATE

100.0% PROCESSED 12937 ITERATIONS 589 ANSWERS
SEARCH TIME: 00.00.01

L2 589 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 148.15 148.36

FILE 'CAPLUS' ENTERED AT 15:05:33 ON 06 JAN 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE COVERS 1907 - 6 Jan 2003 VOL 138 ISS 2
FILE LAST UPDATED: 5 Jan 2003 (20030105/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

=> s l2
L3 110 L2

=> d l3 1- ibib abs fhitstr
YOU HAVE REQUESTED DATA FROM 110 ANSWERS - CONTINUE? Y/(N):y

L3 ANSWER 1 OF 110 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:882068 CAPLUS
DOCUMENT NUMBER: 137:364890
TITLE: Use of triazolopyrimidine derivatives as microbicides for technical materials and wood preservatives
INVENTOR(S): Bruns, Rainer; Kugler, Martin; Jaetsch, Thomas; Elbe, Hans-Ludwig; Kuhnt, Dietmar; Gebauer, Olaf; Rieck, Heiko
PATENT ASSIGNEE(S): Bayer Ag, Germany
SOURCE: Ger. Offen., 10 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German

09/ 895,975

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

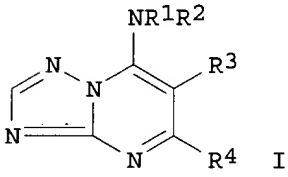
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10124208	A1	20021121	DE 2001-10124208	20010518
WO 2002094020	A1	20021128	WO 2002-EP4965	20020506

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2002198222	A1	20021226	US 2002-147224	20020516

PRIORITY APPLN. INFO.: DE 2001-10124208 A 20010518
OTHER SOURCE(S): MARPAT 137:364890
GI

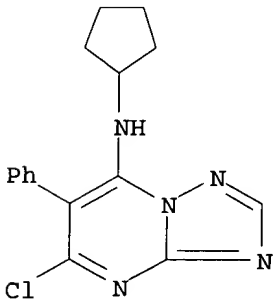


I

AB The triazolopyrimidine derivs. I [R1 = alkyl, alkenyl, alkynyl or cycloalkyl; R2 = H or alkyl; R1NR20 = (un)substituted heterocyclyl; R3 = (un)substituted alkyl; R4 = H or halo] and their salts N-oxides or isomers, are used for the microbicidal protection of tech. materials and as wood preservatives.

IT **150987-39-6**
RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)
(microbicide for tech. materials and wood preservative)

RN 150987-39-6 CAPLUS
CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-N-cyclopentyl-6-phenyl- (9CI) (CA INDEX NAME)



L3 ANSWER 2 OF 110 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:831741 CAPLUS
DOCUMENT NUMBER: 137:325435

09/ 895,975

TITLE: Preparation of 7-amino[1,2,4]triazolo[1,5-a]pyrimidines as agricultural bactericides and fungicides

INVENTOR(S): Gebauer, Olaf; Greul, Joerg Nico; Heinemann, Ulrich; Elbe, Hans-Ludwig; Krueger, Bernd-Wieland; Dunkel, Ralf; Voerste, Arnd; Ebbert, Ronald; Mauler-Machnik, Astrid; Wachendorff-Neumann, Ulrike; Kuck, Karl-Heinz; Kitagawa, Yoshinori

PATENT ASSIGNEE(S): Bayer AG, Germany

SOURCE: Ger. Offen., 16 pp.
CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10121101	A1	20021031	DE 2001-10121101	20010427
WO 2002088125	A2	20021107	WO 2002-EP4187	20020416

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: DE 2001-10121101 A 20010427

OTHER SOURCE(S): MARPAT 137:325435

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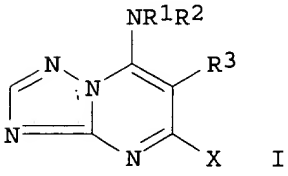


I

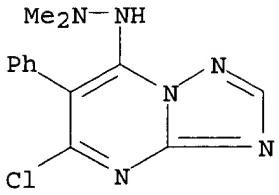
AB Title compds. [I; R1 = (substituted) alkoxy, alkenyloxy, alkynyloxy, cycloalkyloxy, alkylamino, dialkylamino, alkenylamino, alkynylamino, cycloalkylamino, N-cycloalkyl-N-alkylamino, alkylideneamino, SR4; R4 = (substituted) alkyl, alkenyl, alkynyl, cycloalkyl; R2 = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl; R3 = (substituted) aryl; X = halo], were prepd. as agricultural bactericides and fungicides (no data). Thus, a mixt. of 5,7-dichloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine, tert-butylhydroxylamine hydrochloride, and Et3N in CH2Cl2 was stirred 1 day at 40.degree. and 1 day at room temp. to give 64% 7-(tert-butoxyamino)-5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine.

IT **473266-39-6P**
RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of aminotriazolopyrimidines as agricultural bactericides and fungicides)

RN 473266-39-6 CAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidine, 5-chloro-7-(2,2-dimethylhydrazino)-6-

phenyl- (9CI) (CA INDEX NAME)

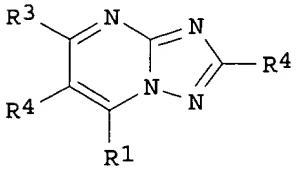


L3 ANSWER 3 OF 110 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:637565 CAPLUS
DOCUMENT NUMBER: 137:185499
TITLE: Preparation of triazolopyrimidines as thrombin inhibitors
INVENTOR(S): Williams, Peter D.; Coburn, Craig; Burgey, Christopher; Morrissette, Matthew M.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 184 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002064211	A1	20020822	WO 2002-US4654	20020205

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2001-267813P P 20010209
OTHER SOURCE(S): MARPAT 137:185499
GI



I

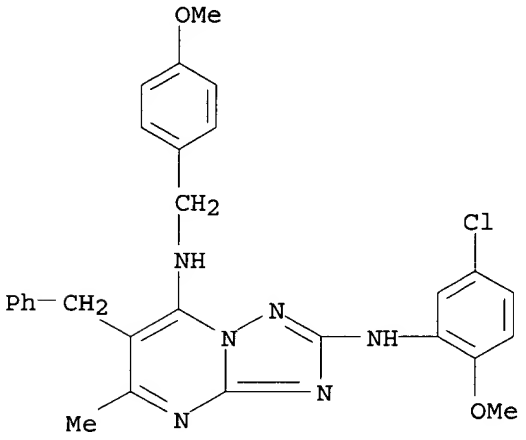
AB Title compds. [I; R1 = H, halo, OH, NH(CH2)nR5, NHCH2CF2R5, etc.; n = 1-3; R2 = H, (CH2)mR6, SO2R6; m = 0-2; R3 = H, alkyl, cycloalkyl, CF3; R2R3 = atoms to form a 5-7 membered nonheterocyclic ring; R4 = CH2R7, NH(CH2)mR7; R5 = H, pyridine oxide, tetrahydrothiophene dioxide, (substituted) (hetero)cyclyl, etc.; R6 = pyridine oxide, (substituted) (hetero)cyclyl, etc.; R7 = (substituted) Ph, pyridyl], were prepd. Thus, 3-(2-methyl-5-chlorophenylamino)-5-amino-1,2,4-triazole (prepn. given) and Et acetoacetate in HOAc were heated to reflux for 18 h. to give 2-(2-methyl-5-chlorophenylamino)-5-methyl-7-hydroxy-1,2,4-triazolo[1,5-

a]pyrimidine. The latter was refluxed 1 h with POCl3 to give the 7-chloro deriv. which was heated with 2-(2-pyridyl)ethylamine at 100.degree. for 30 min. to give 2-(2-methyl-5-chlorophenylamino)-5-methyl-7-[2-(2-pyridyl)ethylamino]-1,2,4-triazolo[1,5-a]pyrimidine dihydrochloride (II). I inhibited thrombin with IC50<24 nM. II drug compns. are given.

IT **450398-77-3P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compd.; prepn. of triazolopyrimidines as thrombin inhibitors)

RN 450398-77-3 CAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidine-2,7-diamine, N2-(5-chloro-2-methoxyphenyl)-N7-[(4-methoxyphenyl)methyl]-5-methyl-6-(phenylmethyl)-(9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 4 OF 110 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:487564 CAPLUS
DOCUMENT NUMBER: 137:47222
TITLE: Preparation of aminotriazolopyrimidines as microbicides and pesticides.
INVENTOR(S): Gebauer, Olaf; Elbe, Hans-Ludwig; Henrich, Marielouise; Marhold, Albrecht; Wachendorff-Neumann, Ulrike; Mauler-Machnik, Astrid; Kuck, Karl-Heinz; Voerste, Arnd; Kitagawa, Yoshinori; Heinemann, Ulrich; Hilgers, Petra; Pleschke, Axel
PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 61 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002050077	A2	20020627	WO 2001-EP14415	20011207

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA,

UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

DE 10063115	A1	20020627	DE 2000-10063115	20001218
AU 2002031676	A5	20020701	AU 2002-31676	20011207

PRIORITY APPLN. INFO.: DE 2000-10063115 A 20001218
WO 2001-EP14415 W 20011207

OTHER SOURCE(S): MARPAT 137:47222

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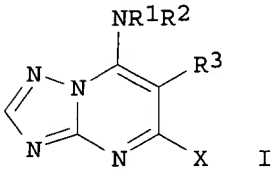

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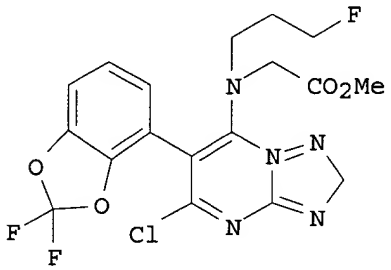


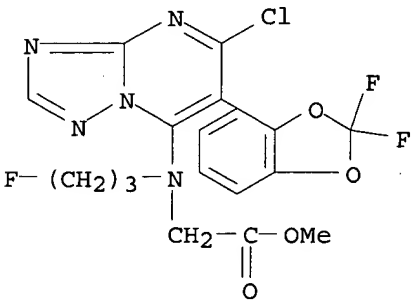
II

AB Title compds. [I; R1, R2 = (substituted) alkyl, alkenyl, alkynyl; R3 = (substituted) heterocyclyl, alkyl; X = halo], were prepd. as microbicides and pesticides (no data). Thus, 5,7-dichloro-6-(2,2-difluoro-1,2-benzodioxol-4-yl)-1,2,4-triazolo[1,5-a]pyrimidine, (3-fluoropropyl)(methoxycarbonylmethyl)amine, and K2CO3 were stirred 16 h in MeCN to give 64.8% title compd. (II).

IT **438527-54-9P**
RL: AGR (Agricultural use); BSU (Biological study, unclassified); BUU (Biological use, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of aminotriazolopyrimidines as microbicides and pesticides)

RN 438527-54-9 CAPLUS

CN Glycine, N-[5-chloro-6-(2,2-difluoro-1,3-benzodioxol-4-yl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-N-(3-fluoropropyl)-, methyl ester (9CI) (CA INDEX NAME)



L3 ANSWER 5 OF 110 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:391719 CAPLUS

DOCUMENT NUMBER: 136:401776

TITLE: Preparation of preventive or therapeutic medicines for diabetes containing fused-heterocycle compounds such as pyrazolopyrimidines

INVENTOR(S): Kato, Fuminori; Kimura, Hirohiko; Omatsu, Masato;

09/ 895,975

	Yamamoto, Kazuhiro; Miyamoto, Ryuji
PATENT ASSIGNEE(S):	Ishihara Sangyo Kaisha, Ltd., Japan
SOURCE:	PCT Int. Appl., 102 pp.
	CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	Japanese
FAMILY ACC. NUM. COUNT:	1

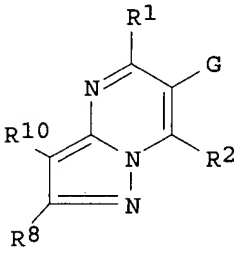
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002040485	A1	20020523	WO 2001-JP10061	20011116
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
JP 2002212076	A2	20020731	JP 2001-346339	20011112
AU 2002015223	A5	20020527	AU 2002-15223	20011116

PRIORITY APPLN. INFO.:	JP 2000-351764	A	20001117
	WO 2001-JP10061	W	20011116

OTHER SOURCE(S): CASREACT 136:401776; MARPAT 136:401776

GI



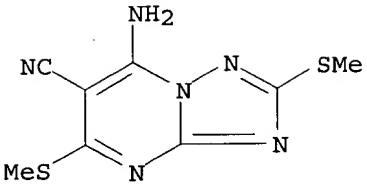
I

AB The title compds. I [G is CN, NO2, etc.; R1 is halogeno, etc.; R2 is halogeno, optionally substituted amino, etc.; and R8 and R10 are each independently hydrogen, halogeno, or alkyl] are prepd. Processes for prepg. I are disclosed. Compds. of this invention at 50 mg/kg orally gave statistically significant decreases of blood sugar in diabetic mice.

IT **429694-97-3P**
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of preventive or therapeutic medicines for diabetes contg. fused-heterocycle compds. or their salts)

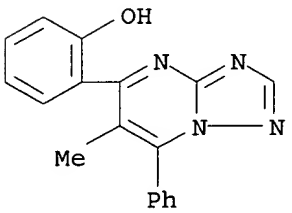
RN 429694-97-3 CAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidine-6-carbonitrile, 7-amino-2,5-bis(methylthio)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 6 OF 110 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:283039 CAPLUS
DOCUMENT NUMBER: 137:140450
TITLE: New oxidation-reduction transformation of derivatives of 1,10b-dihydro-1H-pyrazolo[1,5-c]-1,3-benzoxazine and 7,12-dihydro-6H-[1]benzopyrano[4,3-d]-1,2,4-triazolo[1,5-a]pyrimidine
AUTHOR(S): Desenko, S. M.; Chernenko, V. N.; Orlov, V. D.; Musatov, V. I.
CORPORATE SOURCE: Institute for Monocrystals, National Academy of Sciences of Ukraine, Kharkov, 61001, Ukraine
SOURCE: Chemistry of Heterocyclic Compounds (New York, NY, United States)(Translation of Khimiya Geterotsiklicheskikh Soedinenii) (2001), 37(10), 1312-1313
CODEN: CHCCAL; ISSN: 0009-3122
PUBLISHER: Kluwer Academic/Consultants Bureau
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:140450
AB Oxidn.-redn. transformation of derivs. of 1,10b-dihydro-1H-pyrazolo[1,5-c]-1,3-benzoxazine and 7,12-dihydro-6H-[1]benzopyrano[4,3-d]-1,2,4-triazolo[1,5-a]pyrimidine was investigated. E.g., treating 1,10b-dihydro-1H-pyrazolo[1,5-c]-1,3-benzoxazine with KOH in DMSO-DMF gave reductive opening of the ring and dehydrogenation of the fragment.
IT **381679-46-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(oxidn.-redn. transformation of derivs. of 1,10b-dihydro-1H-pyrazolo[1,5-c]-1,3-benzoxazine and 7,12-dihydro-6H-[1]benzopyrano[4,3-d]-1,2,4-triazolo[1,5-a]pyrimidine)
RN 381679-46-5 CAPLUS
CN Phenol, 2-(6-methyl-7-phenyl[1,2,4]triazolo[1,5-a]pyrimidin-5-yl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 7 OF 110 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:31452 CAPLUS

09/ 895,975

DOCUMENT NUMBER: 136:96032
TITLE: Substituted triazolopyrimidines as anticancer agents
INVENTOR(S): Schmitt, Mark R.; Kirsch, Donald R.; Harris, Jane E.; Beyer, Carl F.; Pees, Klaus-Juergen; Carter, Paul; Pfrengle, Waldemar; Albert, Guido
PATENT ASSIGNEE(S): American Home Products Corporation, USA
SOURCE: PCT Int. Appl., 405 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

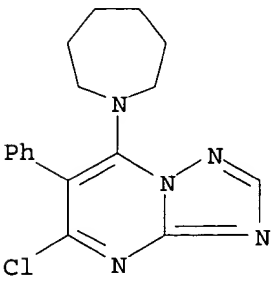
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002002563	A2	20020110	WO 2001-US20672	20010628
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001073062	A5	20020114	AU 2001-73062	20010628
US 2002068744	A1	20020606	US 2001-895975	20010629

PRIORITY APPLN. INFO.: US 2000-215585P P 20000630
WO 2001-US20672 W 20010628
OTHER SOURCE(S): MARPAT 136:96032

AB A method is provided for treating or inhibiting the growth of cancerous tumor cells and assocd. diseases in a mammal in need thereof which comprises administering to the mammal an effective amt. of a substituted triazolopyrimidine deriv. or a pharmaceutically acceptable salt thereof. Also provided is a method for treating or inhibiting the growth of cancerous tumor cells and assocd. diseases in a mammal in need thereof by interacting with tubulin and microtubules and promoting microtubule polymn. which comprises administering to the mammal an effective amt. of a substituted triazolopyrimidine deriv. or a pharmaceutically acceptable salt thereof.

IT **187233-89-2**
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(triazolopyrimidine derivs. as anticancer agents)

RN 187233-89-2 CAPLUS
CN [1,2,4]Triazolo[1,5-a]pyrimidine, 5-chloro-7-(hexahydro-1H-azepin-1-yl)-6-phenyl- (9CI) (CA INDEX NAME)

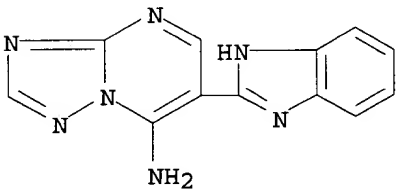


L3 ANSWER 8 OF 110 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:29417 CAPLUS
DOCUMENT NUMBER: 136:325484
TITLE: A mild and efficient synthesis of new benzimidazole derivatives via a one-pot reaction. An addition versus condensation reaction
AUTHOR(S): El Latif, Fawi M. Abd; Khalil, Mohamed A.; Helmy, Islam; Solieman, Hausien A.
CORPORATE SOURCE: Chemistry Department, Faculty of Science, South Valley University, Aswan, Egypt
SOURCE: Heterocyclic Communications (2001), 7(5), 485-492
CODEN: HCOMEX; ISSN: 0793-0283
PUBLISHER: Freund Publishing House Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB New polyfunctional benzimidazole derivs. of pharmaceutical interest were prepd. starting from 2-cyanomethylbenzimidazole-2,2-dicarboxaldehyde, which reacts easily with different active methylene compds. and nucleophilic reagents. The addn. predominantly lead to the cyclic products in competition with the condensation reaction.

IT **392665-67-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(one-pot prepn. of benzimidazoles)
RN 392665-67-7 CAPLUS
CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 6-(1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 9 OF 110 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:779593 CAPLUS
DOCUMENT NUMBER: 136:167348
TITLE: Synthesis of polycyclic nitrogen-containing heterocyclic [1]: one pot formation of 1,6-naphthyridine ring system by reaction of amino-cyano-methylthio-heterocycles with dialkyl acetylenedicarboxylates
AUTHOR(S): Tominaga, Yoshinori; Nomoto, Kenichi; Yoshioka, Noriko
CORPORATE SOURCE: Faculty of Pharmaceutical Sciences, Nagasaki University, Nagasaki, 852-8521, Japan
SOURCE: Journal of Heterocyclic Chemistry (2001), 38(5), 1135-1141
CODEN: JHTCAD; ISSN: 0022-152X
PUBLISHER: HeteroCorporation
DOCUMENT TYPE: Journal
LANGUAGE: English

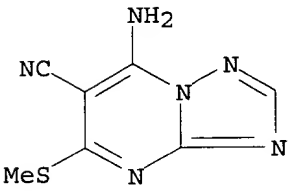
AB Reaction of 3-amino-3-methylthio-2-cyanoacrylonitrile [[amino(methylthio)methylene]propanedinitrile] with excess di-Me acetylenedicarboxylate(DMAD) in the presence of potassium carbonate in DMSO gave a novel tricyclic heterocycle, hexamethyl 1H-1,4,7-triazaphenalene-2,3,5,6,8,9-hexacarboxylate [I; 1H-pyrido[2,3,4-de][1,6]naphthyridine-2,3,5,6,8,9-hexacarboxylic acid hexamethyl ester]. When one equiv. of DMAD was used in this reaction, 4-amino-5-cyano-6-

(methylthio)-2,3-Pyridinedicarboxylic acid di-Me ester, a key intermediate of I, was obtained. The compds. thus prepd. included derivs. of 1H-pyrimido[4,5,6-de][1,6]naphthyridine, 1H-[1,2,4]triazolo[1',5':1,2]pyrimido[4,5,6-de][1,6]naphthyridine, 4H-pyrazolo[1',5':1,2]pyrimido[4,5,6-de][1,6]naphthyridine, 4H-pyrazolo[1',5':1,6]pyrido[4,3,2-de][1,6]naphthyridine and 4H-pyrido[2,3,4-de]pyrimido[4,5-b][1,6]naphthyridine.

IT **98190-26-2**, 7-Amino-5-(methylthio)[1,2,4]triazolo[1,5-a]pyrimidine-6-carbonitrile
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of fused naphthyridine derivs. from acetylenedicarboxylates and [amino(methylthio)methylene]propanedinitrile)

RN 98190-26-2 CAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidine-6-carbonitrile, 7-amino-5-(methylthio)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 10 OF 110 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:779591 CAPLUS

DOCUMENT NUMBER: 136:200155

TITLE: Synthesis of pyrazolo[1,5-a]-, 1,2,4-triazolo[1,5-a]- and imidazo[1,2-a]pyrimidines related to zaleplon, a new drug for the treatment of insomnia

AUTHOR(S): Mustazza, Carlo; Del Giudice, Maria Rosaria; Borioni, Anna; Gatta, Franco

CORPORATE SOURCE: Laboratorio di Chimica del Farmaco, Istituto Superiore di Sanita, Rome, 00161, Italy

SOURCE: Journal of Heterocyclic Chemistry (2001), 38(5), 1119-1129
CODEN: JHTCAD; ISSN: 0022-152X

PUBLISHER: HeteroCorporation

DOCUMENT TYPE: Journal

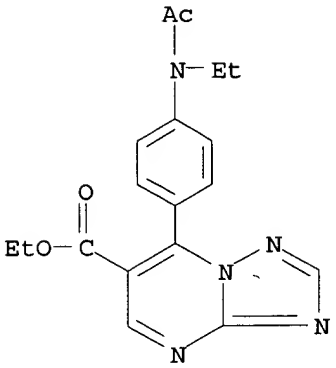
LANGUAGE: English

AB The prepn. of some pyrazolo[1,5-a]-, 1,2,4-triazolo[1,5-a]- and imidazo[1,2-a]-pyrimidines substituted on the pyrimidine moiety by a 4-[(N-acetyl-N-ethyl)amino]phenyl group is described. A new synthesis of related benzo[h]pyrazolo[1,5-a]-, benzo[h]pyrazolo[5,1-b]- and benzo[h]1,2,4-triazolo[1,5-a]-quinazolines is also reported.

IT **400759-49-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of pyrazolo[1,5-a]-, 1,2,4-triazolo[1,5-a]- and imidazo[1,2-a]pyrimidines and benzopyrazolo- and benzotriazoloquinazolines)

RN 400759-49-1 CAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidine-6-carboxylic acid, 7-[4-(acetylethylamino)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



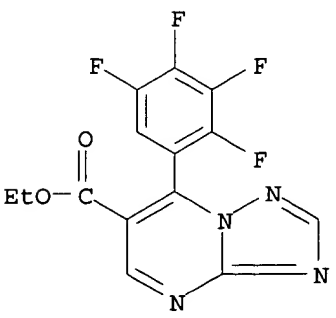
REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 11 OF 110 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:620091 CAPLUS
DOCUMENT NUMBER: 135:344441
TITLE: Fluoro-containing heterocycles. V. Cyclization of 3-azolylamino-2-polyfluorobenzoylacrylates
AUTHOR(S): Lipunova, G. N.; Nosova, E. V.; Kodess, M. I.; Charushin, V. N.; Rozin, Yu. A.; Chasovskikh, O. M.
CORPORATE SOURCE: Ural State Technical University, Yekaterinburg, 620002, Russia
SOURCE: Russian Journal of Organic Chemistry (Translation of Zhurnal Organicheskoi Khimii) (2001), 37(4), 570-576
CODEN: RJOCEQ; ISSN: 1070-4280
PUBLISHER: MAIK Nauka/Interperiodica Publishing
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Heating Et 3-azolylamino-2-polyfluorobenzoylacrylates in acetonitrile in the presence of KF yielded derivs. of 1-azolyl-substituted quinolones or azolo[1,5-a]pyrimidines.

IT **371249-10-4P**
RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of fluoro-contg. 1-azolyl-substituted quinolones or azolo[1,5-a]pyrimidines)

RN 371249-10-4 CAPLUS
CN [1,2,4]Triazolo[1,5-a]pyrimidine-6-carboxylic acid, 7-(2,3,4,5-tetrafluorophenyl)-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 12 OF 110 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:541845 CAPLUS
DOCUMENT NUMBER: 135:129598
TITLE: Silver salt diffusion transfer lithographic plate
INVENTOR(S): Tanabe, Osami
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 19 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

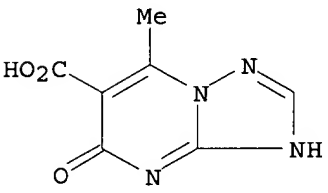
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001201858	A2	20010727	JP 2000-11974	20000120

PRIORITY APPLN. INFO.: JP 2000-11974 20000120

AB The material comprises (A) .gtoreq.1 photosensitive Ag halide emulsion layer contg. Ag halide grains and (B) a phys. development nuclei layer .gtoreq.1 of which contains .gtoreq.1 5- or 6-membered ring arom. compd. on .gtoreq.1 side of a support. The above Ag halide grains are characterized by (1) contg. .gtoreq.1 heavy metal selected from Ir, Ru, Rh, Re, Os, and Cr at 1.0 .times. 10-7 to 1.0 .times. 10-3 mol/mol Ag halide; (2) being sensitized with Au and S after their formation under acid conditions; and (3) contg. AgCl .gtoreq.80 mol%, showing non-orthochromaticity. The material shows high sensitivity to blue laser and high yield of transferred Ag, and improved storage stability, providing a printing plate with improved durability.

IT **3135-09-9**
RL: DEV (Device component use); MOA (Modifier or additive use); USES (Uses)
(diffusion-transfer lithog. plate contg. heterocyclic compd.)

RN 3135-09-9 CAPLUS
CN [1,2,4]Triazolo[1,5-a]pyrimidine-6-carboxylic acid, 4,5-dihydro-7-methyl-5-oxo- (9CI) (CA INDEX NAME)



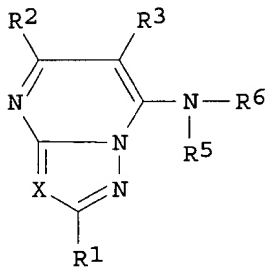
L3 ANSWER 13 OF 110 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:535146 CAPLUS
DOCUMENT NUMBER: 133:135324
TITLE: Preparation of 7-aminopyrazolo[1,5-a]pyrimidine and 7-amino-1,2,4-triazolo[1,5-a]pyrimidine derivatives as fat accumulation inhibitory agents
INVENTOR(S): Ohtsubo, Tsuguteru; Murakami, Hiroko
PATENT ASSIGNEE(S): Sumitomo Chemical Company, Limited, Japan; Sumitomo Pharmaceuticals Company, Limited
SOURCE: PCT Int. Appl., 83 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000044754	A1	20000803	WO 2000-JP462	20000128
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1149835	A1	20011031	EP 2000-901971	20000128
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

PRIORITY APPLN. INFO.: JP 1999-22357 A 19990129
WO 2000-JP462 W 20000128

OTHER SOURCE(S): MARPAT 133:135324

GI



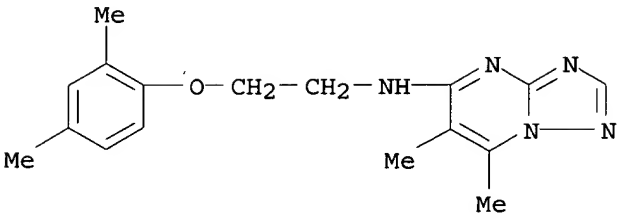
I

AB Aminopyrimidine derivs. represented by general formula (I; wherein R1 represents hydrogen, (un)substituted alkyl, alkenyl, aryl, aralkyl, or heterocyclyl; R2 and R3 represent each hydrogen, halogeno, (un)substituted alkyl, alkenyl, aryl, aralkyl, or heterocyclyl; or R2 and R3 are combined together to represents C3-10 alkylene; R5 represents hydrogen, (un)substituted alkyl or alkenyl; R6 represents C1-12 alkyl, (un)substituted C2-12 alkenyl, acyl, etc.; and X represents nitrogen, CR4; wherein R4 represents hydrogen, halogeno, (un)substituted alkyl, alkenyl, aryl, or aralkyl) are prepd. Theses compds. inhibit fat accumulation in fat cells and, therefore, are efficacious in preventing and treating various diseases in assocn. with enlargement of fat tissues, e.g. obesity, diabetes, and hyperlipidemia. Thus, 7-chloro-5,6-dimethyl-1,2,4-triazolo[1,5-a]pyrimidine and 2-(2,4-dimethylphenoxy)ethylamine were stirred with Et3N in toluene at 100.degree. for 3 h to give N-[2-(2,4-dimethylphenoxy)ethyl]-5,6-dimethyl-1,2,4-triazolo[1,5-a]pyrimidin-7-amine (II). II and 5,6-dimethyl-N-{2-[4-(1-methyl-1-phenylethyl)phenoxy]ethyl}-1,2,4-triazolo[1,5-a]pyrimidin-7-amine inhibited accumulation of fat mesenteric fat tissue by 51 and 83%, resp.

IT **286428-34-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 7-aminopyrazolo[1,5-a]pyrimidine and 7-amino-1,2,4-triazolo[1,5-a]pyrimidine derivs. as fat accumulation inhibitory agents)

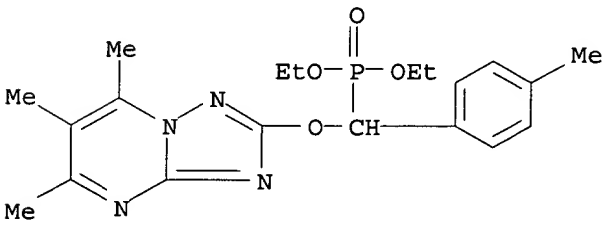
RN 286428-34-0 CAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-5-amine, N-[2-(2,4-dimethylphenoxy)ethyl]-6,7-dimethyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 14 OF 110 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:398307 CAPLUS
DOCUMENT NUMBER: 133:120397
TITLE: Synthesis and properties of novel .alpha.-(s-triazolo[1,5-a]pyrimidin-2-yloxy)benzylphosphonate derivatives
AUTHOR(S): Yang, Guangfu; Liu, Zuming; Liu, Jianchao; Yang, Huazheng
CORPORATE SOURCE: Institute of Organic Synthesis, Central China Normal University, Wuhan, 430079, Peop. Rep. China
SOURCE: Heteroatom Chemistry (2000), 11(4), 313-316
CODEN: HETCE8; ISSN: 1042-7163
PUBLISHER: John Wiley & Sons, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB In an attempt to discover novel compds. with high activity and low toxicity, new phosphonate derivs. contg. triazolo[1,5-a]pyrimidine moieties were designed and synthesized by a nucleophilic substitution between .alpha.-hydroxybenzylphosphonates and 2-methanesulfonyl-s-triazolo[1,5-a]pyrimidines. The structures of all compds. prepd. were confirmed by elemental analyses and by NMR and MS spectroscopy. The results of preliminary bioassay indicate that the title compds. possess certain selective herbicidal activity against rape and also, to some extent, inhibit of acetolactase synthase activity.
IT **250674-93-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and herbicidal and ALS inhibiting activity of triazolopyrimidinyloxybenzylphosphonates)
RN 250674-93-2 CAPLUS
CN Phosphonic acid, [(4-methylphenyl)[(5,6,7-trimethyl[1,2,4]triazolo[1,5-a]pyrimidin-2-yl)oxy]methyl]-, diethyl ester (9CI) (CA INDEX NAME)



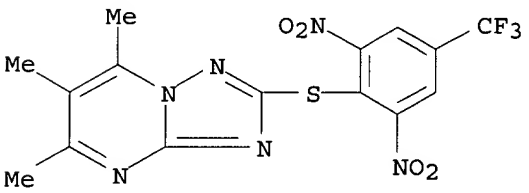
REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 15 OF 110 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:378775 CAPLUS
DOCUMENT NUMBER: 133:150512
TITLE: Syntheses and properties of new herbicidal 2-arylthio-1,2,4-triazolo[1,5-a]pyrimidine derivatives
AUTHOR(S): Yang, Guang-Fu; Lu, Rong-Jian; Fei, Xue-Ning; Yang, Hua-Zhen
CORPORATE SOURCE: Institute of Pesticide Chemistry, Central China Normal University, Hubei, 430079, Peop. Rep. China
SOURCE: Chinese Journal of Chemistry (2000), 18(3), 435-440
CODEN: CJOCEV; ISSN: 1001-604X
PUBLISHER: Science Press
DOCUMENT TYPE: Journal
LANGUAGE: English

AB In search of novel herbicides with high activity, a series of 2-arylthio-1,2,4-triazolo[1,5-a]pyrimidines were synthesized by cyclization of -5-amino-3-arylthio-1,2,4-triazoles with 1,3-diketones or by the nucleophilic substitution of substituted thiophenols with 2-methylsulfonyl-1,2,4-triazolo[1,5-a]pyrimidine. The structures of all compds. prepd. were confirmed by 1H NMR and MS spectroscopy along with elemental analyses. Preliminary bioassays indicated that some of the products had good herbicidal activity against rape. In addn., the regioselectivity in the reaction of 5-amino-3-substituted arylthio-1,2,4-triazoles with benzoylacetone was studied.

IT **287728-43-2P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of herbicidal 2-arylthio-1,2,4-triazolo[1,5-a]pyrimidines)
RN 287728-43-2 CAPLUS
CN [1,2,4]Triazolo[1,5-a]pyrimidine, 2-[[2,6-dinitro-4-(trifluoromethyl)phenyl]thio]-5,6,7-trimethyl- (9CI) (CA INDEX NAME)



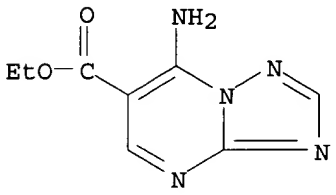
REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 16 OF 110 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:318168 CAPLUS
DOCUMENT NUMBER: 133:73998
TITLE: Synthesis and transformation of 2-thioxopyrimido[4,5-d]pyrimidines
AUTHOR(S): Shaker, Rafat M.
CORPORATE SOURCE: Chemistry Department, Faculty of Science, El-Minia University, El-Minia, Egypt
SOURCE: Phosphorus, Sulfur and Silicon and the Related Elements (2000), 158, 9-16
CODEN: PSSLEC; ISSN: 1042-6507
PUBLISHER: Gordon & Breach Science Publishers
DOCUMENT TYPE: Journal
LANGUAGE: English

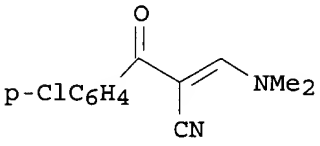
AB Synthesis of bicyclic system pyrimido[4,5-d]pyrimidines and its S-mono- and unsym. S,S'-di-substituted derivs. are described.

IT **92673-40-0**
RL: RCT (Reactant); RACT (Reactant or reagent)

(synthesis and transformation of 2-thioxopyrimido[4,5-d]pyrimidines)
RN 92673-40-0 CAPLUS
CN [1,2,4]Triazolo[1,5-a]pyrimidine-6-carboxylic acid, 7-amino-, ethyl ester (9CI) (CA INDEX NAME)

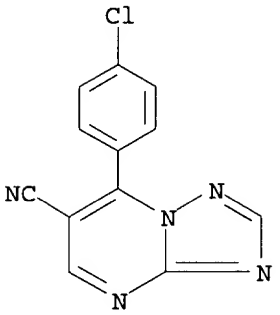


L3 ANSWER 17 OF 110 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:310884 CAPLUS
DOCUMENT NUMBER: 133:89496
TITLE: Heterocyclic synthesis via enaminonitriles: an efficient, one step synthesis of some novel azolo[1,5-a]pyrimidine, pyrimido[1,2-a]benzimidazole, pyrido[1,2-a]benzimidazole, pyrimidine and pyrazole derivatives
AUTHOR(S): Al-Afaleq, Eljazi I.
CORPORATE SOURCE: Chemistry Department, Girls College of Science, Dammam, 31113, Saudi Arabia
SOURCE: Synthetic Communications (2000), 30(11), 1985-1999
CODEN: SYNCAV; ISSN: 0039-7911
PUBLISHER: Marcel Dekker, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 133:89496
GI



I

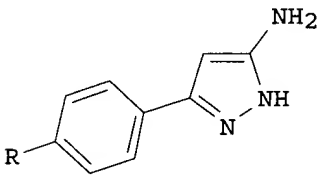
AB Novel p-chlorobenzyl substituted pyrazolo[1,5-a]pyrimidines, a 1,2,4-triazolo[1,5-a]pyrimidine, and a pyrimido[1,2-a]benzimidazole were synthesized by reacting 3-(4-chlorophenyl)-2-(N,N-dimethylamino)methylene-3-oxopropanenitrile (I) with 5-amino-3- and/or 4-substituted-1H-pyrazoles, 3-amino-1,2,4-triazole and 2-aminobenzimidazole. The reaction of I with 1H-benzimidazol-2-ylacetonitrile afforded the p-chlorobenzyl substituted pyrido[1,2-a]benzimidazole. The reaction of I with guanidine, hydrazine, and Ph hydrazine afforded p-chlorobenzoyl substituted pyrimidine and pyrazole compds. However, the reaction of I with hydroxyl amine did not afford the expected isoxazole.
IT **281665-60-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of nitrogen arom. heterocycles via Michael addn. of p-chlorobenzyl substituted enaminonitriles)
RN 281665-60-9 CAPLUS
CN [1,2,4]Triazolo[1,5-a]pyrimidine-6-carbonitrile, 7-(4-chlorophenyl)- (9CI) (CA INDEX NAME)



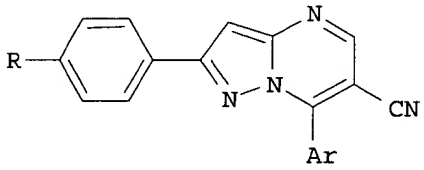
REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 18 OF 110 CAPLUS COPYRIGHT 2003 ACS

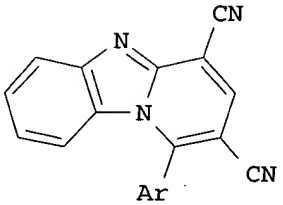
ACCESSION NUMBER: 2000:136747 CAPLUS
DOCUMENT NUMBER: 132:293730
TITLE: Enaminonitriles in heterocyclic synthesis: New routes for the synthesis of some novel azolo[1,5-a]pyrimidine, pyrimido[1,2-a]benzimidazole, pyrido[1,2-a]benzimdazole, pyrazolo[3,4-b]pyridine, pyrazole and pyrimidine derivatives
AUTHOR(S): Al-Zaydi, Khadijah Mohamed; Al-Shiekh, Mariam Abd Alha; Hafez, Ebtisam Abdel-Aziz
CORPORATE SOURCE: Dep. Chem., Coll. Girls Education, Jeddah, 21481, Saudi Arabia
SOURCE: Journal of Chemical Research, Synopses (2000), (1), 13-15, 173-192
CODEN: JRPSDC; ISSN: 0308-2342
PUBLISHER: Science Reviews Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 132:293730
GI



II



III



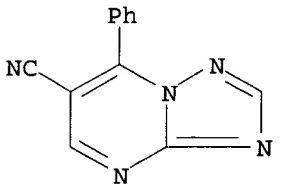
IV

AB The synthesis of several new azolo[1,5-a]pyrimidines, pyrimido[1,2-a]benzimidazoles, pyrazolo[3,4-b]pyridines, pyrido[1,2-a]benzimidazoles, pyrazoles, and pyrimidines was reported. Thus, cyclocondensation of the enaminonitriles ArCOC(C.tplbond.N):CHNMe2 (I; Ar = Ph, 4-MeC6H4) with the aminopyrazoles II (R = H, Me) gave the pyrazolopyrimidinecarbonitriles III. Similarly, cyclization of I with 2-(cyanomethyl)benzimidazole gave the dicyanopyridobenzimidazoles IV.
IT **264927-73-3P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of fused-ring heterocycles via cyclocondensation reactions of (dimethylamino)benzoylacrylonitriles with heterocyclic amines)

RN 264927-73-3 CAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidine-6-carbonitrile, 7-phenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 19 OF 110 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1999:639802 CAPLUS

DOCUMENT NUMBER: 131:351381

TITLE: Synthesis and herbicidal activity of novel .alpha.-(1,2,4-triazolo[1,5-a]pyrimidin-2-yloxy)benzylphosphonates

AUTHOR(S): Yang, Guangfu; Yang, Huazheng

CORPORATE SOURCE: Institute of Organic Synthesis, Central China Normal University, Wuhan, 430079, Peop. Rep. China

SOURCE: Heterocyclic Communications (1999), 5(4), 355-358
CODEN: HCOMEX; ISSN: 0793-0283

PUBLISHER: Freund Publishing House Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

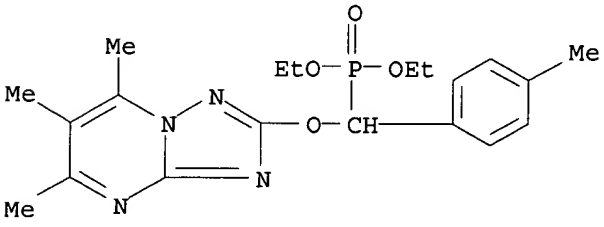
AB Some novel phosphonate derivs. contg. triazolo[1,5-a]pyrimidine moieties were synthesized in good yields by the nucleophilic substitution between .alpha.-hydroxybenzylphosphonates and 2-methanesulfonyl-1,2,4-triazolo[1,5-a]pyrimidines. The results of preliminary bioassay indicates that the title compds. possess selective herbicidal activity.

IT **250674-93-2P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and herbicidal activity of triazolopyrimidinyloxybenzylphosphonates)

RN 250674-93-2 CAPLUS

CN Phosphonic acid, [(4-methylphenyl)[(5,6,7-trimethyl[1,2,4]triazolo[1,5-a]pyrimidin-2-yl)oxy]methyl]-, diethyl ester (9CI) (CA INDEX NAME)



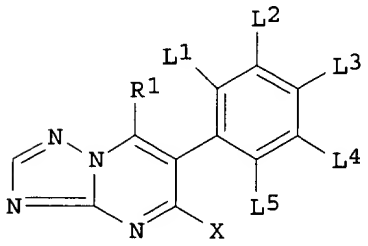
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 20 OF 110 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:529149 CAPLUS
DOCUMENT NUMBER: 131:170358
TITLE: Preparation of 7-alkyltriazolopyrimidines as selective agrochemical fungicides
INVENTOR(S): Pfrengle, Waldemar; Pees, Klaus-Juergen; Albert, Guido
PATENT ASSIGNEE(S): American Cyanamid Company, USA
SOURCE: PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9941255	A1	19990819	WO 1999-US2808	19990209
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6020338	A	20000201	US 1999-243851	19990203
CA 2320304	AA	19990819	CA 1999-2320304	19990209
AU 9925952	A1	19990830	AU 1999-25952	19990209
AU 750489	B2	20020718		
BR 9907863	A	20001024	BR 1999-7863	19990209
EP 1054888	A1	20001129	EP 1999-905905	19990209
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE, SI, FI, RO				
JP 2002503664	T2	20020205	JP 2000-531448	19990209

PRIORITY APPLN. INFO.: US 1998-22288 A 19980211
US 1999-243851 A 19990203
WO 1999-US2808 W 19990209

OTHER SOURCE(S): MARPAT 131:170358
GI



I

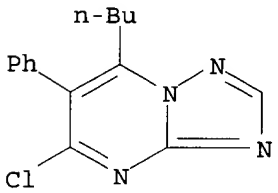
AB The title compds. [I; R1 = (un)substituted alk(en)yl, alkynyl, alkadienyl, aryl, or cycloalk(en)yl in which 1 CH2 group may be replaced by O, S or NR2; R2 = H, alkyl; X = H, halo, OH, (halo)alkoxy, aryloxy, cyano, amino, etc.; L1-L5 = H, halo, (un)substituted alkyl, (un)substituted alkoxy, NO2, cyano] were prepd. The new compds. are processed with carriers and, optionally, adjuvants, to afford fungicidal compns., useful in agricultural applications. For example, suspending 0.96 g Cu iodide in 25 mL THF under inert atm., cooling the suspension to -70.degree., adding 5

mL of n-hexyllithium soln. (2 M, in hexanes), stirring the mixt. for 45 min, adding a soln. of 1.6 g 5,7-dichloro-6-(2-chloro-6-fluorophenyl)-1,2,4-triazolo[1,5a]pyrimidine in 10 mL THF, and stirring the whole for 15 min at -70.degree. gave 0.75 g 5-chloro-7-n-hexyl-6-(2-chloro-6-fluorophenyl)-1,2,4-triazolo[1,5a]pyrimidine (m. 55-57.degree.) which inhibited mycelial growth of Leptosphaeria nodorum with MIC 12.5 .mu.g/mL. Emulsion and suspension conc., wettable powder and H2O-dispersible granule formulations contg. I (R1 = cyclohexyl, L1 = L3 = L5 = F, L2 = L4 = H, X = Cl) were given.

IT **238743-89-0P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 7-alkyltriazolopyrimidines as selective agrochem. fungicides)

RN 238743-89-0 CAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidine, 7-butyl-5-chloro-6-phenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 21 OF 110 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:277496 CAPLUS
DOCUMENT NUMBER: 130:344986
TITLE: Silver halide photographic material containing azaindene compound with hydroxylamine group
INVENTOR(S): Taniguchi, Masato; Ikeda, Hideo
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 61 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 11119369	A2	19990430	JP 1997-303377	19971020

PRIORITY APPLN. INFO.: JP 1997-303377 19971020
OTHER SOURCE(S): MARPAT 130:344986

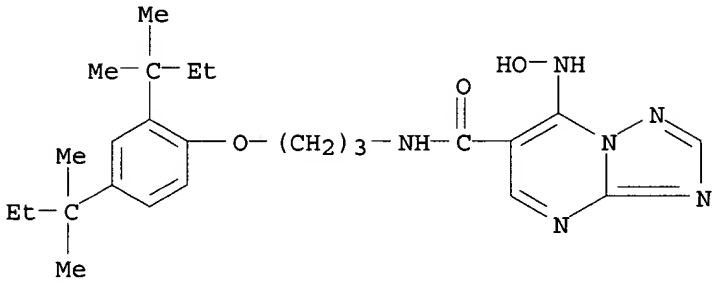
AB The title material, possessing .gtoreq.1 Ag halide emulsion layer on a support, contains a tri-, tetra- or penta-azaindene compd. substituted by a group NR11OH (R11= H, alkyl, aryl, heterocyclic group). The material shows excellent storage stability under high temp. and low moisture conditions and is independent of the elapse of time of the processing solns. used. in the photog. properties.

IT **224564-71-0**
RL: DEV (Device component use); MOA (Modifier or additive use); USES (Uses)
(photog. film contg. azaindene compd. with hydroxylamine group)

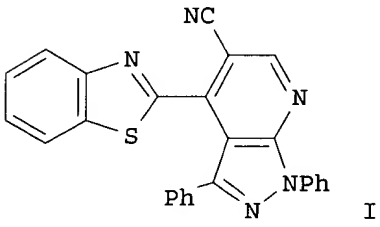
RN 224564-71-0 CAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidine-6-carboxamide, N-[3-[2,4-bis(1,1-

dimethylpropyl)phenoxy]propyl]-7-(hydroxyamino)- (9CI) (CA INDEX NAME)



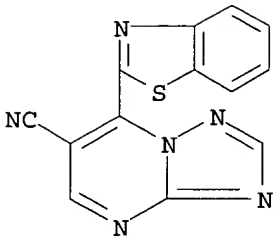
L3 ANSWER 22 OF 110 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:177032 CAPLUS
DOCUMENT NUMBER: 130:267399
TITLE: Heterocyclic synthesis via enaminonitriles: One-pot synthesis of some new pyrazole, isoxazole, pyrimidine, pyrazolo[1,5-a]pyrimidine, pyrimido[1,2-a]benzimidazole and pyrido[1,2-a]benzimidazole derivatives
AUTHOR(S): Dawood, Kamal M.; Farag, Ahmad M.; Kandeel, Zaghloul E.
CORPORATE SOURCE: Faculty of Science, Department of Chemistry, Cairo University, Giza, 12613, Egypt
SOURCE: Journal of Chemical Research, Synopses (1999), (2), 88-89, 537-547
CODEN: JRPSDC; ISSN: 0308-2342
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 130:267399
GI



I

AB A convenient synthesis of some new pyrazole, isoxazole, pyrimidine, pyrazolo[1,5-a]pyrimidine, pyrimido[1,2-a]benzimidazole and pyrido[1,2-a]benzimidazole derivs., e.g., I, is reported.

IT **222314-76-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of heterocyclic compds. by cyclization of enaminonitrile with nitrogen nucleophiles)
RN 222314-76-3 CAPLUS
CN [1,2,4]Triazolo[1,5-a]pyrimidine-6-carbonitrile, 7-(2-benzothiazolyl)- (9CI) (CA INDEX NAME)



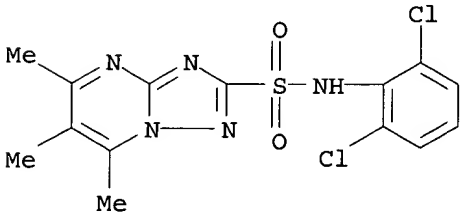
REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 23 OF 110 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:104638 CAPLUS
DOCUMENT NUMBER: 130:248286
TITLE: Comparative molecular field analysis of triazolopyrimidine sulfonanilide herbicides
AUTHOR(S): Ren, Tian-Rui; Chen, Hong-Ming; Xie, Gui-Rong; Zhou, Jia-Ju; Chen, Fu-Heng
CORPORATE SOURCE: Institute of Chemical Metallurgy, Chinese Academy of Sciences, Beijing, 100080, Peop. Rep. China
SOURCE: Gaodeng Xuexiao Huaxue Xuebao (1998), 19(12), 1950-1953
CODEN: KTHPDM; ISSN: 0251-0790
PUBLISHER: Gaodeng Jiaoyu Chubanshe
DOCUMENT TYPE: Journal
LANGUAGE: Chinese

AB Triazolopyrimidine herbicides are a new kind of high efficiency ones with acetolactate synthase (ALS) as target. Comparative mol. field anal. (CoMFA) was applied to study the action mode of triazolopyrimidine herbicides on ALS. The QSAR results give the rational reasons to infer a possible binding mode between the inhibitors and ALS, and help to design new inhibitors.

IT **98966-99-5**
RL: BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process)
(structure-activity relationship of triazolopyrimidine sulfonanilide herbicides)

RN 98966-99-5 CAPLUS
CN [1,2,4]Triazolo[1,5-a]pyrimidine-2-sulfonamide, N-(2,6-dichlorophenyl)-5,6,7-trimethyl- (9CI) (CA INDEX NAME)



L3 ANSWER 24 OF 110 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:385479 CAPLUS
DOCUMENT NUMBER: 129:54375
TITLE: Arthropodicidal and fungicidal cyclic amides [triazolones] and their preparation, use, and compositions

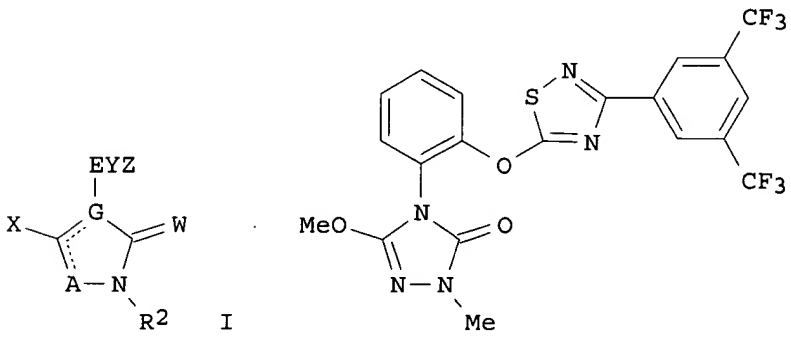
09/ 895,975

INVENTOR(S): Brown, Richard James; Chan, Dominic Ming-Tak; Howard, Michael Henry, Jr.; Daniel, Dilon Jancey; Clark, David Alan; Selby, Thomas Paul
PATENT ASSIGNEE(S): E.I. Du Pont De Nemours and Company, USA
SOURCE: PCT Int. Appl., 232 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9823155	A1	19980604	WO 1996-US18916	19961126
W: JP, KR				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
ZA 9709943	A	19990505	ZA 1997-9943	19971105
WO 9823156	A1	19980604	WO 1997-US21944	19971125
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, ID, IL, IS, JP, KG, KP, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9854633	A1	19980622	AU 1998-54633	19971125
EP 944314	A1	19990929	EP 1997-948597	19971125
R: CH, DE, DK, ES, FR, GB, IT, LI, NL, IE				
BR 9713415	A	20000418	BR 1997-13415	19971125
JP 2001506984	T2	20010529	JP 1998-524889	19971125
MX 9904789	A	20000131	MX 1999-4789	19990524

PRIORITY APPLN. INFO.:			
WO 1996-US18916	A	19961126	
US 1996-33614P	P	19961219	
US 1997-48844P	P	19970606	
WO 1997-US21944	W	19971125	

OTHER SOURCE(S): MARPAT 129:54375
GI



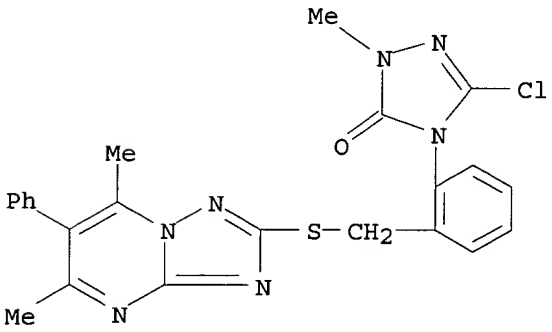
AB Title compds. I and their N-oxides and agriculturally suitable salts are disclosed [wherein E = (un)substituted 1,2-phenylene, naphthalene or heterocyclyl; A = O, S, N, NR3 or CR4; G = C or N; when G is C, then A is O, S or NR3 and the floating double bond is attached to G; and when G is N, than A is N or CR4 and the floating double bond is attached to A; W = O, S, NH, N(C1-C6 alkyl) or NO(C1-C6 alkyl); X = H, OR1, SOmR1, halo, C1-C6 alkyl, C1-C6 haloalkyl, C3-C6 cycloalkyl, cyano, NH2, NHR1, N(C1-C6

alkyl)R1, NH(C1-C6 alkoxy) or N(C1-C6 alkoxy)R1; R2 = H, C1-C6 alkyl, C1-C6 haloalkyl, C2-C6 haloalkyl, C2-C6 alkenyl, C2-C6 haloalkenyl, C2-C6 alkynyl, C2-C6 haloalkynyl, C3-C6 cycloalkyl, C2-C4 alkylcarbonyl, C2-C6 alkoxycarbonyl, hydroxy, C1-C2 alkoxy, or acetyloxy; R1= (halo)alkyl, (halo)alkenyl, etc.; R3= H, (halo)alkyl, etc.; Y = O, CO, SO, etc.; Z = (un)substituted alkyl, alkenyl or alkynyl, R4 = H, halo, alkyl, etc.; m = 0, 1 or 2]. Claims cover methods of arthropod and fungal control, novel compds., arthropodicidal and fungicidal compns., and novel intermediates. Approx. 1000 invention compds. were prepd. For instance, 5-chloro-2,4-dihydro-4-(2-methoxyphenyl)-2-methyl-3H-1,2,4-triazol-3-one (prepn. given) underwent a sequence of cleavage of the Me ether with BBr3, methoxylation of the chloride with NaOMe, and etherification of the phenolic hydroxy group with 5-chloro-3-[3,5-bis(trifluoromethyl)phenyl]-1,2,4-thiadiazole, to give title compd. II. Selected I were active in screens against Erysiphe graminis, Pyricularia oryzae, Spodoptera frugiperda, Tetranychus urticae, and a variety of other std. pests.

IT **186978-67-6P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. as arthropodicide and fungicide)

RN 186978-67-6 CAPLUS

CN 3H-1,2,4-Triazol-3-one, 5-chloro-4-[2-[[(5,7-dimethyl-6-phenyl[1,2,4]triazolo[1,5-a]pyrimidin-2-yl)thio]methyl]phenyl]-2,4-dihydro-2-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

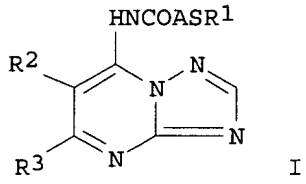
L3 ANSWER 25 OF 110 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:465087 CAPLUS
DOCUMENT NUMBER: 127:81462
TITLE: Preparation of triazolopyrimidine derivatives as ACAT inhibitors
INVENTOR(S): Sato, Masakazu; Mannaka, Akira; Takahashi, Keiko; Tomizawa, Kazuyuki
PATENT ASSIGNEE(S): Taisho Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09169763	A2	19970630	JP 1995-333247	19951221

PRIORITY APPLN. INFO.: JP 1995-333247 19951221

09/ 895,975

OTHER SOURCE(S): MARPAT 127:81462
GI



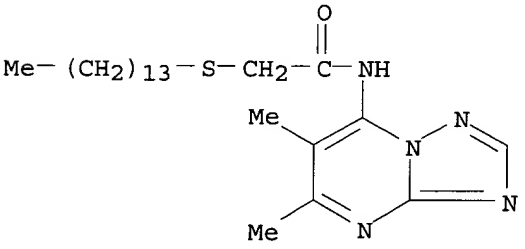
I

AB The title compds. (I; X = ASR1; A = C1-4 alkylene; R1 = C1-20 alkyl; R2 = H, C1-4 alkyl; R3 = Me, morpholino) are prepd. I, possessing Acyl-CoA Cholesterolacyltransferase (ACAT) inhibitory activity, are useful as lipid lowering agents and arteriosclerosis remedies. Thus, Me(CH2)13SH was treated with NaH and then reacted with I (X = CMe2Br, R2 = Me, R3 = morpholino) (prepn. given) to give the title compd. I [X = CMe2S(CH2)13Me, R2 = Me, R3 = morpholino], which showed IC50 of 6.05 X 10-6 M against ACAT when tested with rabbits.

IT **191655-89-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of triazolopyrimidine derivs. as ACAT inhibitors)

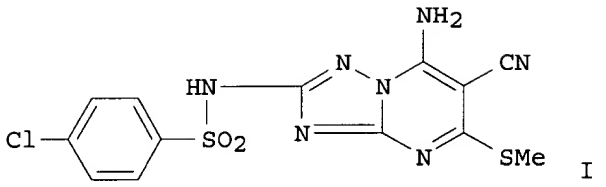
RN 191655-89-7 CAPLUS

CN Acetamide, N-(5,6-dimethyl[1,2,4]triazolo[1,5-a]pyrimidin-7-yl)-2-(tetradecylthio)- (9CI) (CA INDEX NAME)

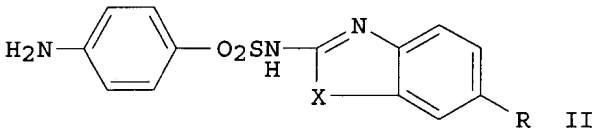


L3 ANSWER 26 OF 110 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1997:330904 CAPLUS
DOCUMENT NUMBER: 127:50602
TITLE: Functionalized azoles and triazolo[1,5-a]pyrimidines as latent leishmanicides
AUTHOR(S): Ram, Vishnu Ji; Srivastava, Pratibha; Singh, Sunil K.; Kandpal, Mamta; Tekwani, B.L.
CORPORATE SOURCE: Medicinal Chemistry Division, Central Drug Research Institute, Lucknow, 226001, India
SOURCE: Bioorganic & Medicinal Chemistry Letters (1997), 7(8), 1087-1090
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I



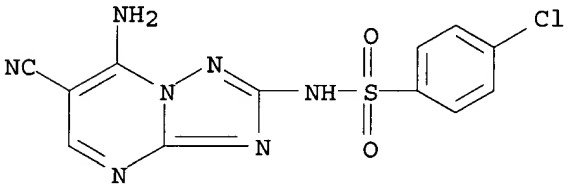
II

AB Triazolo[1,5-a]pyrimidines, e.g., I, benzoxazoles II (R = H, Me; X = O), and benzimidazole II (R = H, X = NH) have been synthesized and evaluated for their in vitro leishmanicidal activity against L. donovani promastigotes.

IT **190962-50-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and leishmanicidal activity of triazolopyrimidines and azoles)

RN 190962-50-6 CAPLUS

CN Benzenesulfonamide, N-(7-amino-6-cyano[1,2,4]triazolo[1,5-a]pyrimidin-2-yl)-4-chloro- (9CI) (CA INDEX NAME)



L3 ANSWER 27 OF 110 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:168566 CAPLUS
DOCUMENT NUMBER: 126:153997
TITLE: Preparation of arthropodicidal and fungicidal cyclic amides
INVENTOR(S): Brown, Richard James; Chan, Dominic Ming-Tak; Howard, Michael Henry, Jr.; Daniel, Dilon Jancey; Clark, David Alan; Selby, Thomas Paul
PATENT ASSIGNEE(S): E.I. Du Pont De Nemours and Company, USA; Brown, Richard James; Chan, Dominic Ming-Tak; Howard, Michael Henry, Jr.; Daniel, Dilon Jancey; Clark, David Alan; Selby, Thomas Paul
SOURCE: PCT Int. Appl., 20 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

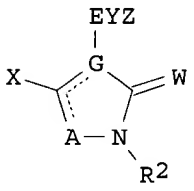
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9700612	A1	19970109	WO 1996-US10326	19960613

W: AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IL, IS, JP, KG, KP, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

AU 9661770	A1	19970122	AU 1996-61770		19960613
EP 836384	A1	19980422	EP 1996-919422		19960613
R: DE, FR, GB, IT					
CN 1188394	A	19980722	CN 1996-194937		19960613
BR 9609001	A	19990629	BR 1996-9001		19960613
JP 11508257	T2	19990721	JP 1996-503876		19960613
ZA 9605196	A	19971219	ZA 1996-5196		19960619
PRIORITY APPLN. INFO.:			US 1995-341P	P	19950620
			WO 1996-US10326	W	19960613

OTHER SOURCE(S): MARPAT 126:153997

GI



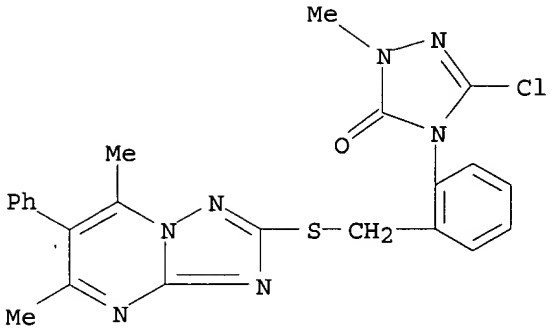
I

AB Prepn. and title uses are given for I [E = (un)substituted 1,2-phenylene, naphthalene or heterocyclyl; A = O, S, N, NR3 or CR4; G = C or N; when G is C, then A is O, S or NR3 and a the floating double bond is attached to G; and when G is N, than A is N or CR4 and the floating double bond is attached to A; W = O, S, NH, N(C1-C6 alkyl) or NO(C1-C6 alkyl); X = H, OR1, SOmR1, halo, C1-C6 alkyl, C1-C6 haloalkyl, C3-C6 cycloalkyl; cyano, NH2, NHR1, N(C1-C6 alkyl)R1, NH(C1-C6 alkoxy) or N(C1-C6 alkoxy)R1; R2 = H, C1-C6 alkyl, C1-C6 haloalkyl, C2-C6 haloalkyl, C2-C6 alkenyl, C2-C6 haloalkenyl, C2-C6 alkynyl, C2-C6 haloalkynyl, C3-C6 cycloalkyl, C2-C4 alkylcarbonyl, C2-C6 alkoxycarbonyl, hydroxy, C1-C2 alkoxy or acetyloxy; R1= (halo)alkyl, (halo)alkenyl, etc.; R3= H, (halo)alkyl, etc.; Y = O, CO, SO, etc.; Z = (un)substituted alkyl,alkenyl or alkynyl, R4 = H, halo, alkyl, etc.; m = 0, 1 or 2].

IT **186978-67-6P**
RL: AGR (Agricultural use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. as arthropodicide and fungicide)

RN 186978-67-6 CAPLUS

CN 3H-1,2,4-Triazol-3-one, 5-chloro-4-[2-[[(5,7-dimethyl-6-phenyl[1,2,4]triazolo[1,5-a]pyrimidin-2-yl)thio]methyl]phenyl]-2,4-dihydro-2-methyl- (9CI) (CA INDEX NAME)



L3 ANSWER 28 OF 110 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:168548 CAPLUS
DOCUMENT NUMBER: 126:152804
TITLE: Spironolactone or other epoxy-free spirolactone-type aldosterone receptor antagonist in combination with angiotensin II antagonist for treatment of circulatory and cardiovascular disorders, including congestive heart failure
INVENTOR(S): Maclaughlan, Todd E.; Schuh, Joseph R.
PATENT ASSIGNEE(S): G.D. Searle & Co., USA; Maclaughlan, Todd E.; Schuh, Joseph R.
SOURCE: PCT Int. Appl., 210 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9640258	A2	19961219	WO 1996-US9342	19960605
WO 9640258	A3	19970123		
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA				
CA 2224222	AA	19961219	CA 1996-2224222	19960605
AU 9661580	A1	19961230	AU 1996-61580	19960605
EP 831911	A2	19980401	EP 1996-919173	19960605
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
CN 1192696	A	19980909	CN 1996-196086	19960605
BR 9608505	A	19990706	BR 1996-8505	19960605
JP 11509838	T2	19990831	JP 1996-501683	19960605
AT 216261	E	20020515	AT 1996-919173	19960605
ES 2175098	T3	20021116	ES 1996-919173	19960605

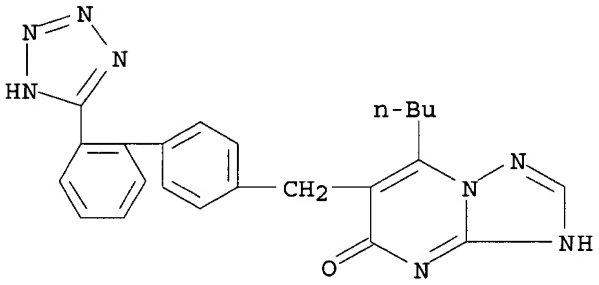
PRIORITY APPLN. INFO.: US 1995-486089 A 19950607
WO 1996-US9342 W 19960605
OTHER SOURCE(S): MARPAT 126:152804

AB A combination therapy is disclosed which comprises a therapeutically-effective amt. of an epoxy-free spirolactone-type aldosterone receptor antagonist and a therapeutically-effective amt. of an angiotensin II receptor antagonist for treatment of circulatory disorders, including cardiovascular disorders, e.g. hypertension and congestive heart failure. Preferred angiotensin II receptor antagonists are those compds. having high potency and bioavailability and which are characterized in having an

imidazole or triazole moiety attached to a biphenylmethyl or pyridinyl/phenylmethyl moiety. A preferred epoxy-free spirolactone-type aldosterone receptor antagonist is spironolactone. A preferred combination therapy includes the angiotensin II receptor antagonist 5-[2-[5-[(3,5-dibutyl-1H-1,2,4-triazol-1-yl)methyl]-2-pyridinyl]phenyl]-1H-tetrazole and the aldosterone receptor antagonist spironolactone.

IT **186616-16-0**, UP 275-22
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(spironolactone or other epoxy-free spirolactone-type aldosterone receptor antagonist in combination with angiotensin II antagonist for treatment of circulatory and cardiovascular disorders, including congestive heart failure)

RN 186616-16-0 CAPLUS
CN [1,2,4]Triazolo[1,5-a]pyrimidin-5(1H)-one, 7-butyl-6-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]- (9CI) (CA INDEX NAME)



L3 ANSWER 29 OF 110 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:168547 CAPLUS
DOCUMENT NUMBER: 126:152803
TITLE: Epoxy-steroidal aldosterone antagonist and angiotensin II antagonist combination therapy for treatment of cardiovascular disorders, including congestive heart failure
INVENTOR(S): Alexander, John C.; Schuh, Joseph R.; Gorczynski, Richard J.
PATENT ASSIGNEE(S): G.D. Searle & Co., USA; Alexander, John C.; Schuh, Joseph R.; Gorczynski, Richard J.
SOURCE: PCT Int. Appl., 218 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9640257	A1	19961219	WO 1996-US9335	19960605
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA				
CA 2224079	AA	19961219	CA 1996-2224079	19960605
AU 9661577	A1	19961230	AU 1996-61577	19960605
AU 725689	B2	20001019		
EP 831910	A1	19980401	EP 1996-919170	19960605

EP 831910	B1	20011121			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI					
CN 1192697	A	19980909	CN 1996-196155		19960605
BR 9609066	A	19990126	BR 1996-9066		19960605
JP 11507627	T2	19990706	JP 1996-501678		19960605
RU 2166330	C2	20010510	RU 1998-100250		19960605
IL 122242	A1	20010724	IL 1996-122242		19960605
AT 209047	E	20011215	AT 1996-919170		19960605
ES 2167571	T3	20020516	ES 1996-919170		19960605
NO 9705741	A	19980129	NO 1997-5741		19971205
PRIORITY APPLN. INFO.:			US 1995-486456	A	19950607
			WO 1996-US9335	W	19960605

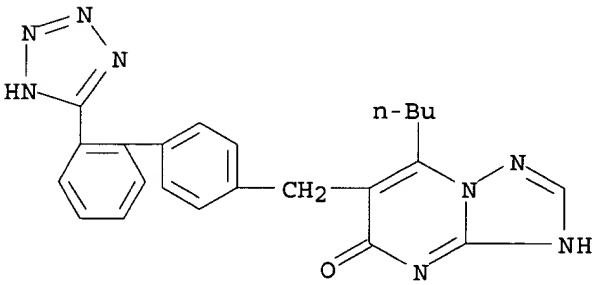
OTHER SOURCE(S): MARPAT 126:152803

AB A combination therapy comprising a therapeutically-effective amt. of an epoxy-steroidal aldosterone receptor antagonist and a therapeutically-effective amt. of an angiotensin II receptor antagonist is described for treatment of circulatory disorders, including cardiovascular disorders, e.g. hypertension and congestive heart failure. Preferred angiotensin II receptor antagonists are those compds. having high potency and bioavailability and which are characterized in having an imidazole or triazole moiety attached to a biphenylmethyl or pyridinyl/phenylmethyl moiety. Preferred epoxy-steroidal aldosterone receptor antagonists are 20-spiroxane steroidal compds. characterized by the presence of 9.alpha.,11.alpha.-substituted epoxy moiety. A preferred combination therapy includes the angiotensin II receptor antagonist 5-[2-[5-[(3,5-dibutyl-1H-1,2,4-triazol-1-yl)methyl]-2-pyridinyl]phenyl]-1H-tetrazole and the aldosterone receptor antagonist epoxymexrenone.

IT **186616-16-0**, UP 275-22
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(epoxy-steroidal aldosterone antagonist and angiotensin II antagonist combination therapy for treatment of cardiovascular disorders, including congestive heart failure)

RN 186616-16-0 CAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-5(1H)-one, 7-butyl-6-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]- (9CI) (CA INDEX NAME)



L3 ANSWER 30 OF 110 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER:	1997:168533 CAPLUS
DOCUMENT NUMBER:	126:152800
TITLE:	Method to treat cardiofibrosis or cardiac hypertrophy with a combination of an angiotensin II antagonist and spironolactone or other epoxy-free spirolactone-type aldosterone receptor antagonist
INVENTOR(S):	Mcmahon, Ellen G.; Olins, Gillian M.; Schuh, Joseph R.
PATENT ASSIGNEE(S):	G.D. Searle & Co., USA; Mcmahon, Ellen G.; Olins, Gillian M.; Schuh, Joseph R.

09/ 895,975

SOURCE: PCT Int. Appl., 208 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9640256	A1	19961219	WO 1996-US8823	19960605
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA				
AU 9659822	A1	19961230	AU 1996-59822	19960605

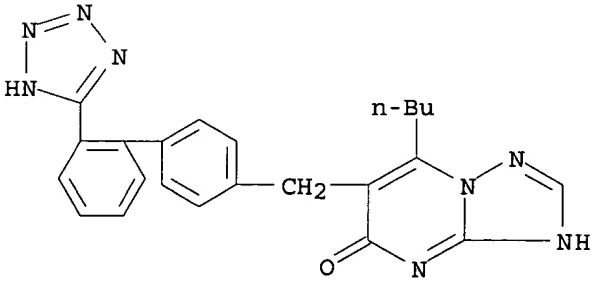
PRIORITY APPLN. INFO.: US 1995-485935 19950607
WO 1996-US8823 19960605

OTHER SOURCE(S): MARPAT 126:152800

AB A therapeutic method is described for treating cardiofibrosis or cardiac hypertrophy using a combination therapy comprising a therapeutically-effective amt. of an epoxy-free spirolactone-type aldosterone receptor antagonist and a therapeutically-effective amt. of an angiotensin II receptor antagonist. Preferred angiotensin II receptor antagonists are those compds. having high potency and bioavailability and which are characterized in having an imidazole or triazole moiety attached to a biphenylmethyl or pyridinyl/phenylmethyl moiety. A preferred epoxy-free spirolactone-type aldosterone receptor antagonist is spironolactone. A preferred combination therapy includes the angiotensin II receptor antagonist 5-[2-[5-[(3,5-dibutyl-1H-1,2,4-triazol-1-yl)methyl]-2-pyridinyl]phenyl-1H-tetrazole] and the aldosterone receptor antagonist spironolactone.

IT **186616-16-0**, UP 275-22
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(angiotensin II antagonist combination with spironolactone or other epoxy-free spirolactone-type aldosterone receptor antagonist for treatment of cardiofibrosis or cardiac hypertrophy)

RN 186616-16-0 CAPLUS
CN [1,2,4]Triazolo[1,5-a]pyrimidin-5(1H)-one, 7-butyl-6-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]- (9CI) (CA INDEX NAME)



L3 ANSWER 31 OF 110 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:140243 CAPLUS
DOCUMENT NUMBER: 126:139886
TITLE: Method to treat cardiofibrosis or cardiac hypertrophy with a combination therapy of an angiotensin II

antagonist and an epoxy-steroidal aldosterone antagonist

INVENTOR(S): Egan, James J.; Mcmahon, Ellen G.; Olins, Gillian M.; Schuh, Joseph R.

PATENT ASSIGNEE(S): G.D. Searle & Co., USA; Egan, James J.; Mcmahon, Ellen G.; Olins, Gillian M.; Schuh, Joseph R.

SOURCE: PCT Int. Appl., 202 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9640255	A2	19961219	WO 1996-US8709	19960605
WO 9640255	A3	19970123		

W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG

RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA

AU 9660392	A1	19961230	AU 1996-60392	19960605

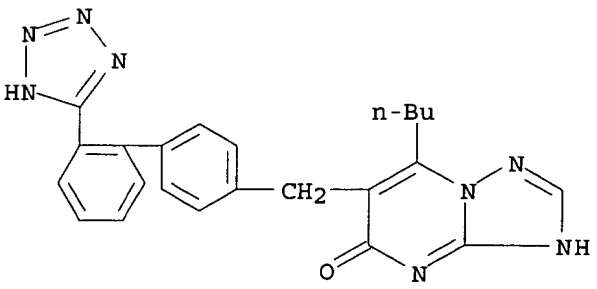
PRIORITY APPLN. INFO.: US 1995-486085 19950607
WO 1996-US8709 19960605

AB A therapeutic method is described for treating cardiofibrosis or cardiac hypertrophy using a combination therapy comprising a therapeutically effective amt. of an epoxy-steroidal aldosterone receptor antagonist and a therapeutically-effective amt. of an angiotensin II receptor antagonist. Preferred angiotensin II receptor antagonists are those compds. having high potency and bioavailability and which are characterized in having an imidazole or triazole moiety attached to a biphenylmethyl or pyridinyl/phenylmethyl moiety. Preferred epoxy-steroidal aldosterone receptor antagonists are 20-spiroxane steroidal compds. characterized by the presence of a 9.alpha.,11.alpha.-substituted epoxy moiety. A preferred combination therapy includes the angiotensin II receptor antagonist 5-[2-[5-[(3,5-dibutyl-1H-1,2,4-triazol-1-yl)methyl]-2-pyridinyl]phenyl]-1H-tetrazole and the aldosterone receptor antagonist epoxymexrenone.

IT **186616-16-0**, UP 275-22
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(angiotensin II antagonist and epoxy-steroidal aldosterone antagonist combination for treatment of cardiofibrosis or cardiac hypertrophy)

RN 186616-16-0 CAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-5(1H)-one, 7-butyl-6-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]- (9CI) (CA INDEX NAME)



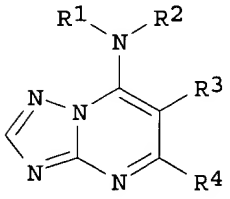
L3 ANSWER 32 OF 110 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:127978 CAPLUS
DOCUMENT NUMBER: 126:171605
TITLE: Preparation of triazolopyrimidines as agrochemical fungicides
INVENTOR(S): Pees, Klaus Jurgen; Albert, Guido
PATENT ASSIGNEE(S): American Cyanamid Company, USA
SOURCE: U.S., 23 pp., Cont.-in-part of U.S. Ser. No. 276, 384, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5593996	A	19970114	US 1995-412401	19950328

PRIORITY APPLN. INFO.:

EP 1991-122422	A	19911230
US 1992-998113	B1	19921229
US 1994-276384	B2	19940718

OTHER SOURCE(S): MARPAT 126:171605
GI



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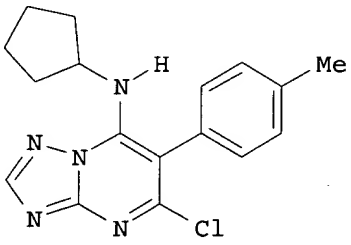
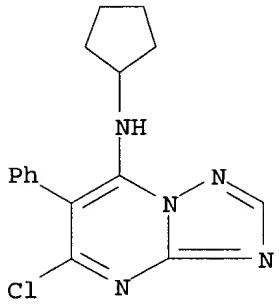


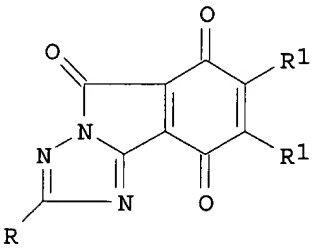
II

AB The title compds. [I; R1 = C1-12 alkyl, C2-6 alkenyl, C2-6 alkynyl, etc.; R2 = H, C1-4 alkyl; R1R2 = (un)substituted pyrrolidinyl, piperidinyl, dihydropyridyl; R3 = (un)substituted Ph, naphthyl; R4 = halo, (un)substituted NH2], useful as fungicides, were prepd. Thus, reaction of 5,7-dichloro-6-(4-methylphenyl)-1,2,4-triazolo[1,5-a]pyrimidine with cyclopentylamine in the presence of Et3N in THF afforded 87% II which showed MIC of 12.5 .mu.g/mL and 1.56 .mu.g/mL against Botrytis cinerea and Alternaria solani, resp.

IT **150987-39-6P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of triazolopyrimidines as agrochem. fungicides)
RN 150987-39-6 CAPLUS
CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-N-cyclopentyl-6-phenyl- (9CI) (CA INDEX NAME)



L3 ANSWER 33 OF 110 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:90100 CAPLUS
DOCUMENT NUMBER: 126:131437
TITLE: A novel synthesis of 1,2,4-triazolo[1,5-a]isoindolinetrione, 1,2,4-triazolo[1,5-a]pyrimidine, and 1,2,4-triazolo[2,3-a]quinazolinedione derivatives and their antibacterial activity
AUTHOR(S): Hassan, A. A.; Mohamed, N. K.; Aly, A. A.; Mourad, A. F. E.
CORPORATE SOURCE: Faculty Science, El-Minia University, El-Minia, 61519, Egypt
SOURCE: Pharmazie (1997), 52(1), 23-28
CODEN: PHARAT; ISSN: 0031-7144
PUBLISHER: Govi-Verlag Pharmazeutischer Verlag
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 126:131437
GI



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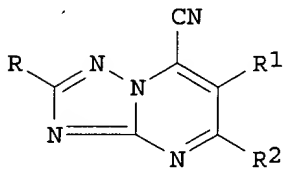
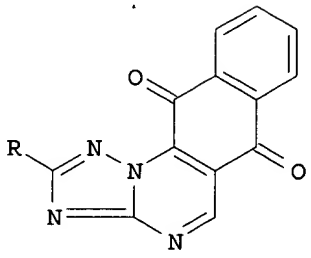


II



III

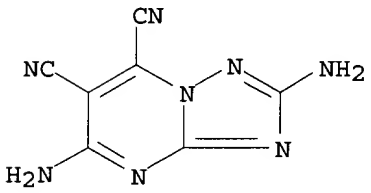
AB Reaction of amino-, aminomercapto-, and diamino-1,2,4-triazoles with chlorinated benzo- and naphthoquinones gave triazoloisoindolinetriones I [R = H, NH2; R1 = Cl, CN or R12 = (CH)4] whereas on reaction with [C(CN)2]2 (TCNE) or dicyanomethylene-1,3-indanedione, triazolopyrimidines II (R = H, NH2, NHPh, 4-MeC6H4NH, 4-MeOC6H4NH; R1 = CN; R2 = NH2 or R = H, NH2, 4-MeC6H4NH, 4-MeOC6H4NH; R1R2 = C6H4-2-CO) were obtained.

Triazoloquinazolinediones III (R = NH2, 4-MeC6H4NH) were obtained upon reaction with 2,3-dicyano-1,4-naphthoquinone via the formation of charge-transfer complexes. Five of the compds. prepd. were studied for antibacterial and antifungal activity and showed activity against gram pos. and gram neg. bacteria.

IT **186413-49-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(antibacterial activity; prepn. of triazoloisoindolinetriones, -pyrimidines, and quinazolinediones)

RN 186413-49-0 CAPLUS

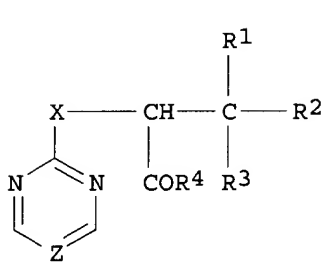
CN [1,2,4]Triazolo[1,5-a]pyrimidine-6,7-dicarbonitrile, 2,5-diamino- (9CI) (CA INDEX NAME)



L3 ANSWER 34 OF 110 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1996:681534 CAPLUS
DOCUMENT NUMBER: 125:320559
TITLE: Safened selective herbicidal compositions
INVENTOR(S): Glock, Jutta; Hudetz, Manfred; Kerber, Elmar
PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.
SOURCE: PCT Int. Appl., 41 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9629870	A1	19961003	WO 1996-EP1086	19960314
W: AL, AU, BB, BG, BR, CA, CN, CZ, EE, GE, HU, IS, JP, KP, KR, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9651084	A1	19961016	AU 1996-51084	19960314

PRIORITY APPLN. INFO.: CH 1995-901 19950330
WO 1996-EP1086 19960314
OTHER SOURCE(S): MARPAT 125:320559
GI



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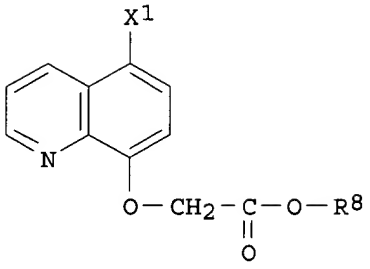


II

AB The title compn. comprises a pyrimidine or triazine herbicide I [Z = N or CH; R1 = H, CN, OH, etc.; R2,R3 = H or alkyl; R4 = 1-imidazolyl, NHSO2R7, etc.; R5 = alkyl; R6 = alkyl or alkoxy; R7 = (cyclo)alkyl, (un)substituted Ph, etc.; X = O or S] and as antidote a quinoline deriv. II (R8 = H, alkyl, etc.; X1 = H or Cl), a phenylpyrazole deriv., a urea deriv., etc.

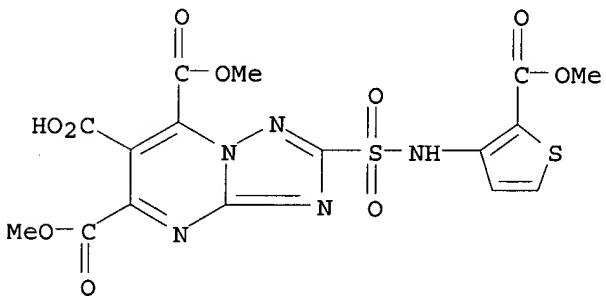
IT **183172-24-9**
RL: AGR (Agricultural use); BIOL (Biological study); USES (Uses)
(safened selective herbicidal compn.)

RN 183172-24-9 CAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidine-5,6,7-tricarboxylic acid, 2-[[[2-(methoxycarbonyl)-3-thienyl]amino]sulfonyl]-, 5,7-dimethyl ester, mixt. with 2-[(4,6-dimethoxy-2-pyrimidinyl)thio]-3-methoxy-3-methyl-N-(methylsulfonyl)butanamide (9CI) (CA INDEX NAME)

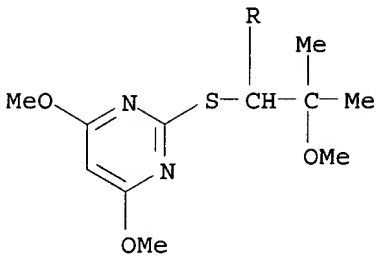
CM 1

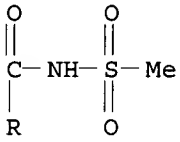
CRN 183172-23-8
CMF C16 H13 N5 O10 S2



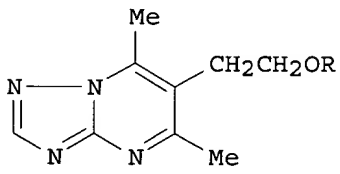
CM 2

CRN 147111-61-3
CMF C13 H21 N3 O6 S2





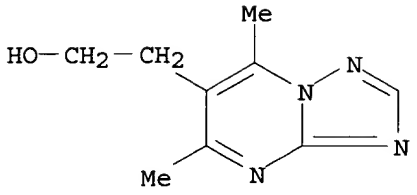
L3 ANSWER 35 OF 110 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1996:394708 CAPLUS
DOCUMENT NUMBER: 125:195557
TITLE: Reaction of 1,1-diacetylcyclopropane with 3-amino-1,2,4-triazole as a new method for the synthesis of 6-functionally substituted 1,2,4-triazolo[1,5-a]pyrimidines
AUTHOR(S): Vartanyan, M. M.; Soloveva, T. Yu.; Eliseev, O. L.; Panina, M. E.
CORPORATE SOURCE: N.D. Zelinsky Inst. Organic Chem., Russian Acad. Scis., Moscow, 117913, Russia
SOURCE: Izvestiya Akademii Nauk, Seriya Khimicheskaya (1993), (7), 1322-1323
CODEN: IASKEA
PUBLISHER: Institut Organicheskoi Khimii im. N. D. Zelinskogo Rossiiskoi Akademii Nauk
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI



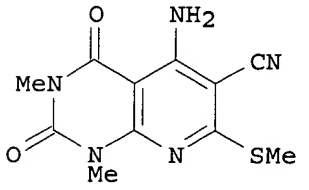
I

AB 1,1-Diacetylcyclopropane reacts with 3-amino-1,2,4-triazole in both aq. and glacial acetic acid to give, resp., triazolo[1,5-a]pyrimidines I (R = H, Ac) in 52 and 46% yield, resp.

IT **180621-77-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 180621-77-6 CAPLUS
CN [1,2,4]Triazolo[1,5-a]pyrimidine-6-ethanol, 5,7-dimethyl- (9CI) (CA INDEX NAME)



L3 ANSWER 36 OF 110 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1996:94597 CAPLUS
DOCUMENT NUMBER: 124:232289
TITLE: Synthesis of polycyclic nitrogen-containing heterocycles: one-pot formation of 1,6-naphthyridine ring system by reaction of aminocyanomethylthioheterocycles with dialkyl acetylenedicarboxylates
AUTHOR(S): Tominaga, Yoshinori; Yoshioka, Noriko
CORPORATE SOURCE: Faculty of Pharmaceutical Sciences, Nagasaki University, Nagasaki, 852, Japan
SOURCE: Heterocycles (1996), 42(1), 53-6
CODEN: HTCYAM; ISSN: 0385-5414
PUBLISHER: Japan Institute of Heterocyclic Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 124:232289
GI



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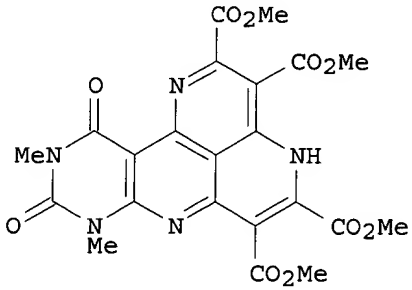
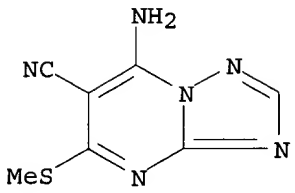


II

AB The reaction of 5-amino-6-cyano-1,3-dimethyl-7-methylthiopyrido[2,3-d]pyrimidine-2,4(1H,3H)-dione (I) with di-Me acetylenedicarboxylate (DMAD) in the presence of potassium carbonate in DMSO gave tetra-Me 8,9,10,11-tetrahydro-8,10-dimethyl-9,10-dioxo-4H-pyrimido[4',5':5,6]pyrido[2,3,4-cb][1,6]naphthyridine-2,3,5,6-tetracarboxylate (II). The reaction of other heterocycles bearing amino, cyano, and methylthio groups with DMAD or DEAD under the same reaction conditions gave the corresponding tetracyclic heterocycles contg. the fundamental 1,6-naphthyridine ring system.

IT **98190-26-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of naphthyridine ring system from aminocyanomethylthioheterocycles and acetylenedicarboxylates)

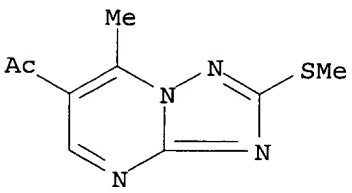
RN 98190-26-2 CAPLUS
CN [1,2,4]Triazolo[1,5-a]pyrimidine-6-carbonitrile, 7-amino-5-(methylthio)-(9CI) (CA INDEX NAME)



L3 ANSWER 37 OF 110 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1995:548834 CAPLUS
DOCUMENT NUMBER: 123:112014
TITLE: On Triazoles. XXXV 1. The reaction of 5-amino-1,2,4-triazoles with di- and triketones
AUTHOR(S): Reiter, Jozsef; Pongo, Laszlo; Koevesdi, Istvan; Pallagi, Istvan
CORPORATE SOURCE: EGIS Pharmaceuticals, Budapest, Hung.
SOURCE: Journal of Heterocyclic Chemistry (1995), 32(2), 407-17
CODEN: JHTCAD; ISSN: 0022-152X
PUBLISHER: HeteroCorporation
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The reaction of 5-amino-1H-1,2,4-triazoles with aliph., arom. and cyclic 1,3-diketones, 1,4-diketones, and different linear and non linear triketones was studied. It was shown that in case of unsym. aliph. 1,3-diketones the regiochem. outcome of the reaction was influenced by steric factors. In case of triacetylmethane and 3-(4-chlorobenzyl)-2,4-pentanedione the splitting of one acetyl group from the reactant was obsd. during the reaction. A liner triketone, namely the 2,4,6-heptanetrione reacted as a simple 1,3-diketone.

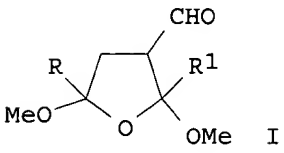
IT **165684-51-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 165684-51-5 CAPLUS
CN Ethanone, 1-[7-methyl-2-(methylthio)[1,2,4]triazolo[1,5-a]pyrimidin-6-yl]-(9CI) (CA INDEX NAME)



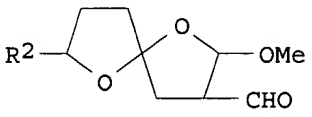
L3 ANSWER 38 OF 110 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1995:497953 CAPLUS
DOCUMENT NUMBER: 122:314513
TITLE: Synthesis of 3-formyl-2,5-dialkoxytetrahydrofurans and their reaction with 3-amino-1,2,4-triazole
AUTHOR(S): Vartanyan, M. M.; Eliseev, O. L.; Solov'eva, T. Yu.; Ugrak, B. I.; Skov, H. R.
CORPORATE SOURCE: N. D. Zelinsky Inst. Org. Chem., Moscow, 117913, Russia
SOURCE: Izvestiya Akademii Nauk, Seriya Khimicheskaya (1994), (11), 1997-2001
CODEN: IASKEA

09/ 895,975

PUBLISHER: Institut Organicheskoi Khimii im. N. D. Zelinskogo Rossiiskoi Akademii Nauk
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI



I



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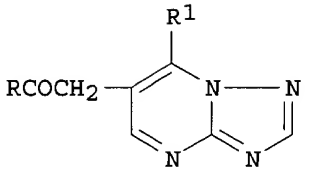
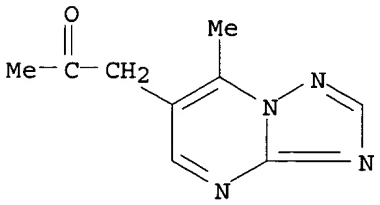


III

AB Tetrahydrofurancarboxaldehydes I (R = Me, CH2OH, MeOCH2, etc., R1 = H; R = R1 = Me) and II (R2 = H, Me, Ph) are prepd. by hydroformylation reactions. Reaction of I with 3-amino-1,2,4-triazole gave triazolopyrimidines III.
IT **163401-49-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 163401-49-8 CAPLUS
CN 2-Propanone, 1-(7-methyl[1,2,4]triazolo[1,5-a]pyrimidin-6-yl)- (9CI) (CA INDEX NAME)



L3 ANSWER 39 OF 110 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1995:462796 CAPLUS
DOCUMENT NUMBER: 122:278022
TITLE: Image formation of silver halide photographic materials
INVENTOR(S): Ito, Katsuhiko; Sanpei, Takeshi
PATENT ASSIGNEE(S): Konishiroku Photo Ind, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 27 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 06347954	A2	19941222	JP 1993-140638	19930611

PRIORITY APPLN. INFO.: JP 1993-140638 19930611
GI

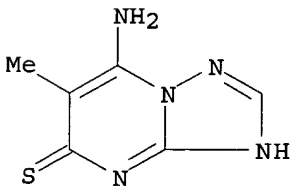
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title photog. materials, possessing .gtoreq.1 Ag halide emulsion layer on a support and contg. a hydrazine deriv. ANA1NA2GR [A = aryl, heterocycle contg. .gtoreq.1 S or O; G = (CO)n, sulfonyl, sulfoxy, P(:O)R1, iminomethylene; n = 1, 2; A1 = A2 = H or when 1 of A1 or A2 is H the other is (substituted) alkylsulfonyl (substituted) acyl; R = H, alkyl, aryl, heterocycle, amino, OR2; R1 = alkyl, alkenyl, alkynyl, aryl, satd. heterocycle, OR3; R2, R3 = alkyl, alkenyl, alkynyl, aryl, satd. heterocycle], an amine compd. R71R72NR73 (R71-73 = H, substituent, R71-73 may form a ring), and an alc. compd. R91R92CHOH (R91, R92 = H, substituent) in the emulsion layer and/or other hydrophilic colloid layer, are processed with a developing soln. of pH 9.5-12.3 contg. dihydroxybnezene-type developing agents, 3-pyrazolidone-type or aminophenol-type developing agents, .gtoreq.0.3 mol/L sulfites, and a N-contg. heterocyclic compd. selected from I, II, and III [R31-34, R41-44, R51-54 = H, SM1, OH, (substituted) alkyl, alkoxy, amino, aryl, SO3M2, CO2M3, .gtoreq.1 of R31-34, .gtoreq.1 of R41-44, and .gtoreq.1 of R51-54 are SM1; M1-3 = H, alkali metal, ammonium]. Even if the materials are processed with developing solns. contg. high concns. of sulfites, Ag sludge formation is suppressed and super-high contrast images with high sensitivity are obtained. Thus, a photog. film with a Ag(Cl, I, Br) emulsion layer contg. IV and Et2N(CH2)2(OCHMeCH2)7S(CH2)2NEt2 was exposed using a HeNe laser and developed with a developing soln. (pH 11.5) contg. hydroquinone, 4-methyl-4-hydroxymethyl-1-phenyl-3-pyrazolidone, Na2SO3 (55 g/L), and I (R31 = SH, R32-34 = H).

IT **159257-36-0**
RL: MOA (Modifier or additive use); TEM (Technical or engineered material use); USES (Uses)
(hydroquinone-type photog. developer contg. nitrogen-contg. heterocyclic compd.)

RN 159257-36-0 CAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidine-5(1H)-thione, 7-amino-6-methyl- (9CI) (CA INDEX NAME)



L3 ANSWER 40 OF 110 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER:	1995:420643 CAPLUS
DOCUMENT NUMBER:	123:228204
TITLE:	Triazolopyrimidine derivatives which are angiotensin II receptor antagonists, their methods of preparation and pharmaceutical compositions in which they are present
INVENTOR(S):	Bru-Magniez, Nicole; Guengor, Timur; Teulon, Jean-Marie
PATENT ASSIGNEE(S):	Laboratoires Upsa, Fr.
SOURCE:	U.S., 34 pp. Cont.-in-part of U.S. 5,231,094. CODEN: USXXAM
DOCUMENT TYPE:	Patent

09/ 895,975

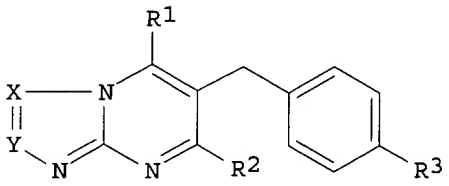
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5387747	A	19950207	US 1993-39382	19930416
FR 2687676	A1	19930827	FR 1992-2109	19920224
FR 2687676	B1	19940708		
US 5231094	A	19930727	US 1992-863955	19920406
FR 2687677	A1	19930827	FR 1992-5417	19920430
FR 2687677	B1	19961011		
WO 9317024	A1	19930902	WO 1993-FR161	19930218
W: AU, CA, CZ, FI, HU, JP, KR, NZ, RU, SK, UA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9336358	A1	19930913	AU 1993-36358	19930218
AU 668544	B2	19960509		
EP 628046	A1	19941214	EP 1993-905402	19930218
EP 628046	B1	19990512		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 07504178	T2	19950511	JP 1993-514581	19930218
SK 280343	B6	19991210	SK 1994-997	19930218
FI 9403808	A	19941024	FI 1994-3808	19940819

PRIORITY APPLN. INFO.:

FR 1992-2109	A	19920224
US 1992-863955	A2	19920406
FR 1992-5417	A	19920430
WO 1993-FR161	W	19930218

OTHER SOURCE(S): MARPAT 123:228204
GI



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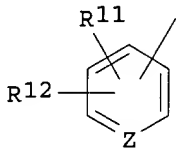

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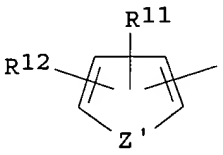


III

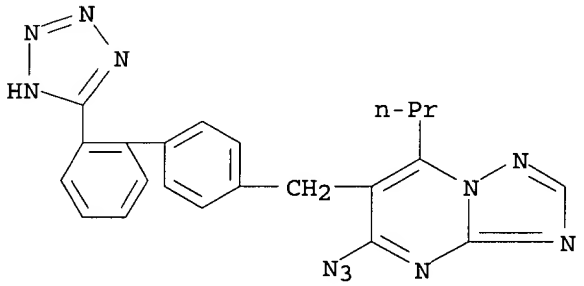
AB The present invention relates to the derivs. of the formula I [in which: one of the radicals R1 and R2 is a lower alkyl radical having 1 to 6 carbon atoms; an ether radical of the formula (CH2)pOR, in which p is an integer from 1 to 6 and R is a lower alkyl radical having 1 to 6 carbon atoms or a benzyl radical; or an alc. radical of the formula (CH2)pOH, in which p is as defined above; and the other radical R1 or R2 is the hydrogen atom; a halogen atom; a lower alkyl radical having 1 to 6 carbon atoms; or a radical selected from the group comprising the radicals N3, OR4, SR4, NR5R6 and NH(CH2)nNR5R6, in which: R4 = e.g., hydrogen atom; a lower alkyl radical having 1 to 6 carbon atoms or a C3-C7-cycloalkyl radical; R5 and R6, which are identical or different, are, e.g., the hydrogen atom; or a lower alkyl radical having 1 to 6 carbon atoms or a C3-C7-cycloalkyl radical; n = 1-4; X and Y, which are different, are in

one case the nitrogen atom; and in the other case a group C-R7 in which R7 = e.g., H, C1-6-alkyl; R3 = II or III in which: Z is CH or N or Z' is S or O; R11 is the hydrogen atom or a halogen atom; and R12 is a tetrazole radical, CN, COOH or CONH2] and its tautomeric forms and its pharmaceutically acceptable addn. salts. I are useful in therapeutics, esp. for the treatment and prevention of cardiovascular diseases and in particular for the treatment of hypertension, cardiac insufficiency and diseases of the arterial wall, esp. atherosclerosis. Percentage displacement of the radioligand specifically bound to the adrenal angiotensin II receptors by I: at 1 .times. 10-5 M, 58-69%; at 1 .times. 10-7 M, 11-60%. Inhibition of cell proliferation induced by growth factors: 100% inhibition of the incorporation of 3H-thymidine induced by PDGF at 1 .times. 10-4 M.

IT **168152-71-4P**, 5-Azido-7-propyl-6-[(2'-(1H-tetrazol-5-yl)-biphenyl-4-yl)methyl]-1,2,4-triazolo[1,5-a]pyrimidine
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(triazolopyrimidine derivs. which are angiotensin II receptor antagonists)

RN 168152-71-4 CAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidine, 5-azido-7-propyl-6-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]- (9CI) (CA INDEX NAME)



L3 ANSWER 41 OF 110 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1995:388997 CAPLUS

DOCUMENT NUMBER: 122:265272

TITLE: Studies in antiparasitic agents. Part 24. Synthesis of 5-(2-furyl)-2-substituted-amino-1,3,4-triazoles and substituted 1,3,4-triazolo[1,5-a]pyrimidines as potential antifilarial and leishmanicidal agents

AUTHOR(S): Srivastava, Ravi P.; Kumar, Versha V.; Bhatia, Sonika; Sharma, Satyavan

CORPORATE SOURCE: Medicinal Chemistry Division, Central Drug Research Institute, Lucknow, 226 001, India

SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1995), 34B(3), 209-14
CODEN: IJSBDB; ISSN: 0376-4699

PUBLISHER: Publications & Information Directorate, CSIR

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 122:265272

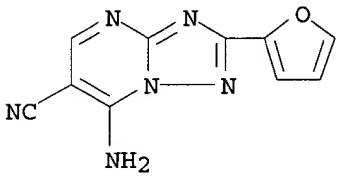
AB A series of 5-(2-furyl)-2-substituted-amino-1,3,4-triazoles and 2-(2-furyl)-1,3,4-triazolo[1,5-a]pyrimidines have been synthesized as possible inhibitors of antioxidant enzymes in filariids and leishmanial parasites. All the compds. have been evaluated for their antifilarial and antileishmanial activities. The antifilarial activity has been evaluated

against Litomosoides carinii infection in cotton rats while the in vitro leishmanicidal activity was detd. using macrophage amastigote culture isolated from cotton rats infected with Leishmania donovani. In both the tests, none of the compds. exhibits any noteworthy antiparasitic activity.

IT **162711-67-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis of furyl-substituted aminotriazoles and triazolopyrimidines as potential antifilarial and leishmanicidal agents)

RN 162711-67-3 CAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidine-6-carbonitrile, 7-amino-2-(2-furanyl)- (9CI) (CA INDEX NAME)



L3 ANSWER 42 OF 110 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1994:711784 CAPLUS
DOCUMENT NUMBER: 121:311784
TITLE: Composition for developing a black-and-white silver halide photographic light-sensitive material.
INVENTOR(S): Ishikawa, Wataru; Sanpei, Takeshi; Kato, Mariko
PATENT ASSIGNEE(S): Konica Corp., Japan
SOURCE: Eur. Pat. Appl., 41 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 601503	A2	19940615	EP 1993-119560	19931204
EP 601503	A3	19940706		
EP 601503	B1	20000607		
R: DE, FR, GB, IT				
JP 06175302	A2	19940624	JP 1992-329601	19921209
JP 3172895	B2	20010604		
JP 06186691	A2	19940708	JP 1992-342765	19921222
JP 3172897	B2	20010604		
JP 06258783	A2	19940916	JP 1993-45345	19930305
JP 3184896	B2	20010709		
US 5508153	A	19960416	US 1995-380147	19950127

PRIORITY APPLN. INFO.:
JP 1992-329601 A 19921209
JP 1992-342765 A 19921222
JP 1993-45345 A 19930305
US 1993-159847 B1 19931201

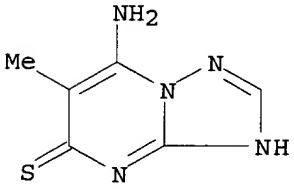
OTHER SOURCE(S): MARPAT 121:311784
GI For diagram(s), see printed CA Issue.
AB The developer compn. contains a compd. represented by I, II, III, IV, or V [R , R2, R3 and R4 each independently a H, halogen atom, -SM1 group, an alkyl group having 1-5 carbon atoms, an alkoxyl group having 1-5 carbon atoms, a hydroxyl group, an SO3M3 group, an alkenyl group having 2 to 5 carbon atoms, an amino group, a COOM2 group, a carbamoyl group or a Ph group, provided that at least one of R1-R4 in each formula is an -SM

group, in the above M1-M3 are each independently a hydrogen atom, an alkali metal atom or an ammonium group; Z = atoms necessary to form a ring necessary to form a pyrazole or a triazole ring (in the case of triazole ring the R1 is H); Z1 = atoms necessary to form a triazole ring where the R1 is located on the non-ring-sharing C]. The pH value of the compn. is <11.5. The developer does not produce silver stains, does not spoil fixability, and can be used in rapid processing.

IT **159257-36-0**
RL: MOA (Modifier or additive use); USES (Uses)
(compn. for photog. developer)

RN 159257-36-0 CAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidine-5(1H)-thione, 7-amino-6-methyl- (9CI) (CA INDEX NAME)

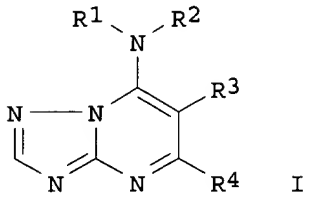


L3 ANSWER 43 OF 110 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1994:680667 CAPLUS
DOCUMENT NUMBER: 121:280667
TITLE: Triazolopyrimidine derivatives with fungicidal activity
INVENTOR(S): Pees, Klaus-Juergen
PATENT ASSIGNEE(S): Shell Internationale Research Maatschappij B.V., Neth.
SOURCE: Eur. Pat. Appl., 11 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 613900	A1	19940907	EP 1994-200532	19940302
EP 613900	B1	19970514		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
IL 108731	A1	19970318	IL 1994-108731	19940222
AU 9456332	A1	19940908	AU 1994-56332	19940223
AU 672267	B2	19960926		
AT 153025	E	19970515	AT 1994-200532	19940302
ES 2101429	T3	19970701	ES 1994-200532	19940302
CA 2116946	AA	19940905	CA 1994-2116946	19940303
BR 9400808	A	19941101	BR 1994-808	19940303
ZA 9401484	A	19941110	ZA 1994-1484	19940303
JP 07002861	A2	19950106	JP 1994-56799	19940303
HU 68050	A2	19950529	HU 1994-647	19940303
RO 112869	B1	19980130	RO 1994-327	19940303
RU 2126408	C1	19990220	RU 1994-7093	19940303
CN 1094407	A	19941102	CN 1994-102637	19940304
US 5756509	A	19980526	US 1997-838013	19970422

PRIORITY APPLN. INFO.: EP 1993-103465 A 19930304
US 1994-205000 B1 19940303
US 1995-458009 B1 19950601

OTHER SOURCE(S): MARPAT 121:280667
GI



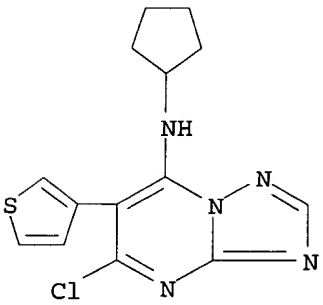
I

AB The invention relates to triazolopyrimidine derivs. I [R1 = (un)substituted alkyl, alkenyl, alkynyl, alkadienyl, cycloalkyl, bicycloalkyl or heterocyclyl; R2 = H, alkyl; or NR1R2 = (un)substituted cycloalkyl or heterocyclyl; R3 = (un)substituted cycloalkyl or heterocyclyl; R4 = H, halo, NR5R6; R5 = H, amino, alkyl, cycloalkyl, bicycloalkyl; R6 = H, alkyl] and their prepn., compns., and use as fungicides. For example, condensation of 5,7-dichloro-6-(3-thienyl)-1,2,4-triazolo[1,5-a]pyrimidine with cyclopentylamine in THF in the presence of Et3N gave 71% I [R1 = cyclopentyl, R2 = H, R3 = 3-thienyl, R4 = Cl] (II). In a variety of expts., II gave > 80% control of (greenhouse, 600 ppm) Plasmopara viticola, Phytophthora infestans, Alternaria solani, and Botrytis cinerea, as well as (in vitro, 30 ppm) Pseudocercosporella herpotrichoides, Rhizoctonia solani, and Venturia inaequalis. I (R1 = iso-Pr, bicyclo[2.2.1]hept-2-yl; others as for II) were similarly prepd. and tested.

IT **158841-02-2P**, 5-Chloro-6-(3-thienyl)-7-cyclopentylamino-1,2,4-triazolo[1,5-a]pyrimidine
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of fungicidal triazolopyrimidine derivs.)

RN 158841-02-2 CAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-N-cyclopentyl-6-(3-thienyl)- (9CI) (CA INDEX NAME)



L3 ANSWER 44 OF 110 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1994:99434 CAPLUS

DOCUMENT NUMBER: 120:99434

TITLE: Herbicides containing triazolopyrimidine derivatives

INVENTOR(S): Sato, Junichi; Sanemitsu, Minoru; Ikushima, Nobusuke; Shibata, Hideyuki

PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 31 pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent

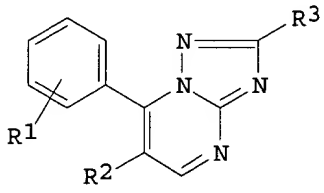
LANGUAGE: Japanese

09/ 895,975

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 05262773	A2	19931012	JP 1993-7462	19930120

PRIORITY APPLN. INFO.: JP 1992-9173 19920122
OTHER SOURCE(S): MARPAT 120:99434
GI

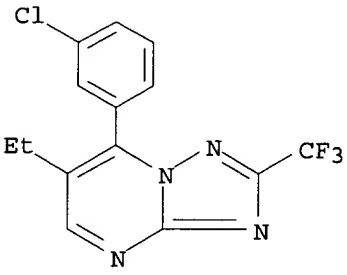


I

AB A new herbicide contains a triazolopyrimidine deriv. selected from I (R1 = H, lower alkoxy, alkylthio, alkyl, haloalkyl, haloalkoxy, cyano, halo, haloalkylthio; R2 = lower alkoxy, alkyl, alkylthio; R3 = halo, lower haloalkyl, haloalkylthio, etc.).

IT **152041-39-9P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as herbicide)

RN 152041-39-9 CAPLUS
CN [1,2,4]Triazolo[1,5-a]pyrimidine, 7-(3-chlorophenyl)-6-ethyl-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)



L3 ANSWER 45 OF 110 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1994:8611 CAPLUS
DOCUMENT NUMBER: 120:8611
TITLE: (Pyrimidylmethyl)biphenyls which are angiotensin II receptor antagonists
INVENTOR(S): Bru-Magniez, Nicole; Gungor, Timur; Teulon, Jean Marie
PATENT ASSIGNEE(S): Laboratoires UPSA, Fr.
SOURCE: U.S., 14 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

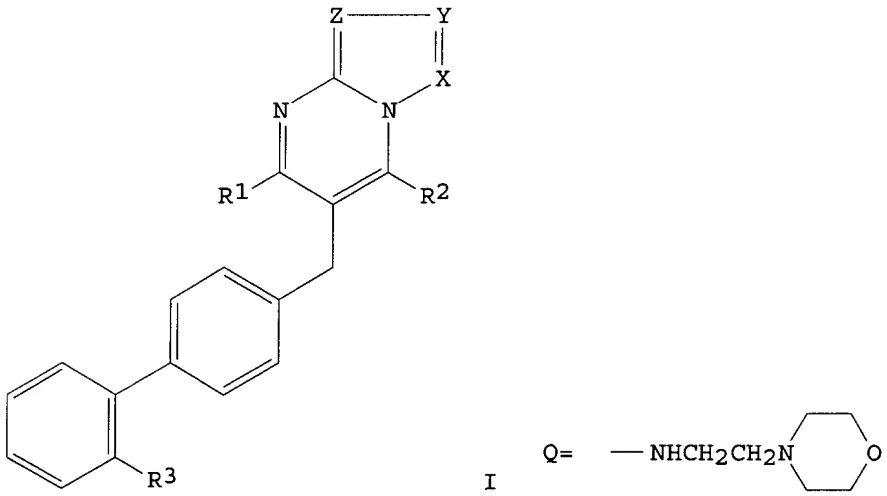
US 5231094	A	19930727	US 1992-863955		19920406
FR 2687676	A1	19930827	FR 1992-2109		19920224
FR 2687676	B1	19940708			
FR 2687677	A1	19930827	FR 1992-5417		19920430
FR 2687677	B1	19961011			
HU 70953	A2	19951128	HU 1994-2429		19930218
HU 70949	A2	19951128	HU 1994-2430		19930218
HU 220392	B	20020128			
CZ 282075	B6	19970514	CZ 1994-2044		19930218
RU 2116308	C1	19980727	RU 1994-40854		19930218
AT 179979	E	19990515	AT 1993-905402		19930218
ES 2133390	T3	19990916	ES 1993-905402		19930218
US 5389632	A	19950214	US 1993-21897		19930224
US 5387747	A	19950207	US 1993-39382		19930416

PRIORITY APPLN. INFO.:

FR 1992-2109	A	19920224
FR 1992-5417	A	19920430
US 1992-863955	A2	19920406
WO 1993-FR160	A	19930218
WO 1993-FR161	W	19930218

OTHER SOURCE(S): MARPAT 120:8611

GI



I

AB The title compds. I (one of R1 and R2 is a C1-6 alkyl radical and the other is H, halogen, OH, SH, alkoxy, etc.; R3 = tetrazolyl; 2 of the X, Y and Z atoms are N and the other is CR7; R7 = H, C1-6 alkyl), which are angiotensin II receptor antagonists and useful in the treatment of hypertension, etc., are prepd. Thus, trimethyltin azide was reacted with 6-[(2'-cyanobiphenyl-4-yl)methyl]-7-[2-(morpholin-4-yl)ethylamino]-5-propylpyrazolo[1,5-a]pyrimidine, producing I (R1 = Pr, R2 = Q, R3 = 1H-tetrazol-5-yl, X = N, Y = Z = CH), which demonstrated displacement of labeled ligand from angiotensin II receptors isolated from rat adrenal glands.

IT **151326-85-1P**

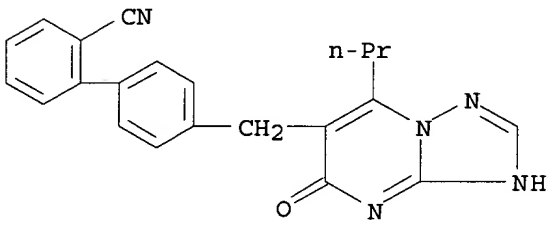
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and reaction of, in prepn. of angiotensin II receptor antagonists)

RN 151326-85-1 CAPLUS

09/ 895,975

CN [1,1'-Biphenyl]-2-carbonitrile, 4'-[(1,5-dihydro-5-oxo-7-propyl[1,2,4]triazolo[1,5-a]pyrimidin-6-yl)methyl]- (9CI) (CA INDEX NAME)



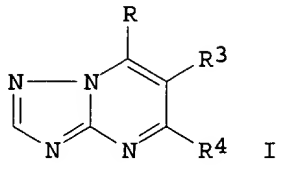
L3 ANSWER 46 OF 110 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1993:671190 CAPLUS
DOCUMENT NUMBER: 119:271190
TITLE: Triazolopyrimidine derivatives with fungicidal activity
INVENTOR(S): Pees, Klaus Juergen; Albert, Guido
PATENT ASSIGNEE(S): Shell Internationale Research Maatschappij B. V., Neth.
SOURCE: Eur. Pat. Appl., 38 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 550113	A2	19930707	EP 1992-204097	19921228
EP 550113	A3	19930804		
EP 550113	B1	19971015		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
AU 9230435	A1	19930701	AU 1992-30435	19921224
AU 667204	B2	19960314		
BR 9205172	A	19930706	BR 1992-5172	19921228
ZA 9210043	A	19930728	ZA 1992-10043	19921228
CN 1075144	A	19930811	CN 1992-115232	19921228
CN 1033643	B	19961225		
HU 63305	A2	19930830	HU 1992-4135	19921228
HU 217349	B	20000128		
JP 05271234	A2	19931019	JP 1992-358632	19921228
PL 171579	B1	19970530	PL 1992-312883	19921228
EP 782997	A2	19970709	EP 1997-105710	19921228
EP 782997	A3	19980722		
EP 782997	B1	20000426		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
IL 104244	A1	19970713	IL 1992-104244	19921228
RU 2089552	C1	19970910	RU 1992-16218	19921228
AT 159256	E	19971115	AT 1992-204097	19921228
ES 2108727	T3	19980101	ES 1992-204097	19921228
PL 174047	B1	19980630	PL 1992-297160	19921228
AT 192154	E	20000515	AT 1997-105710	19921228
ES 2147411	T3	20000901	ES 1997-105710	19921228
CA 2086404	AA	19930701	CA 1992-2086404	19921229
CN 1141119	A	19970129	CN 1996-103723	19960322
CN 1074650	B	20011114		

PRIORITY APPLN. INFO.: EP 1991-122422 A 19911230
EP 1992-204097 A3 19921228
OTHER SOURCE(S): MARPAT 119:271190

09/ 895,975

GI



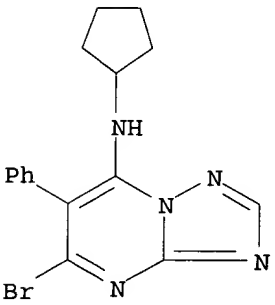
I

AB Amination of triazolopyrimidine derivs. I [R, R4 = halo; R3 = (un)substituted aryl] with amines HNR1R2 [R1 = (un)substituted alkyl, alkenyl, alkynyl, alkadienyl, cycloalkyl, bicycloalkyl, heterocyclyl; R2 = H, alkyl; or NR1R2 = (un)substituted heterocyclyl] and optional subsequent reaction(s) give claimed title compds. I [R = NR1R2, R1-R3 = same, R4 = H, halo, (un)substituted amino], useful as fungicides. Apple cuttings of the variety Morgenduft, (6 wk old) were treated with a soln. of test compd. I (R = cyclopentylamino, R3 = Ph, R4 = Br) at 400 ppm in water/acetone/Triton X or water/methanol/Triton X. After 24 h., the plants were infected with Venturia inaequalis (about 50,000 conidia/mL), and after incubation for 14 days showed no infection.

IT **150987-16-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and fungicidal activity of)

RN 150987-16-9 CAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-bromo-N-cyclopentyl-6-phenyl- (9CI) (CA INDEX NAME)



L3 ANSWER 47 OF 110 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1993:207427 CAPLUS

DOCUMENT NUMBER: 118:207427

TITLE: 1,2,4-Triazolo[1,5-a]pyrimidine-2-sulfonanilide herbicides. Influence of alkoxy heterocyclic substitution on in vitro and in vivo biological activity and soil decomposition

AUTHOR(S): Kleschick, William A.; Carson, C. M.; Costales, Mark J.; Doney, J. J.; Gerwick, B. Clifford; Holtwick, J. B.; Meikle, R. W.; Monte, W. T.; Little, J. C.; et al.

CORPORATE SOURCE: DowElanco Res. Lab., Greenfield, IN, 46140, USA

SOURCE: ACS Symposium Series (1992), 504(Synth. Chem. Agrochem. III), 17-25
CODEN: ACSMC8; ISSN: 0097-6156

DOCUMENT TYPE: Journal

LANGUAGE: English

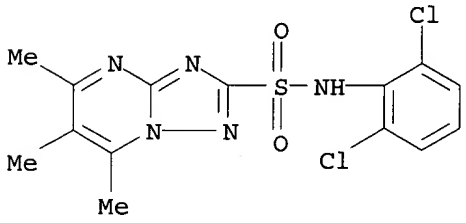
09/ 895,975

AB The synthesis and structure activity studies surrounding alkoxy substituted 1,2,4-triazolo[1,5-a]pyrimidine-2-sulfonanilide herbicides is discussed. Groups substituted at the 5- and 7-positions of the triazolopyrimidine ring can include amino, alkylamino, dialkylamino and alkylthio. The effects of substitutions such as alkyl, alkyloxy, halo, haloalkyl, and nitro on the Ph and triazolopyrimidine rings on the herbicidal activity against broadleaf weeds and decompn. of these compds. in the soil is reported. Thus, alkoxy substitution on the triazolopyrimidine ring enhanced herbicidal activity and provides a means to modulate the soil behavior of these compds.

IT **98966-99-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and soil stability of, herbicidal activity in relation to)

RN 98966-99-5 CAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidine-2-sulfonamide, N-(2,6-dichlorophenyl)-5,6,7-trimethyl- (9CI) (CA INDEX NAME)



L3 ANSWER 48 OF 110 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1993:2390 CAPLUS

DOCUMENT NUMBER: 118:2390

TITLE: 7-Phenyl-1,2,4-triazolo[1,5-a]pyrimidines and related heterocycles. A new family of bleaching herbicides

AUTHOR(S): Selby, Thomas P.; Andrea, Tariq A.; Denes, L. Radu; Finkelstein, Bruce L.; Fuesler, Thomas P.; Smith, Ben K.

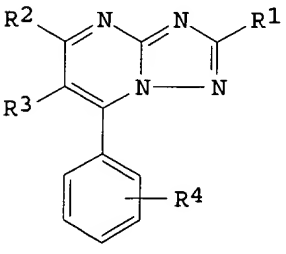
CORPORATE SOURCE: Stine-Haskell Res. Cent., E. I. du Pont de Nemours and co., Newark, DE, 19714, USA

SOURCE: ACS Symposium Series (1992), 504(Synth. Chem. Agrochem. III), 91-102
CODEN: ACSMC8; ISSN: 0097-6156

DOCUMENT TYPE: Journal

LANGUAGE: English

GI

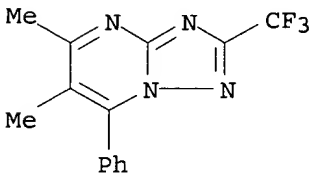


I

AB Substituted 7-phenyl-1,2,4-triazolo[1,5-a]pyrimidines (I, R1 = H, Me, Et, halo, CF3, OMe; R2 = alkyl, OMe, SMe; R3 = H, Me, Cl; R4 = H, Cl, CF3, Me) and related heterocycles represent a new family of highly active herbicides which produce bleaching symptoms and have demonstrated activity

at rates as low as 31 g/ha. Many of the 25 compds. studied were readily prepd. via condensation of 3-amino-1,2,4-triazoles with phenyl-substituted 1,3-dicarbonyl synthons, most commonly phenyl-1,3-diketones. In addn., other analogs were made by derivatization of the substituents on these intact triazolo[1,5-a]pyrimidines. Syntheses of related 2-alkoxy and haloalkoxytriazolo[1,5-a]pyrimidines, a triazolo[1,5-b]pyridazine, and a pyrazolo[1,5-a]pyrimidine are also described. This class of compds. has shown broad-spectrum weed control with selectivity to key crops such as cereals, cotton, and rice. The mode-of-action was inhibition of phytoene desaturase, an enzyme involved in carotenoid biosynthesis.

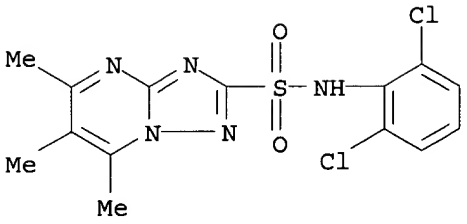
IT **144730-27-8P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and herbicidal activity of, structure in relation to)
RN 144730-27-8 CAPLUS
CN [1,2,4]Triazolo[1,5-a]pyrimidine, 5,6-dimethyl-7-phenyl-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)



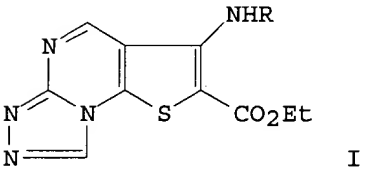
L3 ANSWER 49 OF 110 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1992:628373 CAPLUS
DOCUMENT NUMBER: 117:228373
TITLE: 1,2,4-Triazolo[1,5-a]pyrimidine-2-sulfonanilide herbicides. Influence of alkyl, haloalkyl, and halogen heterocyclic substitution on in vitro and in vivo biological activity
AUTHOR(S): Kleschick, William A.; Costales, Mark J.; Gerwick, B. Clifford; Holtwick, J. B.; Meikle, R. W.; Monte, W. T.; Pearson, N. R.; Snider, S. W.; Subramanian, M. V.; et al.
CORPORATE SOURCE: DowElanco Res. Lab., Greenfield, IN, 46140, USA
SOURCE: ACS Symposium Series (1992), 504(Synth. Chem. Agrochem. III), 10-16
CODEN: ACSMC8; ISSN: 0097-6156
DOCUMENT TYPE: Journal
LANGUAGE: English

AB An outline of the synthetic routes used to prep. a series of alkyl, halo and haloalkyl substituted 1,2,4-triazolo[1,5a]-pyrimidine-2-sulfonanilides is presented. The in vitro activity against acetolactate synthase and the herbicidal activity of these analogs is discussed. The evaluation of these activities led to the selection of DE-498 as a candidate for development as a broadleaf herbicide for soybeans, corn and other crops.

IT **98966-99-5P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and herbicidal activities of, structures in relation to)
RN 98966-99-5 CAPLUS
CN [1,2,4]Triazolo[1,5-a]pyrimidine-2-sulfonamide, N-(2,6-dichlorophenyl)-5,6,7-trimethyl- (9CI) (CA INDEX NAME)



L3 ANSWER 50 OF 110 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1991:559087 CAPLUS
DOCUMENT NUMBER: 115:159087
TITLE: Synthesis of thieno[3,2-e]-1,2,4-triazolo[a]pyrimidines
AUTHOR(S): Tumkevicius, S.; Mickiene, J.
CORPORATE SOURCE: Dep. Org. Chem., Vilnius Univ., Vilnius, 232006, USSR
SOURCE: Organic Preparations and Procedures International (1991), 23(4), 413-18
CODEN: OPPIAK; ISSN: 0030-4948
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



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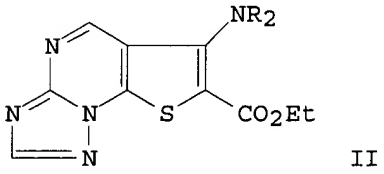
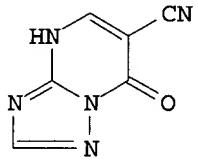


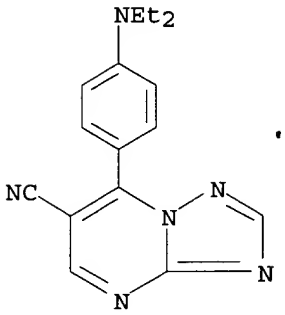
II



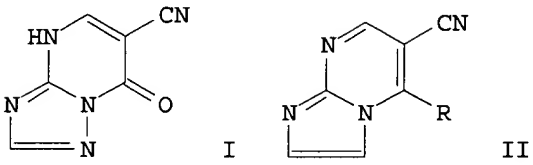
III

AB Title compds. I (R = H, Ac) and II were prepd. in several steps. Thus, cyanothiazolopyrimidinone III was chlorinated with POCl3/N,N-diethylaniline and subsequently cyclocondensed with HSCH2CO2Et to give II (R = H) which was acetylated with Ac2O to give II (R = Ac).

IT **134894-92-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 134894-92-1 CAPLUS
CN [1,2,4]Triazolo[1,5-a]pyrimidine-6-carbonitrile, 7-[4-(diethylamino)phenyl]- (9CI) (CA INDEX NAME)



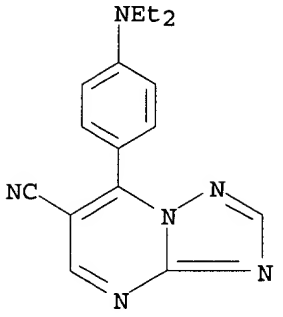
L3 ANSWER 51 OF 110 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1991:492201 CAPLUS
DOCUMENT NUMBER: 115:92201
TITLE: Reaction of 6-cyano-1,2,4-triazolo[1,5-a]pyrimidin-5(8H)-one with phosporous oxychloride in the presence of N,N-diethylaniline
AUTHOR(S): Tumkevicius, S.; Mickine, J.
CORPORATE SOURCE: Vilnius State Univ., Vilnius, USSR
SOURCE: Khimiya Geterotsiklicheskikh Soedinenii (1991), (2), 281
CODEN: KGSSAQ; ISSN: 0453-8234
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI



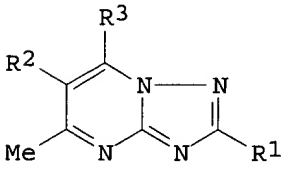
AB The title reaction of triazolopyrimidinone I, in addn. to the expected chloro deriv. II (R = Cl) also gives the (diethylamino)phenyl deriv. II (R = p-Et2NC6H4) as a major byproduct.

IT **134894-92-1P**
RL: FORM (Formation, nonpreparative); PREP (Preparation)
(formation of, as byproduct in chlorination of cyanotriazolopyrimidinone)

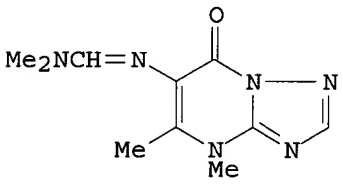
RN 134894-92-1 CAPLUS
CN [1,2,4]Triazolo[1,5-a]pyrimidine-6-carbonitrile, 7-[4-(diethylamino)phenyl]- (9CI) (CA INDEX NAME)



L3 ANSWER 52 OF 110 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1991:101919 CAPLUS
DOCUMENT NUMBER: 114:101919
TITLE: 1,2,4-Triazolo[1,5-a]pyrimidines. Part 8. Reactions of amino- and hydrazino-1,2,4-triazolo[1,5-a]-pyrimidine derivatives with dimethylformamide dimethyl acetal
AUTHOR(S): Hempel, Ute; Lippmann, Eberhard; Tenor, Ernst
CORPORATE SOURCE: Sekt. Chem., Karl-Marx-Univ., Leipzig, DDR-7010, Ger. Dem. Rep.
SOURCE: Zeitschrift fuer Chemie (1990), 30(9), 320-1
CODEN: ZECEAL; ISSN: 0044-2402
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 114:101919
GI



I

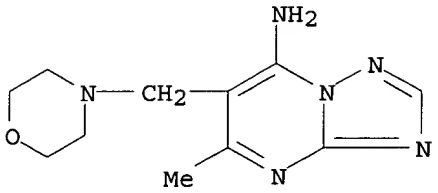


II

AB The prepn. of amidine derivs. of Rocornal was described. The amidination of 7-amino-1,2,4-triazolo[1,5-a]pyrimidine derivs. with Me2NCH(OMe)2 gave N,N-dimethyl-N'-(5-methyl-1,2,4-triazolo[1,5-a]pyrimid-7-yl)formamidines I (R1 = H, NHCOMe; R2 = H, piperidinomethyl, morpholinomethyl, pyrrolidinomethyl, CH2NEt2, NO2; R3 = N:CHNMe2). The reaction of I (R1 = R2 = H, R3 = N:CHNMe2) with H2NOH.HCl gave N-(5-methyl-1,2,4-triazolo[1,5-a]pyrimid-7-yl)formamidoxime. The reaction of 7-hydrazino-5-methyl-1,2,4-triazolo[1,5-a]pyrimidine with Me2NCH(OMe)2 gave only the methylated product, i.e., N,N-dimethyl-N'-(5-methyl-1,2,4-triazolo[1,5-a]pyrimid-7-yl)formamidrazone. The reaction of 6-amino-5-methyl-1,2,4-triazolo[1,5-a]pyrimid-7(4H)one with Me2NCH(OMe)2 gave the amidrazone II.

IT **118973-83-4**
RL: RCT (Reactant); RACT (Reactant or reagent)
(amidination of, with DMF di-Me acetal, amidine from)

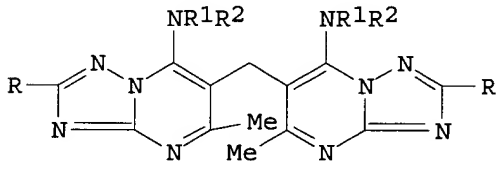
RN 118973-83-4 CAPLUS
CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-methyl-6-(4-morpholinylmethyl)-(9CI) (CA INDEX NAME)



L3 ANSWER 53 OF 110 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1990:631404 CAPLUS
DOCUMENT NUMBER: 113:231404
TITLE: Preparation of bis-(7-amino-5-methyl-2-substituted-1,2,4-triazolo[1,5-a]pyrimid-6-yl)methanes
INVENTOR(S): Lippmann, Eberhard; Hempel, Ute; Tenor, Ernst; Thomas, Eckhard
PATENT ASSIGNEE(S): VEB Deutsches Hydrierwerk Rodleben, Ger. Dem. Rep.
SOURCE: Ger. (East), 3 pp.
CODEN: GEXXA8
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DD 276284	A1	19900221	DD 1988-319295	19880830

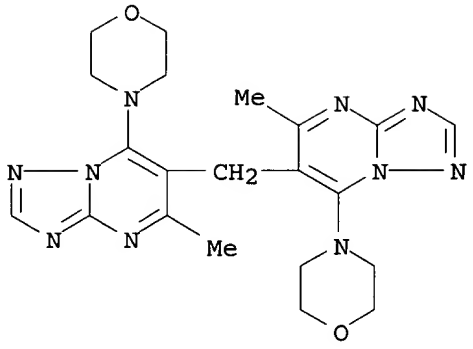
PRIORITY APPLN. INFO.: DD 1988-319295 19880830
OTHER SOURCE(S): CASREACT 113:231404; MARPAT 113:231404
GI



I

AB The title compds. (I; R = H, alkyl, aralkyl, aryl; R1, R2 = H, alkyl; NR1R2 = piperidino, morpholino, pyrrolidino, etc.), were prepd. by treatment of the corresponding dihalo compds. with excess HNR1R2 at 50-100.degree.. Thus, bis(1-chloro-5-methyl-1,2,4-triazolo[1,5-a]pyrimid-6-yl)methane and piperidine were refluxed 5 days to give 58% I (R = H, NR1R2 = piperidino).

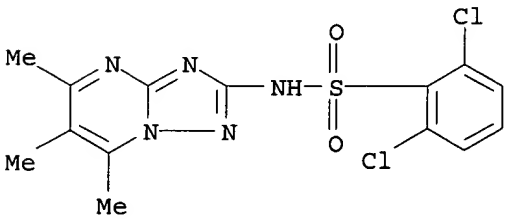
IT **130541-16-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 130541-16-1 CAPLUS
CN [1,2,4]Triazolo[1,5-a]pyrimidine, 6,6'-methylenebis[5-methyl-7-(4-morpholinyl)- (9CI) (CA INDEX NAME)



L3 ANSWER 54 OF 110 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1990:606633 CAPLUS
DOCUMENT NUMBER: 113:206633
TITLE: New herbicidal derivatives of 1,2,4-triazolo[1,5-a]pyrimidine
AUTHOR(S): Kleschick, William A.; Costales, Mark J.; Dunbar, Joseph E.; Meikle, Richard W.; Monte, William T.; Pearson, Norman R.; Snider, Sigrid W.; Vinogradoff, Anna P.
CORPORATE SOURCE: Agric. Prod. Dep., Dow Chem. USA, Walnut Creek, CA, 94598, USA
SOURCE: Pesticide Science (1990), 29(3), 341-55
CODEN: PSSCBG; ISSN: 0031-613X
DOCUMENT TYPE: Journal
LANGUAGE: English

AB N-(1,2,4-Triazolo][1,5-a]pyrimidino)benzenesulfonamide (I) and N-phenyl-5,7-dimethyl-1,2,4-triazolo[1,5-a]pyrimidine-2-sulfonamide analogs were prepd. and their herbicidal activities and mode of action were related to known sulfonylurea and imidazolinone herbicides. The effect of these compds. on branched-chain amino acid biosynthesis and on acetolactate synthase was examd. in I, the herbicidal activity varied according to position of Cl substitution on the Ph ring; substitution at the ortho-position produced the highest levels of herbicidal activity against Abutilon theophrasti. Structure-activity relationships of these compds. are discussed.

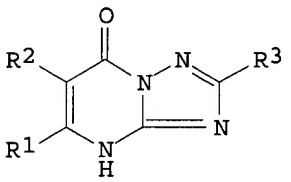
IT **99452-94-5P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and herbicidal activity of, structure in relation to)
RN 99452-94-5 CAPLUS
CN Benzenesulfonamide, 2,6-dichloro-N-(5,6,7-trimethyl[1,2,4]triazolo[1,5-a]pyrimidin-2-yl)- (9CI) (CA INDEX NAME)



L3 ANSWER 55 OF 110 CAPLUS COPYRIGHT 2003 ACS

09/ 895,975

ACCESSION NUMBER: 1990:478352 CAPLUS
DOCUMENT NUMBER: 113:78352
TITLE: Triazoles. XIX. The reaction of 5-amino-1,2,4-triazoles with functionalized acetoacetic esters
AUTHOR(S): Reiter, Jozsef; Pongo, Laszlo; Somorai, Tamas; Pallagi, Istvan
CORPORATE SOURCE: EGIS Pharm., Budapest, H-1475, Hung.
SOURCE: Monatshefte fuer Chemie (1990), 121(2-3), 173-87
CODEN: MOCMB7; ISSN: 0026-9247
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 113:78352
GI



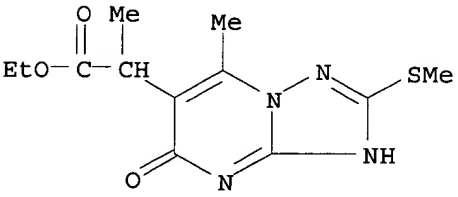
I

AB The treatment of R1COCHR2CO2Et (R1 = Me, Ph, ClCH2; R2 = H, Me, EtO2CCH2, EtO2CCH2CH2, Cl) with 5-amino-1H-1,2,4-triazoles gave triazolopyrimidinones I (same R1, R2; R3 = Me2N, Et2N, piperidino, octylthio, benzylamino, MeS, etc). The reaction of 5-amino-3-(methylthio)-1H-1,2,4-triazole with MeCOCH(CH2CH2CO2Et)CO2Et gave 6-[(1-ethoxycarbonyl)ethyl]-5-methyl-2-(methylthio)-1,2,4-triazolopyrimidin-7(8H)-one and diazepinone II.

IT **128626-91-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

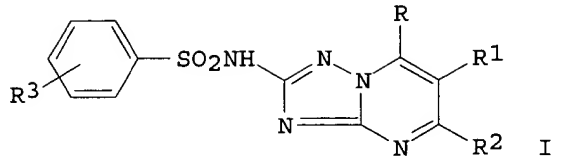
RN 128626-91-5 CAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidine-6-acetic acid, 1,5-dihydro-.alpha.,7-dimethyl-2-(methylthio)-5-oxo-, ethyl ester (9CI) (CA INDEX NAME)

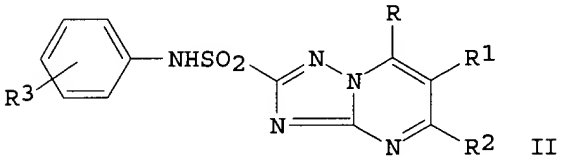


L3 ANSWER 56 OF 110 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1990:72178 CAPLUS
DOCUMENT NUMBER: 112:72178
TITLE: The chemistry and biochemistry of triazolopyrimidinesulfonanilides, a new class of acetolactate synthase inhibitors
AUTHOR(S): Kleschick, William A.; Gerwick, B. Clifford, III
CORPORATE SOURCE: Agric. Prod. Dep., Dow Chem. U. S. A., Walnut Creek, CA, 94598, USA
SOURCE: BCPC Monograph (1989), 42(Prospects Amino Acid Biosynth. Inhib. Crop Prot. Pharm. Chem.), 139-46

CODEN: MBCCDO; ISSN: 0306-3941
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



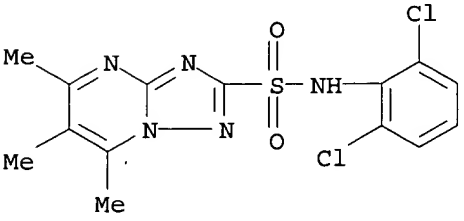
I



II

AB A no. of 1,2,4-triazolo[1,5-a]pyrimidinylarenesulfonamides (I, R, R1, R2, R3 = different substituents) were prepd. by conventional and newly devised synthetic approaches. Compds. from this group which are substituted with electron withdrawing groups at the ortho position of the Ph ring and Me groups at the 5- and 7- positions of the heterocyclic ring exhibited significant herbicidal activity. These materials also inhibited acetolactate synthase (ALS). In pursuing further structural modifications of I, a large no. of 1,2,4-triazolo[1,5-a]pyrimidine-2-sulfonanilides (II) were prepd. II were prepd. by a convergent synthetic route involving the intermediacy of some novel 2-mercapto or 2-benzylthio-1,2,4-triazolo[1,5-a]pyrimidines. II displayed a very high levels of herbicidal activity and are potent inhibitors of ALS.

IT **98966-99-5P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and herbicidal activity of, structure in relation to)
RN 98966-99-5 CAPLUS
CN [1,2,4]Triazolo[1,5-a]pyrimidine-2-sulfonamide, N-(2,6-dichlorophenyl)-5,6,7-trimethyl- (9CI) (CA INDEX NAME)



L3 ANSWER 57 OF 110 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1989:644151 CAPLUS
DOCUMENT NUMBER: 111:244151
TITLE: Method of Lippmann emulsion preparation
INVENTOR(S): Ruzek, Jiri; Stavek, Jiri; Sipek, Milan
PATENT ASSIGNEE(S): Czech.

09/ 895,975

SOURCE: Czech., 5 pp.
CODEN: CZXXA9
DOCUMENT TYPE: Patent
LANGUAGE: Czech
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CS 255602	B1	19880315	CS 1985-3687	19850523

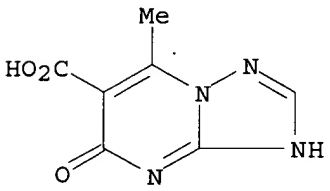
PRIORITY APPLN. INFO.: CS 1985-3687 19850523

AB Photog. Lippmann emulsions comprise Ag halides (e.g. AgBr, AgCl, or mixed Ag halides) pptd. in the presence of 10-4-10-1 mol/mol Ag halide compds. featuring a simple or substituted or condensed heterocycle with .gtoreq.1 N atom (e.g. imidazole, benzimidazole, naphthoimidazole, pyridine, quinoline, pyrazole, tetrazole, or azaindolizine), possibly with a C1-20 linear or branched-chain substituent, C1-20 cyclic alkyl, mono- or bicyclic aryl, NH2, OH, C1-20 alkoxy, CN, CO2H, C2-20 alkylcarbonyl, 5- or 6-membered heterocycle contg. O or S, C1-6 alkylthio, or carbamoyl (possibly substituted with an aliph. or arom. group or halogens) groups. Thus, Lippmann emulsions comprising AgBr 10, AgI 0.37, and gelatin 50 g in 1 L aq. soln. were prepd. by double-jet pptn. In the presence of 2.23 .times. 10-2 mol/mol Ag halide of a growth-controlling agent, an av. crystal size of 26-43 nm was obtained vs. 67 nm for the emulsion prepd. in the absence of the growth-controlling agent.

IT **3135-09-9**
RL: USES (Uses)
(grain growth-controlling agent, in Lippmann photog. emulsion prodn.)

RN 3135-09-9 CAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidine-6-carboxylic acid, 4,5-dihydro-7-methyl-5-oxo- (9CI) (CA INDEX NAME)

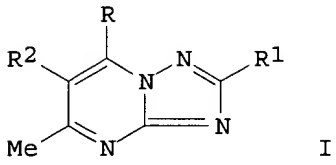


L3 ANSWER 58 OF 110 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1989:515204 CAPLUS
DOCUMENT NUMBER: 111:115204
TITLE: Preparation of N,N-dimethyl-N'-(5-methyl-1,2,4-triazolo[1,5-a]pyrimid-7-yl]formamidines
INVENTOR(S): Hempel, Ute; Lippmann, Eberhard; Stopp, Helga; Tenor, Ernst; Thomas, Eckhard
PATENT ASSIGNEE(S): VEB Deutsches Hydrierwerk Rodleben, Ger. Dem. Rep.
SOURCE: Ger. (East), 3 pp.
CODEN: GEXXA8
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DD 264438	A1	19890201	DD 1987-306940	19870914

PRIORITY APPLN. INFO.: DD 1987-306940 19870914
OTHER SOURCE(S): CASREACT 111:115204; MARPAT 111:115204

GI



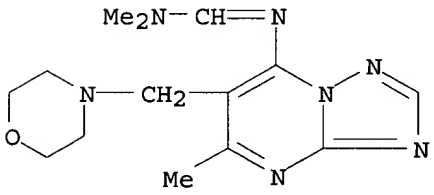
I

AB The title compds. (I; R = N:CHNMe2; R1 = H, alkyl; R2 = H, piperidinomethyl, morpholinomethyl, pyrrolidinomethyl, CH2NEt2) were prepd. by condensation of I (R = NH2) with HC(OMe)2NMe2 (II). Thus, I (R = NH2, R1 = R2 = H) was refluxed 2 h with II in PhMe to give 66% (R = N:CHNMe2, R1 = R2 = H).

IT **122375-46-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 122375-46-6 CAPLUS

CN Methanimidamide, N,N-dimethyl-N'-[5-methyl-6-(4-morpholinylmethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)



L3 ANSWER 59 OF 110 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1989:515202 CAPLUS

DOCUMENT NUMBER: 111:115202

TITLE: 2-(Benzenesulfonamido)-1,2,4-triazolo[1,5-a]pyrimidines and methods of controlling undesired vegetation

INVENTOR(S): Kleschick, William A.

PATENT ASSIGNEE(S): Dow Chemical Co., USA

SOURCE: U.S., 16 pp. Cont. of U.S. Ser. No. 773,406, abandoned.
CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

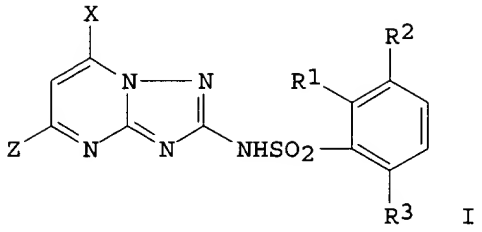
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4822404	A	19890418	US 1987-111003	19871020

PRIORITY APPLN. INFO.: US 1985-773406 19850906

OTHER SOURCE(S): CASREACT 111:115202; MARPAT 111:115202

GI



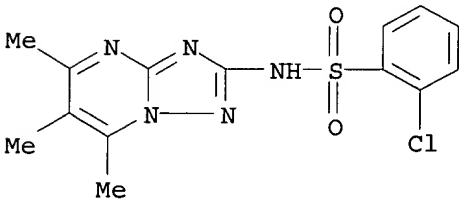
I

AB The title compds. (I; R1 = halo, NO2, CF3, cyano, CO2H, C1-4 alkoxycarbonyl; R2 = H, halo, C1-4 alkyl; R3 = H, C1-4 alkoxy, halo; X, Z = H, Me, C1-2 alkoxy; provided that X and Z cannot both be H) were prepd. as herbicides. A suspension of N'-cyano-N-(2-nitrophenylsulfinyl)-S-methylisothiourea in MeCN was treated with anhyd. hydrazine and the mixt. was stirred for 9 days to give 57% N-(5-amino-1,2,4-triazol-3-yl)-2-nitrobenzenesulfonamide which was refluxed with 2,4-pentanedione in AcOH to give 82% I (R1 = NO2, R2 = R3 = H, X = Z = Me). I at 0.25-10.0 lb/acre showed no or 10-100% preemergent control of 10 weeds such as Datura stramonium, Ipomoea spp., Amaranthus spp., and Digitalia spp. gave no or 10-100% damage to 8 crops such as cotton, rape, and corn.

IT **99452-93-4P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as herbicide)

RN 99452-93-4 CAPLUS

CN Benzenesulfonamide, 2-chloro-N-(5,6,7-trimethyl[1,2,4]triazolo[1,5-a]pyrimidin-2-yl)- (9CI) (CA INDEX NAME)



L3 ANSWER 60 OF 110 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1989:515131 CAPLUS

DOCUMENT NUMBER: 111:115131

TITLE: Chemotherapeutic agents. Part XIII. Synthesis of 2-pyridyl-1,2,4-triazolo[1,5-a]pyrimidines as antimicrobial agents

AUTHOR(S): Ram, Vishnu J.; Kushwaha, D. S.; Mishra, Lallan

CORPORATE SOURCE: Med. Chem. Div., Cent. Drug Res. Inst., Lucknow, 226 001, India

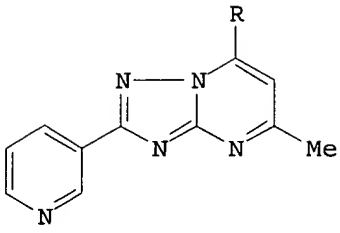
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1989), 28B(3), 242-6
CODEN: IJSBDB; ISSN: 0376-4699

DOCUMENT TYPE: Journal

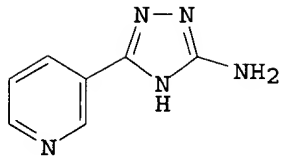
LANGUAGE: English

OTHER SOURCE(S): CASREACT 111:115131

GI



I



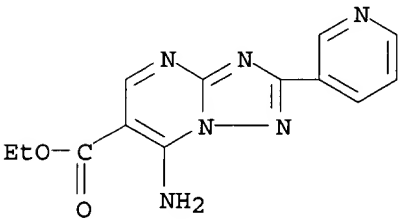
II

AB A variety of substituted pyridyltriazolopyrimidines, e.g., I (R = NHNH2, arylamino, NHN:CMeCH2CO2Et, SH, Cl), were prepd. from amino(pyridyl)triazole II via cyclocondensation reactions and subsequent derivatization. None of the products exhibited significant antibacterial or antifungal activity.

IT **122484-54-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and antimicrobial activity of)

RN 122484-54-2 CAPLUS

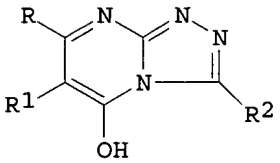
CN [1,2,4]Triazolo[1,5-a]pyrimidine-6-carboxylic acid, 7-amino-2-(3-pyridinyl)-, ethyl ester (9CI) (CA INDEX NAME)



L3 ANSWER 61 OF 110 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1989:447999 CAPLUS
DOCUMENT NUMBER: 111:47999
TITLE: Silver halide photographic material with improved storage stability by an incorporated stabilizer of hydroxy-triazolo-pyrimidine type
INVENTOR(S): Kojima, Tetsuo; Mifune, Hiroyuki
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 16 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 63246739	A2	19881013	JP 1987-80084	19870401

PRIORITY APPLN. INFO.: JP 1987-80084 19870401
OTHER SOURCE(S): MARPAT 111:47999
GI



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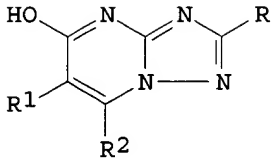
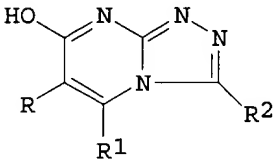
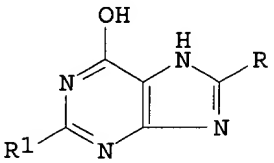


II



III



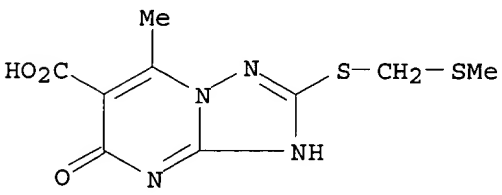
IV

AB The claimed photog. material having .gtoreq.1 supported Ag halide emulsion layer contg. .gtoreq.1 compd. selected from I, II, III or IV [R-R2 = H, halo, cyano, amino, hydroxy, alkyl, alkenyl, aralkyl, aryl, alkylthio, alkoxy, alkylamino, alkoxycarboxyl, carboxylic acid residue or its salt, R3ZZ1Z2mZ3n (R3 = alkyl, alkenyl, aralkyl or aryl; Z1, Z3 = alkylene; Z = O, S; Z2 = O, S, OC:O, NR4C:O, NR5C:ONR6, NR7C:SNR8, OC:ONR9, C:ONR10, SO2NR11; m, n = 0, 1; R4-R11 = H, alkyl, alkenyl, aralkyl, aryl). It prevents the photog. material on the shelf from deterioration of the photog. properties such as fog generation and speed loss. Thus, a chem. and spectrally sensitized emulsion was added with I (R = Me; R1 = H; R2 = SCH2SCH3), and coated on a cellulose acetate film base to make a black-and-white photog. film. Upon accelerated aging followed by development with a phenidone -hydroquinone developer for medical radiog., it showed the mentioned advantage.

IT **121062-44-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, triazolopyrimidine deriv. from, as photog. fog inhibitor)

RN 121062-44-0 CAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidine-6-carboxylic acid, 1,5-dihydro-7-methyl-2-[[(methylthio)methyl]thio]-5-oxo- (9CI) (CA INDEX NAME)



L3 ANSWER 62 OF 110 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1989:212752 CAPLUS

DOCUMENT NUMBER: 110:212752

TITLE: Chemotherapeutic agents. Part X. Synthesis of 2-pyridyl[1,2,4]triazolo[1,5-a]pyrimidines as leishmanicides

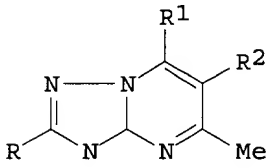
AUTHOR(S): Ram, Vishnu J.

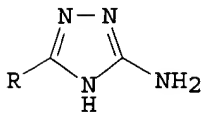
CORPORATE SOURCE: Cent. Drug Res. Inst., Lucknow, 226 001, India

SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1988), 27B(9), 825-9
CODEN: IJSBDB; ISSN: 0376-4699

09/ 895,975

DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 110:212752
GI


I

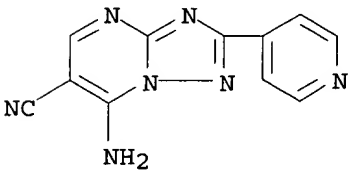

III

AB 2-Pyridyl-7-hydroxy-5-methyl[1,2,4]triazolo[1,5-a]pyrimidines I (R = 2-, 4-pyridyl; R1 = OH, R2 = H) (II) were prepd. by condensation of triazoles III with Et acetoacetate in acetic acid and transformed into 2-pyridyl-7-chloro-5-methyl[1,2,4]triazolo[1,5-a]pyrimidines I (R = 2-, 4-pyridyl, R1 = Cl, R2 = H) (IV) with phosphoryl chloride. Nucleophilic displacement of the chloro group in IV by amines and hydrazine gave I (R1 = Ph, substituted Ph, 4-methylpiperazino, NHNH2, R2 = H) resp. Boiling of IV with thiourea in ethanol gave 2-pyridyl-7-mercapto-5-methyl[1,2,4]triazolo[1,5-a]pyrimidine I (R1 = SH, R2 = H). Condensation of II with acetylacetone, ethoxymethylenemalononitrile, Et ethoxymethylenecyanoacetate and di-Et ethoxymethylenemalonate gave I (R1 = Me, R2 = H; R1 = NH2, R2 = cyano, CO2Et; R1 = OH, R2 = CO2Et), resp.

IT **120564-72-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 120564-72-9 CAPLUS

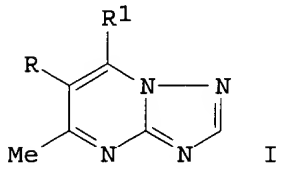
CN [1,2,4]Triazolo[1,5-a]pyrimidine-6-carbonitrile, 7-amino-2-(4-pyridinyl)- (9CI) (CA INDEX NAME)



L3 ANSWER 63 OF 110 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1989:154317 CAPLUS
DOCUMENT NUMBER: 110:154317
TITLE: Preparation of 7-amino-6-aminoalkyl-5-methyl-s-triazolo(1,5-a)pyrimidines as bioactive compounds and intermediates
INVENTOR(S): Hempel, Ute; Lippmann, Eberhard; Stopp, Helga; Tenor, Ernst; Thomas, Eckhard
PATENT ASSIGNEE(S): VEB Deutsches Hydrierwerk Rodleben, Ger. Dem. Rep.
SOURCE: Ger. (East), 3 pp.
CODEN: GEXXA8
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DD 256328	A1	19880504	DD 1986-298542	19861224

PRIORITY APPLN. INFO.: DD 1986-298542 19861224
OTHER SOURCE(S): CASREACT 110:154317; MARPAT 110:154317
GI



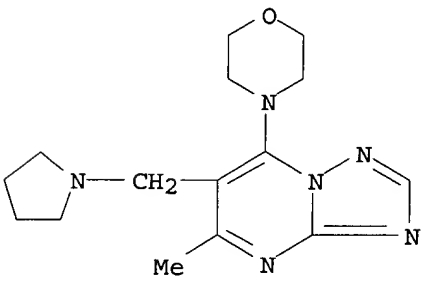
I

AB The title compds. (I; R = piperidin-1-ylmethyl, morpholin-4-ylmethyl, pyrrolidin-1-ylmethyl, Et2NHCH2; R1 = piperidin-1-yl, morpholin-4-yl, pyrrolidin-1-yl, Me2N, Et2N, PhCH2NH, NH(CH2)7Me) useful as bioactive compds. and intermediates, were prepd. from the corresponding 7-halo compds. 7-Chloro-5-methyl-6-pyrrolidinomethyl-s-triazolo(1,5-a)pyrimidine was heated 5 h with morpholine on a water bath to give 29% 5-methyl-7-morpholino-6-pyrrolidinomethyl-s-triazolo(1,5-a)pyrimidine.

IT **119741-32-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as bioactive compd. and intermediate)

RN 119741-32-1 CAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidine, 5-methyl-7-(4-morpholinyl)-6-(1-pyrrolidinylmethyl)- (9CI) (CA INDEX NAME)



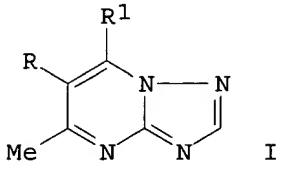
L3 ANSWER 64 OF 110 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1989:154316 CAPLUS
DOCUMENT NUMBER: 110:154316
TITLE: Preparation of 7-substituted-6-aminoalkyl-5-methyl-s-triazolo(1,5-a)pyrimidines as bioactive compounds and intermediates
INVENTOR(S): Hempel, Ute; Lippmann, Eberhard; Steinmueller, Eva Maria; Stopp, Helga; Tenor, Ernst; Thomas, Eckhard
PATENT ASSIGNEE(S): VEB Deutsches Hydrierwerk Rodleben, Ger. Dem. Rep.
SOURCE: Ger. (East), 3 pp.
CODEN: GEXXA8
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DD 256327	A1	19880504	DD 1986-298541	19861224

PRIORITY APPLN. INFO.: DD 1986-298541 19861224
OTHER SOURCE(S): CASREACT 110:154316; MARPAT 110:154316

09/ 895,975

GI



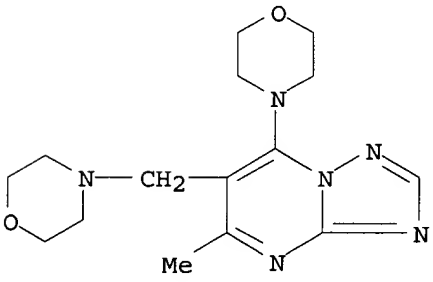
I

AB The title compds. (I; R = piperidin-1-ylmethyl, morpholin-4-ylmethyl, pyrrolidin-1-ylmethyl, Et2NCH2; R1 = 1-piperidinyl, 4-morpholino, 1-pyrrolidinyl, Et2N, Me2N, PhCH2NH, Me(CH2)7NH), useful as bioactive compds. and intermediates, were prepd. by amination of the corresponding 7-halo derivs. A mixt. of 7-chloro-5-methyl-6-morpholinomethyl-s-triazolo(1,5-a]pyrimidine, morpholine, and Et3N was refluxed 5 h in EtOH to give 49% 5-methyl-7-morpholino-6-morpholinomethyl-s-triazolo(1,5-a)pyrimidine.

IT **119765-51-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as bioactive compd. and intermediate)

RN 119765-51-4 CAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidine, 5-methyl-7-(4-morpholinyl)-6-(4-morpholinylmethyl)- (9CI) (CA INDEX NAME)



L3 ANSWER 65 OF 110 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1989:95261 CAPLUS

DOCUMENT NUMBER: 110:95261

TITLE: Process for preparation of 7-amino-6-(aminomethyl)-5-methyl-s-triazolo[1,5-a]pyrimidines

INVENTOR(S): Hempel, Ute; Lippmann, Eberhard; Stopp, Helga; Tenor, Ernst; Thomas, Eckhard

PATENT ASSIGNEE(S): VEB Deutsches Hydrierwerk Rodleben, Ger. Dem. Rep.

SOURCE: Ger. (East), 3 pp.
CODEN: GEXXA8

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

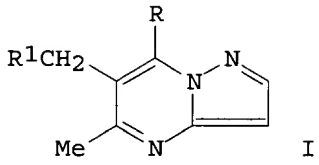
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DD 257829	A1	19880629	DD 1987-300085	19870220

PRIORITY APPLN. INFO.: DD 1987-300085 19870220

OTHER SOURCE(S): CASREACT 110:95261; MARPAT 110:95261

GI



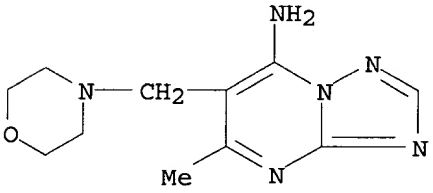
I

AB The title compds. (I; R = NH2; R1 = Et2N, piperidino, morpholino, pyrrolidinyl), useful as active compds. or their intermediates (no data), were prepd. by aminolysis of I (R = Bu, Cl) with gaseous NH3. Thus, NH3 was bubbled into a soln. of I (R = Cl, R1 = morpholino) in EtOH at 15-40.degree. over 2-3 h to give 88% I (R = NH2, R1 = morpholino).

IT **118973-83-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 118973-83-4 CAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-methyl-6-(4-morpholinylmethyl)-(9CI) (CA INDEX NAME)



L3 ANSWER 66 OF 110 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1988:601300 CAPLUS

DOCUMENT NUMBER: 109:201300

TITLE: Negative image formation using photographic material for use under safelight illumination

INVENTOR(S): Takahashi, Toshiro; Kameoka, Kimitaka; Ukai, Toshinao; Yagihara, Morio

PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 18 pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 63103235	A2	19880507	JP 1986-249160	19861020

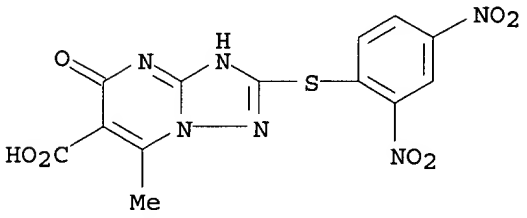
PRIORITY APPLN. INFO.: JP 1986-249160 19861020

AB Neg. image formation is effected by imagewise exposing at .gtoreq.370 nm a Ag halide photog. material comprising .gtoreq.1 Ag halide emulsion layers contg. .gtoreq.90 mol.% AgCl and contg. in the Ag halide emulsion layer or a sep. hydrophilic colloid layer .gtoreq.1 org. desensitizer(s) contg. .gtoreq.1 water-sol. group(s) or an alk. ionizable group and a dye with absorption max. at 300-500 nm. The materials showed only low fogging on handling under a safelight.

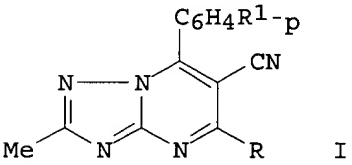
IT **115878-08-5**
RL: USES (Uses)
(photog. sensitizers, for neg. films for use in safe light)

RN 115878-08-5 CAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidine-6-carboxylic acid, 2-[(2,4-dinitrophenyl)thio]-1,5-dihydro-7-methyl-5-oxo- (9CI) (CA INDEX NAME)



L3 ANSWER 67 OF 110 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1988:590354 CAPLUS
DOCUMENT NUMBER: 109:190354
TITLE: Reactions of .alpha.-substituted cinnamonitriles: a novel synthesis of polysubstituted s-triazolo[1,5-a]pyrimidines
AUTHOR(S): Hussain, Sohair M.; Ali, Ahmed S.; El-Reedy, Ahmed M.
CORPORATE SOURCE: Fac. Sci., Cairo Univ., Giza, Egypt
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1988), 27B(5), 421-3
CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 109:190354
GI

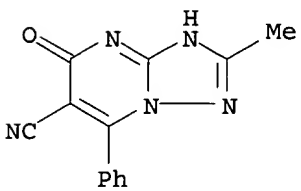


I

AB Title compds. I (R = Ph, cyano; R1 = H, OMe, Cl) were prepd. by the cyclocondensation reactions of 2-amino-5-methyl-1H-1,3,4-triazole (II) with acylcinnamonitriles. E.g., II was treated with PhCH:C(COPh)CN in pyridine to give I (R = Ph, R1 = H). I (R = NH2, R1 = H) was prepd. from II and PhCH:C(CN)2.

IT **117134-99-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

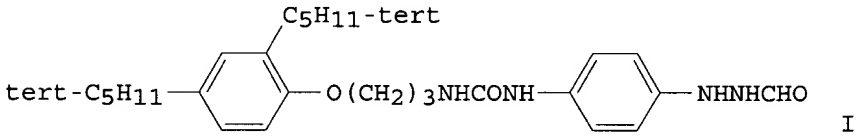
RN 117134-99-3 CAPLUS
CN [1,2,4]Triazolo[1,5-a]pyrimidine-6-carbonitrile, 1,5-dihydro-2-methyl-5-oxo-7-phenyl- (9CI) (CA INDEX NAME)



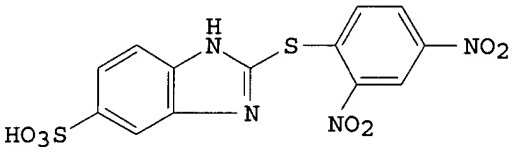
L3 ANSWER 68 OF 110 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1988:483276 CAPLUS
DOCUMENT NUMBER: 109:83276
TITLE: Negative silver halide photographic material with superhigh contrast
INVENTOR(S): Katoh, Kazunobu; Takagi, Yoshihiro; Kameoka, Kimitaka; Miyata, Junji; Ukai, Toshinao
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Ger. Offen., 37 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3729724	A1	19880331	DE 1987-3729724	19870904
DE 3729724	C2	19990422		
JP 63064039	A2	19880322	JP 1986-209169	19860905
JP 07031381	B4	19950410		
US 4908293	A	19900313	US 1987-93341	19870904

PRIORITY APPLN. INFO.: JP 1986-209169 19860905
GI



I

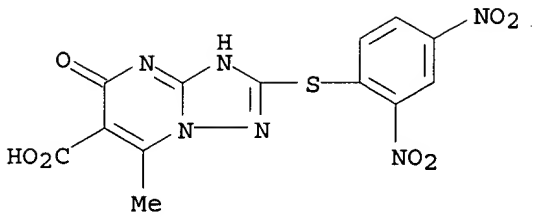


II

AB Ag halide photog. materials of the neg. type having a super-high contrast are composed of a support with .gtoreq.1 Ag emulsion layer, wherein the emulsion layer or another hydrophilic colloid layer contains .gtoreq.1 hydrazine deriv. and .gtoreq.1 org. desensitizer with .gtoreq.1 water-sol. group or a group capable of dissocg. in alkali. The material shows a decreased sensitivity so that it is suitable for processing or development under room light conditions. Thus, a polyester support was coated with a 2-methyl-4-hydroxy-1,3,3a,7-tetraazaindene-stabilized, NH4RhCl6-contg. gelatin-AgCl emulsion contg. I and II. The resultant material was then exposed and processed to show a contrast of 15 and decreased sensitivity (-1.2 units).

IT **115878-08-5**
RL: USES (Uses)
(neg. photog. material contg. hydrazine deriv. and, for superhigh contrast)

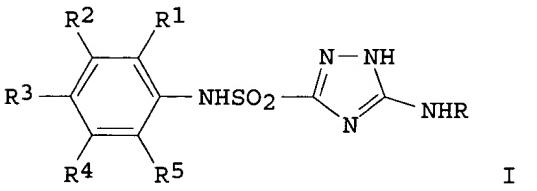
RN 115878-08-5 CAPLUS
CN [1,2,4]Triazolo[1,5-a]pyrimidine-6-carboxylic acid, 2-[(2,4-dinitrophenyl)thio]-1,5-dihydro-7-methyl-5-oxo- (9CI) (CA INDEX NAME)



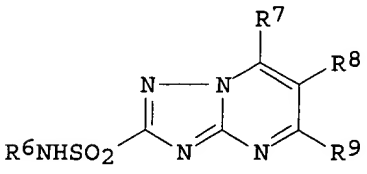
L3 ANSWER 69 OF 110 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1988:406536 CAPLUS
DOCUMENT NUMBER: 109:6536
TITLE: Preparation of 1H-1,2,4-triazole-3-sulfonamides and [1,2,4]triazolo[1,5-a]pyrimidine-2-sulfonamides as herbicides
INVENTOR(S): Monte, William T.
PATENT ASSIGNEE(S): Dow Chemical Co., USA
SOURCE: Eur. Pat. Appl., 26 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 244847	A1	19871111	EP 1987-106560	19870506
R: BE, CH, DE, ES, FR, GB, IT, LI, NL				
US 4734123	A	19880329	US 1986-860159	19860506
AU 8771703	A1	19871112	AU 1987-71703	19870416
HU 47086	A2	19890130	HU 1987-2011	19870505
JP 62277367	A2	19871202	JP 1987-110384	19870506
BR 8702278	A	19880217	BR 1987-2278	19870506
CN 87104679	A	19880713	CN 1987-104679	19870506

PRIORITY APPLN. INFO.: US 1986-860159 19860506
OTHER SOURCE(S): CASREACT 109:6536
GI



I



II

AB The title triazolesulfonamides I [R = H, XCO; R1-R5 = H, halo, NO2, amino, (un)modified CO2H, SO3H, (un)substituted alkyl, alkoxy, (hetero)aryl, aryloxy, alkylsulfonyl, etc.; X = H, C1-6 alkyl, (un)substituted aryl] were prepd. as herbicides by oxidative cleavage of

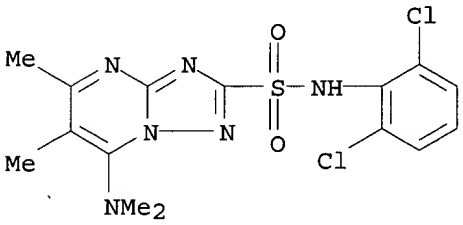
triazolopyrimidinesulfonamides II [R6 = mono- or bicyclic (hetero)aryl with electron-withdrawing substituents; R7-R9 = H, C1-4 (halo)alkyl, (un)substituted aryl]. The latter are also effective herbicides and may themselves be prepd. by cyclocondensation of I (R = H) with appropriate 1,3-dicarbonyl compds. II (R6 = 2,6-Cl2C6H3, R7 = R9 = Me, R8 = H) in aq. KOH was treated dropwise with 35% aq. H2O2 at 30-35.degree. to give 84% I (R = Ac, R1 = R5 = Cl, R2-R4 = H). The latter was refluxed in 6N HCl/THF to give 86% I (R = R2-R4 = H, R1 = R5 = Cl) (III). At 2000 ppm postemergent III gave 100% control of Datura stramonium and 80% control of Cyperus esculentus.

IT **113171-43-0P**

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as herbicide)

RN 113171-43-0 CAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidine-2-sulfonamide, N-(2,6-dichlorophenyl)-7-(dimethylamino)-5,6-dimethyl- (9CI) (CA INDEX NAME)



L3 ANSWER 70 OF 110 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1988:167410 CAPLUS

DOCUMENT NUMBER: 108:167410

TITLE: Triazoles. VIII. The reaction of 5-amino-1,2,4-triazoles with ethyl 2-cyano-3-ethoxyacrylate and 2-cyano-3-ethoxyacrylonitrile

AUTHOR(S): Reiter, Jozsef; Pongo, Laszlo; Dvortsak, Peter

CORPORATE SOURCE: EGIS Pharm., Budapest, H-1475, Hung.

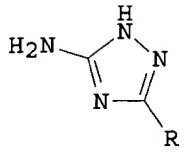
SOURCE: Journal of Heterocyclic Chemistry (1987), 24(4), 1149-54
CODEN: JHTCAD; ISSN: 0022-152X

DOCUMENT TYPE: Journal

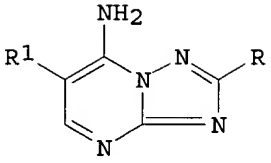
LANGUAGE: English

OTHER SOURCE(S): CASREACT 108:167410

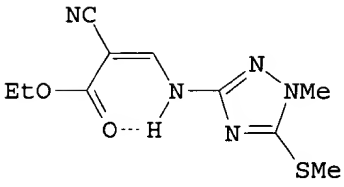
GI



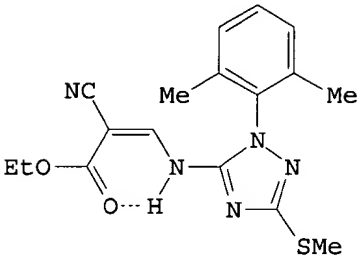
I



II



III



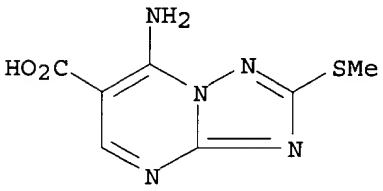
IV

AB Cyclocondensation of aminotriazoles I (R = SMe, 4-ClC6H4CH2S, morpholino) with EtOCH:C(CN)R1 (R1 = CO2Et, cyano) gave triazolopyrimidine derivs. II. In the reaction of N-substituted 5-amino-1,2,4-triazoles with EtOCH:C(CN)CO2Et, the expected cyclization did not occur; instead, condensed derivs. III and IV were formed.

IT **113967-69-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, with hydrochloric acid)

RN 113967-69-4 CAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidine-6-carboxylic acid, 7-amino-2-(methylthio)-, monosodium salt (9CI) (CA INDEX NAME)



● Na

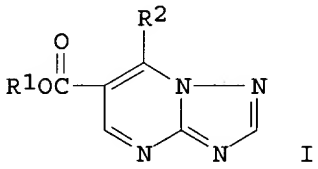
L3 ANSWER 71 OF 110 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1988:41738 CAPLUS
DOCUMENT NUMBER: 108:41738
TITLE: Triazolopyrimidine compounds for extraction of metals
INVENTOR(S): Quan, Peter Michael; Nelson, Anthony John
PATENT ASSIGNEE(S): Imperial Chemical Industries PLC, UK
SOURCE: Fr. Demande, 18 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

FR 2574432	A1	19860613	FR 1985-18322	19851211
FR 2574432	B1	19920619		
US 4675172	A	19870623	US 1985-806458	19851209
CA 1257260	A1	19890711	CA 1985-497372	19851211
ES 549879	A1	19861016	ES 1985-549879	19851212
US 4739054	A	19880419	US 1987-28747	19870323

PRIORITY APPLN. INFO.: GB 1984-31305 19841212
US 1985-806458 19851209

GI



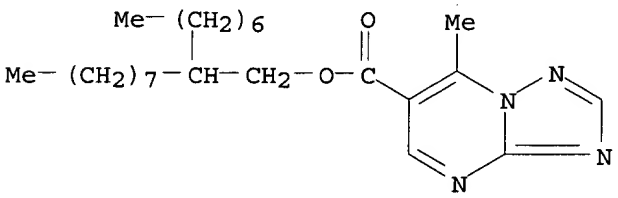
I

AB Metals are extd. from aq. solns. contg. halide or pseudohalide anions by contacting with a substituted triazolopyrimidine (I) (where R1 = C1-35 alkyl or substituted alkyl; R2 = H, C1-35 alkyl, substituted alkyl, aryl; R1 + R2 = 5-35 C; OR1 = OCH2CHR3R4; R3,R4 = alkyl; R4 contains .gtoreq.2 more C atoms). The procedure is useful for extn. of Cu, Co, Cd, and Zn. Thus, 6-ethoxycarbony-7-methyl-1,2,4-triazolo[2,3-a]pyrimidine 10 g was transesterified during 50 h with 2-hexyldecanol 12.1 g and tetrabutyltitanate 10 drops at 165.degree. followed by addn of the latter 5 drops to obtain I (where R1 = 2-hexyldecyl, R2 = Me). Then, an aq. soln. contg. 0.1 M CuCl2 (Cu 6.35 g/L), 0.1M HCl, and CaCl2.2H2O 700 g/L was treated 1.5 min with 0.2 M I soln. in Sohesso 150 solvent. The Cu recovery was 98%.

IT **112204-10-1P**
RL: IMF (Industrial manufacture); PREP (Preparation)
(prepn. of, as extn. agent, for metal recovery from chloride-contg. aq. solns.)

RN 112204-10-1 CAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidine-6-carboxylic acid, 7-methyl-, 2-heptyldecyl ester (9CI) (CA INDEX NAME)



L3 ANSWER 72 OF 110 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER:	1987:544825 CAPLUS
DOCUMENT NUMBER:	107:144825
TITLE:	Silver halide photographic emulsions with novel grain faces (3)
INVENTOR(S):	Maskasky, Joe Edward; Jones, Ralph Walter
PATENT ASSIGNEE(S):	Eastman Kodak Co., USA
SOURCE:	Eur. Pat. Appl., 94 pp. CODEN: EPXXDW
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	7

09/ 895,975

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 213964	A2	19870311	EP 1986-306830	19860903
EP 213964	A3	19881130		
EP 213964	B1	19910731		
R: BE, DE, FR, GB				
US 4680256	A	19870714	US 1986-882112	19860703
CA 1281224	A1	19910312	CA 1986-515747	19860812
JP 62124550	A2	19870605	JP 1986-206041	19860903
CA 1284050	A1	19910514	CA 1986-520256	19861010
CA 1284051	A1	19910514	CA 1986-520478	19861015
BR 8606237	A	19870929	BR 1986-6237	19861217
BR 8606238	A	19870929	BR 1986-6238	19861217
EP 227444	A2	19870701	EP 1986-309922	19861218
EP 227444	A3	19881130		
EP 227444	B1	19920325		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
EP 228256	A2	19870708	EP 1986-309921	19861218
EP 228256	A3	19881130		
EP 228256	B1	19920304		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
EP 423840	A1	19910424	EP 1990-121599	19861218
EP 423840	B1	19960221		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
AT 73240	E	19920315	AT 1986-309921	19861218
AT 74217	E	19920415	AT 1986-309922	19861218
JP 62157024	A2	19870713	JP 1986-301838	19861219
JP 05012696	B4	19930218		
JP 62163046	A2	19870718	JP 1986-301837	19861219
JP 04081782	B4	19921224		
US 4713323	A	19871215	US 1987-15405	19870217
US 4713320	A	19871215	US 1987-15270	19870217

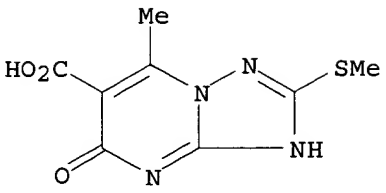
PRIORITY APPLN. INFO.:		
	US 1985-772229	19850903
	US 1985-811132	19851219
	US 1985-811133	19851219
	US 1986-882112	19860703
	EP 1986-309921	19861218
	EP 1986-309922	19861218

AB Ag halide photog. emulsions are comprised of radiation-sensitive Ag halide grains of a cubic crystal lattice structure comprised of trisoctahedral crystal faces exhibiting a [331] or [441] Miller index and prepd. using a grain growth modifier selected from 2-imidazolidine, ethylenethiourea, 5-(3-ethyl-2-benzothiazolinylidene)-1-methoxycarbonylmethyl-3-phenyl-2-thiohydantoin, and 1,3,3a,7-tetraazaindene derivs. The invention renders accessible a new choice of crystal faces for modifying photog. characteristics and improving interaction with sensitizers and adsorbed photog. addenda. Thus, an octahedral AgBr emulsion was dild. with H2O, an aq. soln. of 4-hydroxy-6-methyl-1,3,3a,7-tetraazaindene Na salt added, pH adjusted to 6.0, heated to 60.degree., pAg adjusted to 8.5 with KBr, and an aq. AgNO3 soln. added to give trisoctahedral emulsion grains having a Miller index of [331].

IT **3043-83-2**, 5-Carboxy-6-hydroxy-4-methyl-2-methylthio-1,3,3a,7-tetraazaindene
RL: USES (Uses)
(crystal growth modifier, for prepn. of trisoctahedral silver halide grains for photog. emulsions)

RN 3043-83-2 CAPLUS

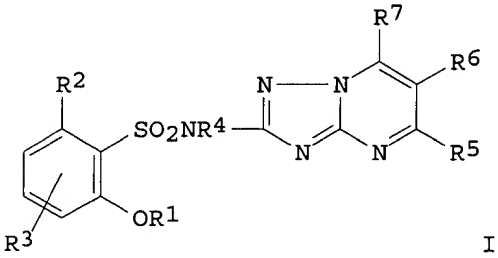
CN [1,2,4]Triazolo[1,5-a]pyrimidine-6-carboxylic acid, 1,5-dihydro-7-methyl-2-(methylthio)-5-oxo- (9CI) (CA INDEX NAME)



L3 ANSWER 73 OF 110 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1987:439860 CAPLUS
DOCUMENT NUMBER: 107:39860
TITLE: (Triazolo[1,5-a]pyrimidin-2-yl)-2-alkoxybenzenesulfonamides as herbicides and plant growth regulators
INVENTOR(S): Westermann, Juergen; Krueger, Martin; Arndt, Friedrich
PATENT ASSIGNEE(S): Schering A.-G. , Fed. Rep. Ger.
SOURCE: Ger. Offen., 13 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3539386	A1	19870514	DE 1985-3539386	19851104

PRIORITY APPLN. INFO.: DE 1985-3539386 19851104
GI



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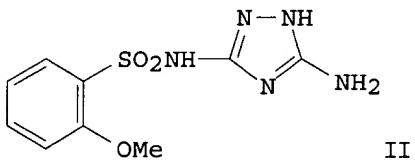
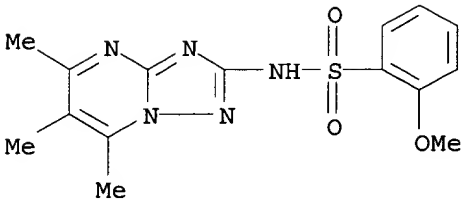


II

AB The title compds. [I; R1 = alkyl, cycloalkyl, alkenyl, alkynyl, haloalkyl, cyanoalkyl, (CH2)mCO2R8, (CH2O)mR8; R2, R3 = H, halo, alkyl, alkoxy, alkylmercapto, SOR8, SO2R8, CO2R8, COSR8, CHO, cyano, NO2, amino, etc.; R4 = H, alkyl, COR8, CO2R8, CONHR9R10; R5, R6, R7 = OH, H, alkyl, alkoxy, alkylmercapto, amino, Cl, Br; R5, R6 or R6, R7 = (O-contg.) (CH2)n; R8 = (S- or O-substituted) alkyl, haloalkyl, cycloalkyl, Ph, PhCH2, alkenyl, alkynyl; R9, R10 = H, alkyl, atoms to complete a pyrrolidinyl, piperidinyl, or morpholinyl ring; m = 1, 2; n = 2-4] were prepd. as herbicides and plant growth regulators. Aminotriazolylbenzenesulfonamide II and acetylacetone were refluxed 2 h in HOAC to give 82% I (R1 = R5 = R7 = Me, R2 = R3 = R4 = R6 = H) (III). At 0.3 kg III/ha postemergence, Helianthus, Stellaria, Abutilon, Anaranthus, etc., were completely killed.

IT **109053-42-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as herbicide and growth regulator)
RN 109053-42-1 CAPLUS
CN Benzenesulfonamide, 2-methoxy-N-(5,6,7-trimethyl[1,2,4]triazolo[1,5-a]pyrimidin-2-yl)- (9CI) (CA INDEX NAME)

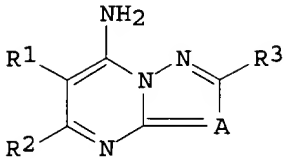


L3 ANSWER 74 OF 110 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1987:213971 CAPLUS
DOCUMENT NUMBER: 106:213971
TITLE: 7-Aminoazolo[1,5-a]pyrimidines, their preparation and use as fungicides
INVENTOR(S): Graf, Hermann; Wahl, Peter; Rentzea, Costin; Sauter, Hubert; Ammermann, Eberhard; Pommer, Ernst Heinrich
PATENT ASSIGNEE(S): BASF A.-G. , Fed. Rep. Ger.
SOURCE: Ger. Offen., 12 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3533050	A1	19870326	DE 1985-3533050	19850917
EP 215382	A1	19870325	EP 1986-112217	19860904
EP 215382	B1	19900801		
R: AT, BE, CH, DE, FR, GB, IT, LI, NL, SE				
AT 55131	E	19900815	AT 1986-112217	19860904
CA 1288096	A1	19910827	CA 1986-517820	19860909
JP 62067084	A2	19870326	JP 1986-211809	19860910
IL 80004	A1	19900712	IL 1986-80004	19860910
PL 148246	B2	19890930	PL 1986-261406	19860915
AU 8662719	A1	19870319	AU 1986-62719	19860916
AU 583150	B2	19890420		
ZA 8607018	A	19870527	ZA 1986-7018	19860916
HU 42289	A2	19870728	HU 1986-3964	19860916
HU 201652	B	19901228		
DD 249624	A5	19870916	DD 1986-294440	19860916
CS 264282	B2	19890613	CS 1986-6677	19860916

PRIORITY APPLN. INFO.: DE 1985-3533050 19850917
EP 1986-112217 19860904

GI



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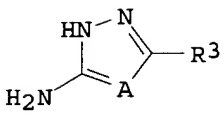
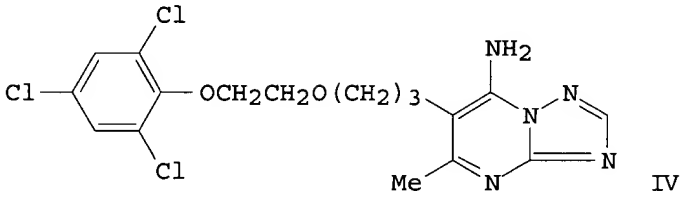


II



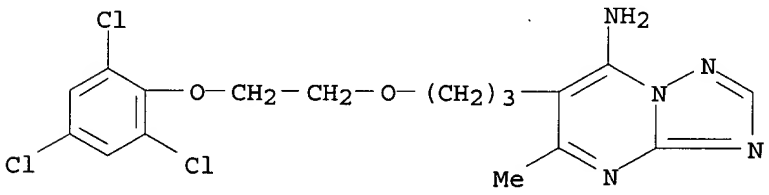
IV

AB The title compds. [I; A = N, R4C; R1 = (dialkylamino)alkyl, substituted alkoxyalkyl; R2, R3 = H, alkyl; R4 = H, alkyl Br, Cl] were prepd. as agrochem. fungicides by cyclocondensation of R2COCHR1R5 (R5 = alkoxycarbonyl, cyano) with aminoazole II, followed by ammonolysis in the case of the ketoester. 2,4,6-Cl3C6H2OCH2CH2O(CH2)3CHR6CN (III, R6 = H) was treated with BuLi and EtOAc in THF to give 73% III (R6 = MeCO). This was cyclocondensed with II (A = N, R3 = H) to give triazolopyrimidinamine IV. On grapes 0.05% IV gave 97% protection against Plasmopara viticola.

IT **108258-57-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of as agrochem. fungicide)

RN 108258-57-7 CAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-methyl-6-[3-[2-(2,4,6-trichlorophenoxy)ethoxy]propyl]- (9CI) (CA INDEX NAME)



L3 ANSWER 75 OF 110 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1986:626617 CAPLUS

DOCUMENT NUMBER: 105:226617

TITLE: Pyrazolo[1,5-a]- and [1,2,4]triazolo[1,5-a]pyrimidine derivatives

INVENTOR(S): Hirai, Kentaro; Tsutsumiuchi, Masami

PATENT ASSIGNEE(S): Shionogi and Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 41 pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

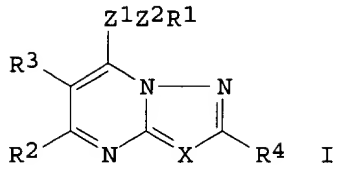
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 61057587	A2	19860324	JP 1984-181464	19840829

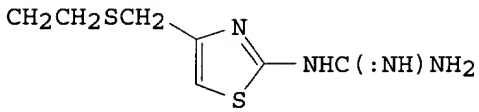
PRIORITY APPLN. INFO.: JP 1984-181464 19840829

OTHER SOURCE(S): CASREACT 105:226617

09/ 895,975

GI



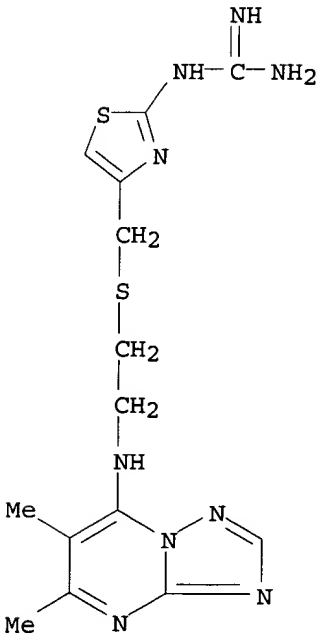
Q= 

AB The title compds. [I; R1 = H, alkanoyl, PhCO, (CH2CH:CHMeCH2)nH, (un)substituted alkyl, Ph, heterocyclyl; R2 = H, alkyl, (un)substituted Ph; R3, R4 = H, alkyl; X = N, CR5; R5 = H, alkyl, alkoxycarbonyl, Ph; Z1 = O, NH, S, S(O), S(O)2, (thio)alkyleneimino; Z2 = bond, CH2, NH; n = 2-5], useful as antiulcer agents, were prepd. Thus, a mixt. of 7-chloro-5,6-dimethyl-[1,2,4]triazolo[1,5-a]pyrimidine and QNH2.2HCl in EtOH was refluxed for 2 h to give 26% I (R1 = Q; R2 = R3 = Me; R4 = H; X = N; Z1 = NH; Z2 = bond). In rats 3-10 mg I/kg i.v. reduced stomach acid secretion 43-85.0%.

IT **104906-27-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as antiulcer agent)

RN 104906-27-6 CAPLUS

CN Guanidine, [4-[[[2-[(5,6-dimethyl[1,2,4]triazolo[1,5-a]pyrimidin-7-yl)amino]ethyl]thio]methyl]-2-thiazolyl]- (9CI) (CA INDEX NAME)



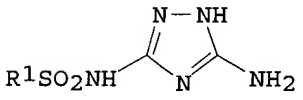
L3 ANSWER 76 OF 110 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1986:572503 CAPLUS
DOCUMENT NUMBER: 105:172503
TITLE: Herbicidal 2-(arylsulfonamido)[1,2,4]triazolo[1,5-a]pyrimidines
INVENTOR(S): Kleschick, William A.; Vinogradoff, Anna P.; Dunbar,

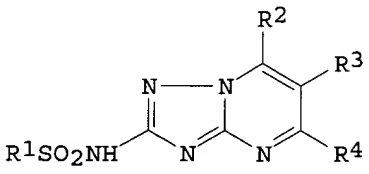
09/ 895,975

	Joseph E.
PATENT ASSIGNEE(S):	Dow Chemical Co., USA
SOURCE:	Eur. Pat. Appl., 32 pp.
	CODEN: EPXXDW
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 188225	A1	19860723	EP 1986-100188	19860108
EP 188225	B1	19880107		
R: BE, DE, FR, GB, IT, NL				
AU 8551466	A1	19860717	AU 1985-51466	19851219
US 4638075	A	19870120	US 1985-812612	19851223
US 4650892	A	19870317	US 1985-812613	19851223
JP 61165364	A2	19860726	JP 1986-6180	19860114
US 4772720	A	19880920	US 1986-894427	19860808

PRIORITY APPLN. INFO.: US 1985-691331 19850114
OTHER SOURCE(S): CASREACT 105:172503
GI


I

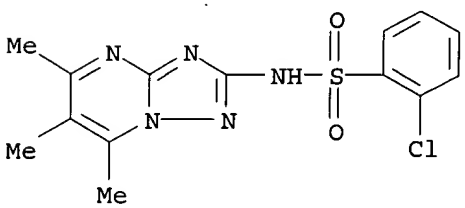

II

AB The reaction of R1SO2NH2 [R1 = (substituted) arom. or heteroarom. group] with (MeS)2C:NCN gives R1SO2NHC(SMe):NCN, which are treated with N2H4 to yield triazoles I. I underwent 2 cyclocondensation reactions with 1,3-dicarbonyl compds. to give title compds. II (R1 as above; R2-4 = H, alkyl, alkoxy, halo, etc.). Thus, I (R1 = 2-O2NC6H4), which was prepd. from 2-O2NC6H4SO2NHC(SMe):NCN and N2H4, was heated with MeCOCH2COMe in HOAc to give II (R1 = 2-O2NC6H4, R2 = R4 = Me, R3 = H). II are useful as herbicides (no data).

IT **99452-93-4P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as herbicide)

RN 99452-93-4 CAPLUS

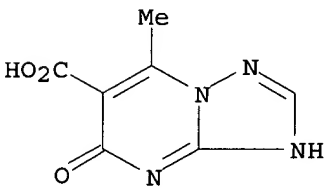
CN Benzenesulfonamide, 2-chloro-N-(5,6,7-trimethyl[1,2,4]triazolo[1,5-a]pyrimidin-2-yl)- (9CI) (CA INDEX NAME)



L3 ANSWER 77 OF 110 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1986:43097 CAPLUS
DOCUMENT NUMBER: 104:43097
TITLE: Silver halide photographic emulsions
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 32 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 60131533	A2	19850713	JP 1983-241344	19831220

PRIORITY APPLN. INFO.: JP 1983-241344 19831220
GI For diagram(s), see printed CA Issue.
AB Ag halide photog. emulsions contain Ag halide particle whose diam. is .gtoreq.5 times the thickness, .gtoreq.1 compd. of the formula I (R, R1, R2 = H, alkoxycarbonyl, carboxyalkyl, acylamino, alkyl, aralkyl; R1R2 combination may form a ring), and .gtoreq.1 sensitizer dye of the formula II (Z = group of atoms to complete a heterocyclic ring; R3 = alkyl, alkenyl, aralkyl, R4, R5 = H, alkyl, aralkyl, aryl; R4R3 and R5R4 combinations may form rings; when n .gtoreq.2, R5R2 and R4R4 may also combine to form rings; R6, R7 = electron attractive group; R6R7 in combination may form a ring; m = 0, 1, 2, 3; n = 0, 1). The emulsions exhibit excellent spectral sensitivity and are esp. useful for color photog. Thus, III (4.80 .times. 10-2 mol/kg emulsion) and IV (0.5 .times. 10-4 mol/kg emulsion) were added to a Ag(Br,I) emulsion contg. Ag halide particles with an diam./thickness ratio of 14.8 and the emulsion was coated on a film support. The resultant film was sensitometrically exposed and developed to give relative red sensitivity and fog of 502 and 0.10, resp., vs. 100 and 0.10, resp., for a III-free control.
IT **3135-09-9**
RL: USES (Uses)
(photog. spectral sensitizer compns. contg. dye and)
RN 3135-09-9 CAPLUS
CN [1,2,4]Triazolo[1,5-a]pyrimidine-6-carboxylic acid, 4,5-dihydro-7-methyl-5-oxo- (9CI) (CA INDEX NAME)



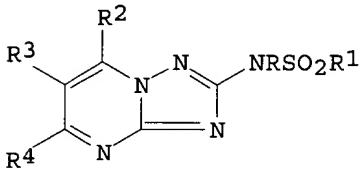
L3 ANSWER 78 OF 110 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1986:5892 CAPLUS
DOCUMENT NUMBER: 104:5892
TITLE: Sulfonamides derived from substituted 2-amino-1,2,4-triazolo[1,5-a]pyrimidines and compositions and methods of controlling undesired vegetation
INVENTOR(S): Kleschick, William A.
PATENT ASSIGNEE(S): Dow Chemical Co., USA
SOURCE: Eur. Pat. Appl., 65 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent

09/ 895,975

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 150974	A2	19850807	EP 1985-300413	19850122
EP 150974	A3	19850821		
R: AT, BE, CH, DE, FR, GB, IT, LI, NL, SE				
CA 1244826	A1	19881115	CA 1985-472459	19850121
AU 8537961	A1	19850801	AU 1985-37961	19850122
AU 575372	B2	19880728		
DK 8500344	A	19850727	DK 1985-344	19850125
BR 8500350	A	19850910	BR 1985-350	19850125
ZA 8500616	A	19860924	ZA 1985-616	19850125
JP 60185782	A2	19850921	JP 1985-13375	19850126

PRIORITY APPLN. INFO.: US 1984-574232 19840126
GI



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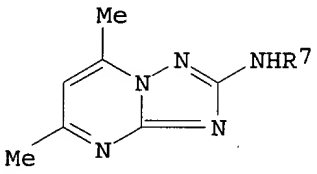


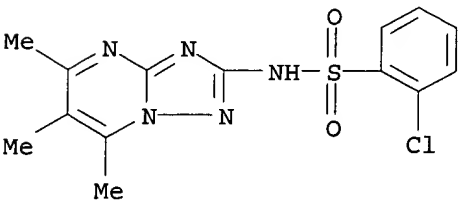
II

AB The title compds. [I; R = H, alkyl, alkenyl, alkynyl, acyl, R5C(X), R6SO2, (un)substituted aralkyl; R1 = (un)substituted aryl, heteroaryl; R2-R4 = H, (halo)alkyl, (halo)alkoxy, OH, halo, (esterified) CO2H, alkylthio, amino, (un)substituted aryl; adjacent R2-R4 = atoms required to complete a ring; R5 = alkyl, aryl, amino; R6 = alkyl, aryl; X = O, S] and their 5,6,7,8-tetrahydro derivs. were prepd. Thus 3,5-diamino-1,2,4-triazole and CH2(COMe)2 were refluxed in aq. NaOH to give 55% aminotriazolopyrimidine II (R7 = H) which was acylated with 2-thiophenesulfonyl chloride to give 6% II (R7 = 2-thienylsulfonyl) (III). In postemergence tests 1000 ppm III gave 100% control of, e.g., Datura stramonium.

IT **99452-93-4P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as herbicide)

RN 99452-93-4 CAPLUS

CN Benzenesulfonamide, 2-chloro-N-(5,6,7-trimethyl[1,2,4]triazolo[1,5-a]pyrimidin-2-yl)- (9CI) (CA INDEX NAME)



L3 ANSWER 79 OF 110 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1985:596117 CAPLUS
DOCUMENT NUMBER: 103:196117

09/ 895,975

TITLE: Substituted 1,2,4-triazolo[1,5-a]pyrimidine-2-sulfonamides and compositions and methods of controlling undesired vegetation and suppressing the nitrification of ammonium nitrogen in soil

INVENTOR(S): Kleschick, William A.; Ehr, Robert J.; Gerwick, Ben Clifford, III; Monte, William T.; Pearson, Norman R.; Costales, Mark J.; Meikle, Richard W.

PATENT ASSIGNEE(S): Dow Chemical Co., USA

SOURCE: Eur. Pat. Appl., 277 pp.
CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 142152	A2	19850522	EP 1984-113656	19841112
EP 142152	A3	19861001		
R: AT, BE, CH, DE, FR, IT, LI, NL, SE				
AU 8435330	A1	19850523	AU 1984-35330	19841112
AU 583799	B2	19890511		
EP 330137	A1	19890830	EP 1989-102979	19841112
EP 330137	B1	19940302		
R: AT, BE, CH, DE, FR, IT, LI, NL, SE				
AT 61803	E	19910415	AT 1984-113656	19841112
IL 83139	A1	19930114	IL 1984-83139	19841112
IL 73486	A1	19930513	IL 1984-73486	19841112
AT 102181	E	19940315	AT 1989-102979	19841112
BR 8405797	A	19850917	BR 1984-5797	19841113
ZA 8408844	A	19860730	ZA 1984-8844	19841113
CA 1231708	A1	19880119	CA 1984-467616	19841113
DK 8405413	A	19850515	DK 1984-5413	19841114
DK 170442	B1	19950904		
GB 2149792	A1	19850619	GB 1984-28740	19841114
GB 2149792	B2	19880518		
JP 60116684	A2	19850624	JP 1984-240379	19841114
JP 06035459	B4	19940511		
US 4740233	A	19880426	US 1986-931469	19861117
US 4741764	A	19880503	US 1983-933717	19861121
US 4755212	A	19880705	US 1986-934271	19861121
US 4818273	A	19890404	US 1986-940480	19861210
CA 1232269	A2	19880202	CA 1987-527878	19870121
CA 1232276	A2	19880202	CA 1987-527880	19870121
GB 2196627	A1	19880505	GB 1987-9293	19870416
GB 2196627	B2	19880901		
GB 2196628	A1	19880505	GB 1987-9294	19870416
GB 2196628	B2	19880824		
AU 8822900	A1	19890105	AU 1988-22900	19880928
AU 613665	B2	19910808		
US 4886883	A	19891212	US 1988-261460	19881021
US 4954163	A	19900904	US 1989-406676	19890913
US 4983772	A	19910108	US 1989-406666	19890913

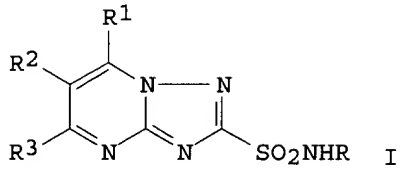
PRIORITY APPLN. INFO.:

US 1983-551758	19831114
EP 1984-113656	19841112
EP 1989-102979	19841112
IL 1984-73486	19841112
CA 1984-467616	19841113
GB 1984-28740	19841114
US 1985-768393	19850822
US 1986-940480	19861210
US 1988-261460	19881021

OTHER SOURCE(S): CASREACT 103:196117

09/ 895,975

GI



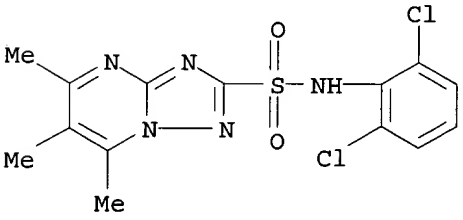
I

AB The title compds. [I; R = (substituted) (hetero)aryl; R1, R2, R3 = H, (halo)alkyl, OH, (substituted) alkoxy, (substituted) aryl, halo, alkylthio, arylthio, (substituted) amino, R1R2 or R2R3 may form a ring], useful as herbicides and inhibitors of nitrification of amino nitrogen in soil (effective at .gtoreq. 0.05 wt.%), were prepd. by various methods. Thus, stirring a mixt. of 2.78 g I [R = 2,3,6-Br(MeO2C)MeC6H2, R1 = R3 = Me, R2 = H), 30 mL 5% aq. NaOH, and 30 mL H2O at 25.degree. for 2.5 h gave, after acidification, 2.10 g I [R = 2,3,6-Br(HO2C)MeC6H2, R1 = R3 = Me, R2 = H].

IT **98966-99-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as herbicide and nitrification inhibitor)

RN 98966-99-5 CAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidine-2-sulfonamide, N-(2,6-dichlorophenyl)-5,6,7-trimethyl- (9CI) (CA INDEX NAME)



L3 ANSWER 80 OF 110 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1985:523428 CAPLUS

DOCUMENT NUMBER: 103:123428

TITLE: Pyrimidine and fused pyrimidine derivatives. III. Synthesis of s-triazolo[1,5-a]pyrimidine derivatives by using ketene dithioacetals

AUTHOR(S): Tominaga, Yoshinori; Sakai, Shuichiro; Kohra, Shinya; Tsuka, Junko; Matsuda, Yoshiro; Kobayashi, Goro

CORPORATE SOURCE: Fac. Pharm. Sci., Nagasaki Univ., Nagasaki, 852, Japan

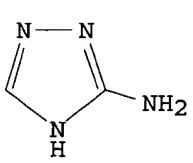
SOURCE: Chemical & Pharmaceutical Bulletin (1985), 33(3), 962-70
CODEN: CPBTAL; ISSN: 0009-2363

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 103:123428

GI



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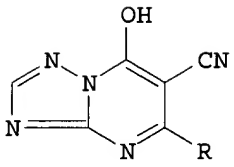


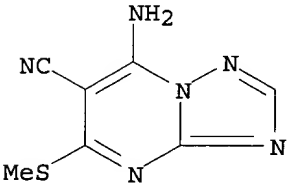
II

AB Cyclocondensation of triazolamine I with ketene dithioacetals, e.g. (MeS)2C:C(CN)CO2Me gave triazolopyrimidines, e.g. II (R = SMe)(III). Amination of III gave the 7-(un)substituted amino derivs., e.g. II (R = NH2, NHPh, NEt2, morpholino, etc.).

IT **98190-26-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 98190-26-2 CAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidine-6-carbonitrile, 7-amino-5-(methylthio)-(9CI) (CA INDEX NAME)



L3 ANSWER 81 OF 110 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1985:437497 CAPLUS

DOCUMENT NUMBER: 103:37497

TITLE: 7-Aminoazolo[1,5-a]pyrimidines and fungicides containing them

INVENTOR(S): Eicken, Karl; Graf, Hermann; Gramlich, Walter; Sauter, Hubert; Rentzea, Costin; Pommer, Ernst Heinrich; Ammermann, Eberhard

PATENT ASSIGNEE(S): BASF A.-G. , Fed. Rep. Ger.

SOURCE: Ger. Offen., 16 pp.
CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

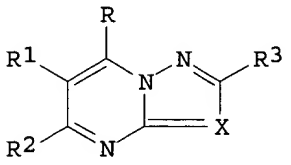
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3338292	A1	19850502	DE 1983-3338292	19831021
EP 141317	A2	19850515	EP 1984-112283	19841012
EP 141317	A3	19860212		
EP 141317	B1	19880120		
R: AT, BE, CH, DE, FR, GB, IT, LI, NL, SE				
AT 32077	E	19880215	AT 1984-112283	19841012
IL 73258	A1	19871130	IL 1984-73258	19841016
CA 1242715	A1	19881004	CA 1984-465567	19841016
JP 60104089	A2	19850608	JP 1984-216490	19841017
CS 248724	B2	19870212	CS 1984-7924	19841018
AU 8434526	A1	19850426	AU 1984-34526	19841019
AU 566960	B2	19871105		
ZA 8408175	A	19850626	ZA 1984-8175	19841019

DD 232635	A5	19860205	DD 1984-268556	19841019
PL 137289	B2	19860531	PL 1984-250093	19841019
US 4617303	A	19861014	US 1984-662592	19841019
HU 36328	A2	19850930	HU 1984-3942	19841022
HU 191964	B	19870428		
US 32676	E	19880524	US 1987-59254	19870603

PRIORITY APPLN. INFO.: DE 1983-3338292 19831021
EP 1984-112283 19841012
US 1984-662592 19841019

OTHER SOURCE(S): CASREACT 103:37497

GI



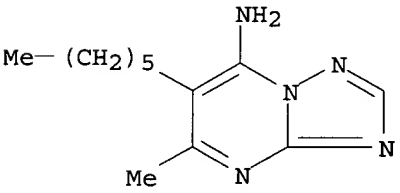
I

AB Title compds. I [R = NH2; R1 = alkyl, alkoxyalkyl, haloalkyl, (un)substituted arylalkyl; R2, R3 = H, alkyl; X = N, CR4; R4 = H, alkyl, halogen] were prepd. Thus, 200 g Me 2-n-octylacetoacetate was cyclocondensed with 94 g 3(5)-amino-5(3)-methylpyrazole in 400 mL BuOH to give 191 g I (R = OH, R1 = octyl, R2 = R3 = Me, X = CH), which (190 g) was refluxed 1.5 h in 550 mL POCl3 to give 179 g I (R = Cl). The latter compd. (179 g) in 1300 mL EtOH was placed in a 2.5 L autoclave, pressurized with 85 g NH3, and stirred 8 h at 150.degree. at 30 bar to give 133 g I (R = NH2), which at 0.025% gave 97% control of Plasmopara viticola on grapes.

IT **91637-28-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and fungicidal activity of)

RN 91637-28-4 CAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 6-hexyl-5-methyl- (9CI) (CA INDEX NAME)



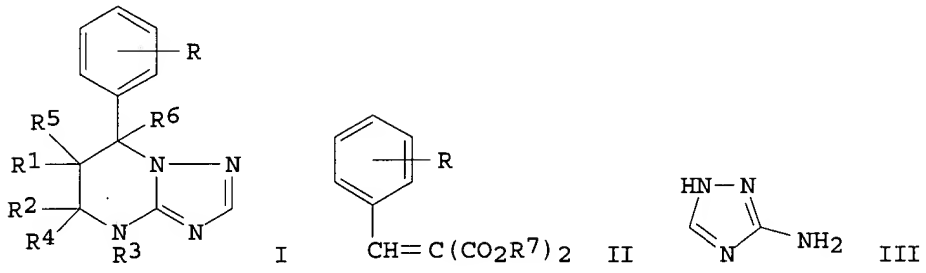
L3 ANSWER 82 OF 110 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER:	1984:571281 CAPLUS
DOCUMENT NUMBER:	101:171281
TITLE:	Triazolopyrimidines
PATENT ASSIGNEE(S):	Teijin Ltd., Japan
SOURCE:	Jpn. Kokai Tokkyo Koho, 17 pp. CODEN: JKXXAF
DOCUMENT TYPE:	Patent
LANGUAGE:	Japanese
FAMILY ACC. NUM. COUNT:	1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 59095289	A2	19840601	JP 1982-204501	19821124
JP 02039512	B4	19900905		

PRIORITY APPLN. INFO.: JP 1982-204501 19821124
OTHER SOURCE(S): CASREACT 101:171281
GI

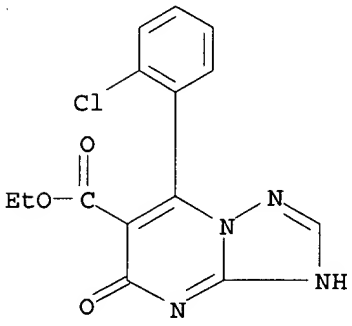


AB The title compds. I (R = H, halo, alkyl, NO2, CF3; R1 = H, alkoxycarbonyl; R2 = NR5R6 where R5, R6 = H, alkyl or R5R6= a bond; R3, R4 = H, or R3R4 = a bond; or R2R4 = O), useful as Ca antagonists and antihypertensives (at 1 mg/kg i.v. in mice), were prepd., e.g., by reaction of benzylidenemalonates II (R7 = alkyl) with aminotriazole III. Thus, heating a mixt. of 3.46 g II (R = 2-Cl, R7 = Et) and 1.05 g III at 130.degree. for 5 hs. gave 2.52 g I (R = 2-Cl, R1 = EtO2C, R2R4 = O, R3 = R5 = R6 = H).

IT **92513-02-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and antihypertensive activity of)

RN 92513-02-5 CAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidine-6-carboxylic acid, 7-(2-chlorophenyl)-1,5-dihydro-5-oxo-, ethyl ester (9CI) (CA INDEX NAME)



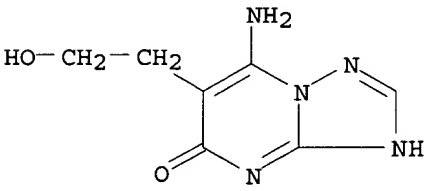
L3 ANSWER 83 OF 110 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1982:406244 CAPLUS
DOCUMENT NUMBER: 97:6244
TITLE: Heterocyclic .beta.-enamino esters. 28. The reaction of heterocyclic .beta.-enamino esters and nitriles with cyclic amidines. A simple route to azolopyrimidines (1)

09/ 895,975

AUTHOR(S): Elnagdi, Mohamed H.; Wamhoff, Heinrich
CORPORATE SOURCE: Inst. Org. Chem. Biochem., Univ. Bonn, Bonn, D-5300/1, Fed. Rep. Ger.
SOURCE: Journal of Heterocyclic Chemistry (1981), 18(7), 1287-92
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Whereas 2-amino-3-(ethoxycarbonyl)-4,5-dihydrofurans condense with 5-membered amidine derivs., via elimination of ethanol to afford the azolopyrimidines I (R = H, Me), II, and III (R = H, Me), the 2-amino-3-cyano-4,5-dihydrofurans give with the same reagents, under elimination of NH3, the novel ring systems of furoazolopyrimidines IV and V (R = H, Me). 2-Amino-3-ethoxycarbonyl-5,6-dihydro-4H-thiopyran reacts with 5-amino-1,2,4-triazole to yield the triazolo[1,5-a]pyrimidine VI, and with 2-aminobenzimidazole to give VII. III (R = Me) and VIII are cyclized in a secondary step to give the novel furo[2,3-d]benzimidazo[1,2-a]pyrimidine IX and furo[2,3-d]-1,2,4-triazolo[1,5-a]pyrimidine X, resp., besides the acetoxy derivs. XI and XII.
IT **78017-08-0P**
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and spectra of)
RN 78017-08-0 CAPLUS
CN [1,2,4]Triazolo[1,5-a]pyrimidin-5(1H)-one, 7-amino-6-(2-hydroxyethyl)-(9CI) (CA INDEX NAME)



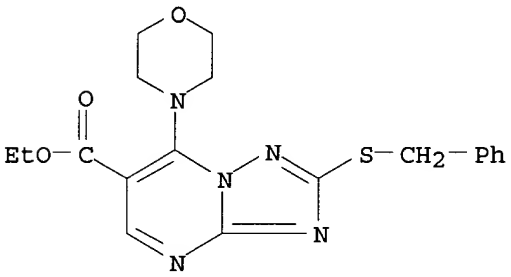
L3 ANSWER 84 OF 110 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1982:122736 CAPLUS
DOCUMENT NUMBER: 96:122736
TITLE: 2-(Alkylthio)-1,2,4-triazolo[1,5-a]pyrimidines as adenosine 3',5'-monophosphate phosphodiesterase inhibitors with potential as new cardiovascular agents
AUTHOR(S): Novinson, Thomas; Springer, Robert, H.; O'Brien, D. E.; Scholten, Mieka B.; Miller, Jon P.; Robins, Roland K.
CORPORATE SOURCE: Novitex Lab., Inc., Ventura, CA, 93003, USA
SOURCE: Journal of Medicinal Chemistry (1982), 25(4), 420-6
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A series of new 2-(alkylthio)-5,7-disubstituted-1,2,4-triazolo[1,5-a]pyrimidines have been prepd. as inhibitors of cAMP phosphodiesterase (I) from various tissues. These derivs. were prepd. via ring closure of various 3-amino-1,2,4-triazole intermediates. 2-Benzylthio-5-methyl-7-(dimethylamino)-1,2,4-triazolo[1,5-a]pyrimidine (II) is 6.3 times as

potent as theophylline in inhibiting I from rabbit heart. Treatment of dogs i.v. with 5 mg/kg h of II gave a cardiac output increase of 69%, which was largely sustained for a 2-h period after administration of drug had ceased. There was no significant increase in heart rate upon administration of II. Related studies with 5,7-di-n-propyl-2-(benzylthio)-1,2,4-triazolo[1,5-a]pyrimidine in dogs showed a 31.5% increase in cardiac output with an increase in stroke vol. of 34.4% with no increase in heart rate. The specificity of action of these I inhibitors could be due to selective binding at a certain I site in the cardiovascular system. Several of these compds. are candidates for further studies with a view to clin. evaluation.

IT **51646-45-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and cyclic AMP phosphodiesterase-inhibiting activity of)

RN 51646-45-8 CAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidine-6-carboxylic acid, 7-(4-morpholinyl)-2-[(phenylmethyl)thio]-, ethyl ester (9CI) (CA INDEX NAME)



L3 ANSWER 85 OF 110 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1981:424975 CAPLUS

DOCUMENT NUMBER: 95:24975

TITLE: Heterocyclic .beta.-enamino esters. 26. A novel synthesis of azolopyrimidines

AUTHOR(S): Elnagdi, Mohamed H.; Wamhoff, Heinrich

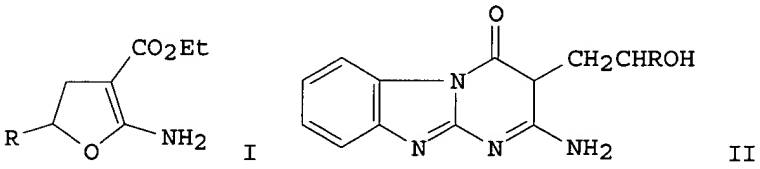
CORPORATE SOURCE: Inst. Org. Chem. Biochem., Univ. Bonn, Bonn, D-5300/1, Fed. Rep. Ger.

SOURCE: Chemistry Letters (1981), (3), 419-22
CODEN: CMLTAG; ISSN: 0366-7022

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



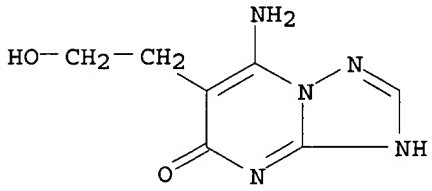
I II

AB Azolopyrimidine derivs. were prepd. via reaction of heterocyclic .beta.-enamino esters with 2-amino heterocycles. E.g., treating furans I (R = H, Me) with 2-aminobenzimidazole gave 76-82% benzimidazopyrmidines II.

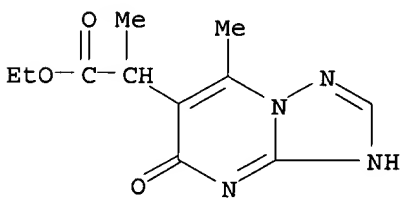
IT **78017-08-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

09/ 895,975

RN 78017-08-0 CAPLUS
CN [1,2,4]Triazolo[1,5-a]pyrimidin-5(1H)-one, 7-amino-6-(2-hydroxyethyl)- (9CI) (CA INDEX NAME)



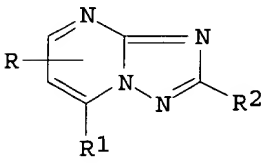
L3 ANSWER 86 OF 110 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1980:506810 CAPLUS
DOCUMENT NUMBER: 93:106810
TITLE: Studies on cardiovascular agents. 6. Synthesis and coronary vasodilating and antihypertensive activities of 1,2,4-triazolo[1,5-a]pyrimidines fused to heterocyclic systems
AUTHOR(S): Sato, Yasunobu; Shimoji, Yasuo; Fujita, Hiroshi; Nishino, Hiroshi; Mizuno, Hiroshi; Kobayashi, Shinsaku; Kumakura, Seiji
CORPORATE SOURCE: Cent. Res. Lab., Sankyo Co., Ltd., Tokyo, Japan
SOURCE: Journal of Medicinal Chemistry (1980), 23(8), 927-37
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB The title compds. I (R1 = H, Me, Ph, substituted Ph; R2 = Me or cyclopropyl; R3 = H or Me; R4 = H, Me, or Et; R5 = H, alkyl, Ph, substituted Ph, CH2CH2OH, CH2CH2NEt2, etc.), II (R1 = H or Me; R2 = H, alkyl, or substituted benzyl), and III (A = O, S, NMe, etc.; B = CH2CH2, NHCH2CH2CH2, etc.) were synthesized by several methods and evaluated for antihypertensive activity in spontaneously hypertensive male rats, and coronary vasodilating activity in isolated guinea pig hearts. 8-tert-Butyl-7,8-dihydro-5-methyl-6H-pyrrolo[3,2-e][1,2,4]triazolo[1,5-a]pyrimidine (IV) [62052-97-5] was more potent than trapidil in the coronary vasodilating test and equipotent to guanethidine sulfate in the antihypertensive test. IV was also evaluated in coronary blood flow and blood pressure in dogs. An increase of up to 5 C in the alkyl chain at position 8 increased vasodilating activity, whereas a C10 or C12 substituent resulted in vasoconstriction. The tert-Bu group at position 8 is important for antihypertensive activity. Structure-activity relations are discussed.
IT **74258-60-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and coronary vasodilating and antihypertensive activities of)
RN 74258-60-9 CAPLUS
CN [1,2,4]Triazolo[1,5-a]pyrimidine-6-acetic acid, 1,5-dihydro-.alpha.,7-dimethyl-5-oxo-, ethyl ester (9CI) (CA INDEX NAME)



L3 ANSWER 87 OF 110 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1977:552262 CAPLUS
DOCUMENT NUMBER: 87:152262
TITLE: 2-Substituted-s-triazolo[1,5a]pyrimidines
INVENTOR(S): O'Brien, Darrell E.; Novinson, Thomas; Springer, Robert H.
PATENT ASSIGNEE(S): ICN Pharmaceuticals, Inc., USA
SOURCE: U.S., 11 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4036840	A	19770719	US 1975-579832	19750522
AU 7355882	A1	19741121	AU 1973-55882	19730518
NL 7307573	A	19731211	NL 1973-7573	19730530
ES 415389	A1	19760601	ES 1973-415389	19730530
GB 1423266	A	19760204	GB 1973-26279	19730601
BE 800550	A1	19731001	BE 1973-131957	19730606
FR 2187295	A1	19740118	FR 1973-20569	19730606
CA 1010863	A1	19770524	CA 1973-173489	19730607

PRIORITY APPLN. INFO.: US 1972-260517 19720607
GI

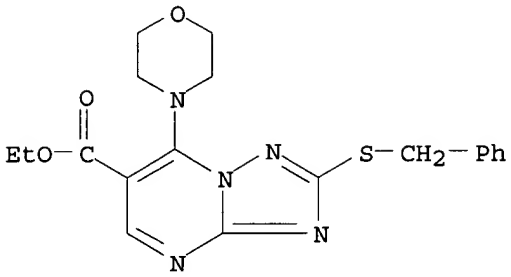


I

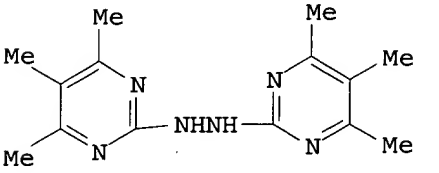
AB Triazolopyrimidines I (R = 5-Me, 5-Pr, 6-CO2Et; R1 = Me, Pr, OH, Cl, amino, CH2Ac, SH; R2 = alkylthio, substituted alkylthio, substituted alkylsulfonyl)(50 compds.) were prepd. Thus, 3-amino-5-benzylthio-s-triazole was condensed with Ac2CH2 to give I (R = 5-Me, R1 = Me, R2 = SCH2Ph). I had coronary vasodilator, inotropic, muscle relaxant, antiinflammatory, antihypertensive, and 3',5'-cyclic AMP phosphodiesterase-inhibiting activity.

IT **51646-45-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and pharmacol. activity of)

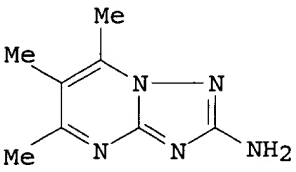
RN 51646-45-8 CAPLUS
CN [1,2,4]Triazolo[1,5-a]pyrimidine-6-carboxylic acid, 7-(4-morpholinyl)-2-[(phenylmethyl)thio]-, ethyl ester (9CI) (CA INDEX NAME)



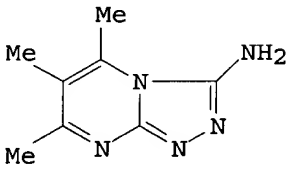
L3 ANSWER 88 OF 110 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1976:421272 CAPLUS
DOCUMENT NUMBER: 85:21272
TITLE: Condensations with hydrazine-N,N'-dicarboxamidine, 20. Trisubstituted s-triazolo[1,5-a]pyrimidines
AUTHOR(S): Kreutzberger, Alfred; Kreutzberger, Elfriede
CORPORATE SOURCE: Inst. Pharm. Chem., Westfael. Wilhelms-Univ. Muenster, Muenster, Fed. Rep. Ger.
SOURCE: Archiv der Pharmazie (Weinheim, Germany) (1976), 309(2), 148-52
CODEN: ARPMAS; ISSN: 0365-6233
DOCUMENT TYPE: Journal
LANGUAGE: German
GI



I



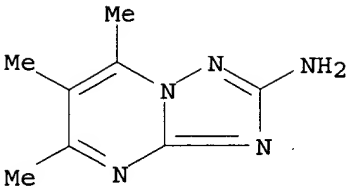
II



III

AB Condensation of [H2NC(:NH)NH]2 with MeCOCMe:C(OH)Me at room temp. gave only hydrazodipyrimidine I in 26.5% yield, but at 100.degree./6 hr, 52% yield of triazolopyrimidine II was primarily obtained, besides a little I. Triazolopyrimidine III was formed as an intermediate which rearranged to II via ring-opening of the pyrimidine portion. II was unambiguously synthesized from MeCOCMe:C(OH)Me and 3,5-diamino-s-triazole.

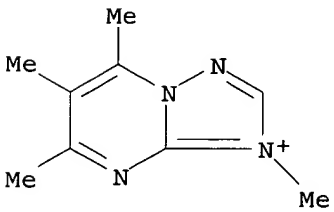
IT **59444-02-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 59444-02-9 CAPLUS
CN [1,2,4]Triazolo[1,5-a]pyrimidin-2-amine, 5,6,7-trimethyl- (9CI) (CA INDEX NAME)



L3 ANSWER 89 OF 110 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1974:449629 CAPLUS
DOCUMENT NUMBER: 81:49629
TITLE: Condensation of protonated salts of N-alkyl-substituted C-amino-s-triazoles with .beta.-diketones and .beta.-chlorovinyl ketones
AUTHOR(S): Golubushina, G. M.; Poshtaruk, G. N.; Chuiguk, V. A.
CORPORATE SOURCE: Kiev. Gos. Univ. im. Shevchenko, Kiev, USSR
SOURCE: Khimiya Geterotsiklicheskikh Soedinenii (1974), (4), 565-9
CODEN: KGSSAQ; ISSN: 0132-6244
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI For diagram(s), see printed CA Issue.
AB Triazolopyrimidines I, II(R = H, Me; R1 = Me, Ph; R2 = H, Me; R3 = Me, H) were prepd. in 19-100% yields by condensing triazoles III, IV (R = H, Me) with a .beta.-di-or .beta.-chlorovinyl ketone. Condensation of triazolium perchlorate (V) with .beta.-diketones yielded 80-95% VI (R1 = Me, Ph; R2 = H, Et; R3 = Me, Ph). Structures of the condensation products were confirmed by PMR.
IT **53132-51-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 53132-51-7 CAPLUS
CN [1,2,4]Triazolo[1,5-a]pyrimidinium, 3,5,6,7-tetramethyl-, perchlorate (9CI) (CA INDEX NAME)

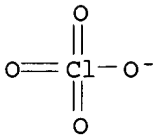
CM 1

CRN 53132-50-6
CMF C9 H13 N4



CM 2

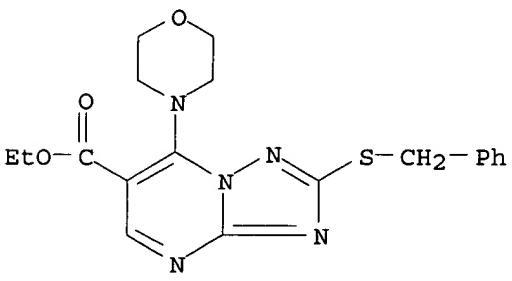
CRN 14797-73-0
CMF Cl O4



L3 ANSWER 90 OF 110 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1974:83040 CAPLUS
DOCUMENT NUMBER: 80:83040
TITLE: 2-(Substituted thio)-s-triazolo [1,5-a]pyrimidines
INVENTOR(S): O'Brien, Darell E.; Novinson, Thomas; Springer, Robert H.
PATENT ASSIGNEE(S): ICN Pharmaceuticals, Inc.
SOURCE: Ger. Offen., 25 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2327133	A1	19740103	DE 1973-2327133	19730528
AU 7355882	A1	19741121	AU 1973-55882	19730518
NL 7307573	A	19731211	NL 1973-7573	19730530
ES 415389	A1	19760601	ES 1973-415389	19730530
GB 1423266	A	19760204	GB 1973-26279	19730601
BE 800550	A1	19731001	BE 1973-131957	19730606
FR 2187295	A1	19740118	FR 1973-20569	19730606
CA 1010863	A1	19770524	CA 1973-173489	19730607

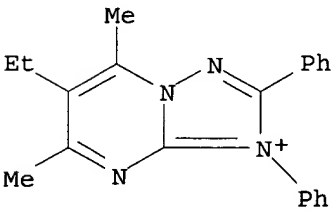
PRIORITY APPLN. INFO.: US 1972-260517 19720607
GI For diagram(s), see printed CA Issue.
AB Triazolopyrimidines I (R = C1-4 alkyl, substituted benzyl, pyridylmethyl, quinolylmethyl, tetrahydrofurylmethyl, R1 = Me, R2 = 5-Me; R = CH2Ph, R1 = substituted amino, OH, Cl, R2 = 5-Me, 6-CO2Et) (40 compds.) were prepd. from 3-amino-5-mercapto-s-triazole (II). Thus II was benzylated and cyclized with acetylacetone, Et acetoacetate, or di-Et (ethoxymethylene)-malonate, followed by substitution in the 7-position or II was first subjected to the cyclization and then substituted in the 2-position. I are 3',5'-cyclic AMP phosphodiesterase inhibitors .ltoreq.10 times as effective as theophyllin.
IT **51646-45-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 51646-45-8 CAPLUS
CN [1,2,4]Triazolo[1,5-a]pyrimidine-6-carboxylic acid, 7-(4-morpholinyl)-2-[(phenylmethyl)thio]-, ethyl ester (9CI) (CA INDEX NAME)



L3 ANSWER 91 OF 110 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1974:27191 CAPLUS
DOCUMENT NUMBER: 80:27191
TITLE: New condensed pyrimidinium salts with a nitrogen bridge atom
AUTHOR(S): Chuiguk, V. A.; Fedotov, K. V.; Boiko, Yu. P.; Bachkovskii, I. P.; Golubushina, G. M.; Mostovaya, O. M.
CORPORATE SOURCE: Kiev. Gos. Univ. im. Shevchenko, Kiev, USSR
SOURCE: Khimiya Geterotsiklicheskikh Soedinenii (1973), (10), 1432-3
CODEN: KGSSAQ; ISSN: 0132-6244
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI For diagram(s), see printed CA Issue.
AB Oxadiazolo-pyrimidinium (I) was obtained in 88% yield by heating 2-amino-5-phenyl-1,3,4-oxadiazolium perchlorate 2 hr with MeCOCHEtCOMe at 140-50.degree.. Boiling I with PhNH2 in AcOH yielded quant. triazolo deriv. (II). Tetrazole deriv. (III) was prepd. in 96% yield by heating 5-amino-1-methyltetrazolium perchlorate 1 hr with MeCOCH2COMe at 140-50.degree.. Treatment of 5-amino-2-benzyltetrazole with MeCCl:CMeCHO in MeOH contg. HClO4 gave 38% tetrazole deriv. (IV).
IT **50735-21-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 50735-21-2 CAPLUS
CN [1,2,4]Triazolo[1,5-a]pyrimidinium, 6-ethyl-5,7-dimethyl-2,3-diphenyl-, perchlorate (9CI) (CA INDEX NAME)

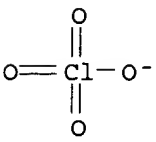
CM 1

CRN 50735-20-1
CMF C21 H21 N4



CM 2

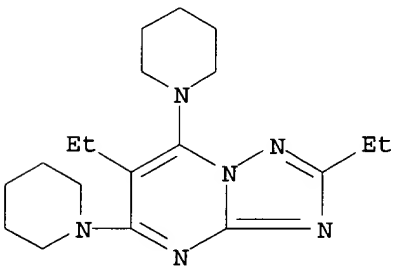
CRN 14797-73-0
CMF Cl O4



L3 ANSWER 92 OF 110 CAPLUS COPYRIGHT 2003 ACS

09/ 895,975

ACCESSION NUMBER: 1972:3793 CAPLUS
DOCUMENT NUMBER: 76:3793
TITLE: Pharmaceutical-chemical research on s-triazolo[1,5-a]pyrimidines
AUTHOR(S): Tenor, E.; Ludwig, R.
CORPORATE SOURCE: Forschungslab., VEB Dtsch. Hydrierwerk Rodleben, Rodleben, Fed. Rep. Ger.
SOURCE: Pharmazie (1971), 26(9), 534-9
CODEN: PHARAT; ISSN: 0031-7144
DOCUMENT TYPE: Journal
LANGUAGE: German
GI For diagram(s), see printed CA Issue.
AB Amino- or alkoxy-substituted s-triazolopyrimidines, including the coronary vasodilator trapymin (Rocornal) (I, R = Me) were prepd. For example, 0.05 mole 7-chloro-s-triazolo[1,5-a]pyrimidine in 50-75 ml H2O was treated with 0.1 mole Et2NH at 30-40.degree. and kept at 30-40.degree. for 1 hr. The mixt. was then refluxed for 1 hr to give a 43 yield of I (R = H). Similarly prepd. were 41 other s-triazolo[1,5-a]pyrimidines.
IT **34453-30-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 34453-30-0 CAPLUS
CN [1,2,4]Triazolo[1,5-a]pyrimidine, 2,6-diethyl-5,7-di-1-piperidinyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

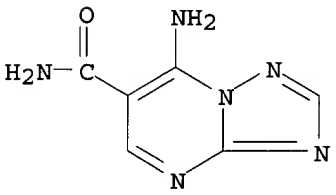
L3 ANSWER 93 OF 110 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1970:477192 CAPLUS
DOCUMENT NUMBER: 73:77192
TITLE: Synthesis of s-triazolo[a]pyrimidopyrimidines
AUTHOR(S): Muehlstaedt, Manfred; Krausmann, H.; Fischer, Gerhard
CORPORATE SOURCE: Sekt. Chem., Karl-Marx-Univ., Leipzig, Fed. Rep. Ger.
SOURCE: Journal fuer Praktische Chemie (Leipzig) (1970), 312(2), 254-62
CODEN: JPCEAO; ISSN: 0021-8383
DOCUMENT TYPE: Journal
LANGUAGE: German
GI For diagram(s), see printed CA Issue.
AB 2-Hydrazino-5-hydroxypyrimido[4,5-d]pyrimidine (I), from 2-ethylthio-5-hydroxypyrimido[4,5-d]pyrimidine and N2H4, cyclized with AcOCH(OEt)2 to an inseparable mixt. of 6-hydroxy-s-triazolo[4,3-a]pyrimido[4,5-e]pyrimidine (II) and 6-hydroxy-s-triazolo[4,3-a]pyrimido[4,5-d]pyrimidine (III). Similarly, treatment of I with HCO2H gave 6-hydroxy-s-triazolo[1,5-a]pyrimido[4,5-d]pyrimidine (IV) and 6-hydroxy-s-triazolo[1,5-a]pyrimido[4,5-e]pyrimidine (V). II and III were the 1st products of this reaction also and underwent Dimroth rearrangement

to IV and V. IV was also prepd. by condensing 3-amino-1,2,4-triazole with EtOCH:C(CN)2 followed by hydrolysis and treatment with HCONH2. Concd. H2SO4 hydrolysis of 7-amino-6-cyano-s-triazolo[1,5-a]pyrimidine gave 6-carboxamido-7-amino-s-triazolo[4,3-a]pyrimidine.

IT **28524-63-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 28524-63-2 CAPLUS

CN s-Triazolo[1,5-a]pyrimidine-6-carboxamide, 7-amino- (6CI, 8CI) (CA INDEX NAME)



L3 ANSWER 94 OF 110 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1970:425482 CAPLUS
DOCUMENT NUMBER: 73:25482
TITLE: Triazolo[1,5-a]pyrimidines
INVENTOR(S): Dukes, Michael
PATENT ASSIGNEE(S): Imperial Chemical Industries Ltd.
SOURCE: Ger. Offen., 75 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 1946315	A	19700319	DE 1969-1946315	19690912
DE 1946315	C2	19850515		
GB 1234635	A	19710609	GB 1968-43627	19680913
ZA 6905832	A	19710331	ZA 1969-5832	19690814
US 3689488	A	19720905	US 1969-850221	19690814
PL 80261	P	19750830	PL 1969-135379	19690815
PL 80539	P	19750830	PL 1969-139471	19690815
PL 80662	P	19750830	PL 1969-139525	19690815
PL 80664	P	19750830	PL 1969-139527	19690815
DK 137498	C	19780828	DK 1969-4586	19690827
BR 6912007	A0	19730419	BR 1969-212007	19690829
SU 404249	D	19731026	SU 1969-1447192	19690902
SU 432719	D	19740615	SU 1969-1445877	19690902
SU 485597	D	19750925	SU 1969-1447118	19690902
SU 511001	D	19760415	SU 1969-1365596	19690902
CS 163196	P	19750829	CS 1969-6023	19690903
CS 163197	P	19750829	CS 1969-2756	19690903
BE 738830	A	19700312	BE 1969-738830	19690912
NL 6913907	A	19700317	NL 1969-13907	19690912
NL 162651	B	19800115		
NL 162651	C	19800616		
FR 2018077	A5	19700529	FR 1969-31200	19690912
FR 2018077	B1	19730112		
AT 292000	B	19710810	AT 1969-8717	19690912
AT 292697	B	19710910	AT 1970-8668	19690912
AT 292696	B	19710910	AT 1970-8667	19690912

AT 292699	B	19710910	AT 1970-8670	19690912
SE 373584	B	19750210	SE 1969-12601	19690912
SE 377460	B	19750707	SE 1972-16479	19690912
JP 51007677	B4	19760310	JP 1969-72676	19690912
ES 371509	A1	19711101	ES 1969-371509	19690913
CH 522666	A	19720515	CH 1969-522666	19690915
CH 523270	A	19720531	CH 1969-523270	19690915
CH 523272	A	19720531	CH 1969-523272	19690915
CH 529772	A	19721031	CH 1969-529772	19690915
CH 530410	A	19721115	CH 1969-530410	19690915
US 3773949	A	19731120	US 1972-252727	19720512
PRIORITY APPLN. INFO.:			GB 1968-43627	19680913
			GB 1969-22266	19690501
			US 1969-850221	19690814
			SU 1969-1365596	19690902

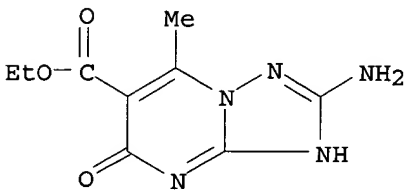
GI For diagram(s), see printed CA Issue.

AB The title compds. (I and II), which are effective as antispastics, for the redn. of body fat, and as antiallergic agents, are prepd. by treating a substituted triazole with an unsatd. ester or with a .beta.-oxo acid ester. Thus, 45.4 g N-propyl-S-ethylisothiourea-HBr in 100 ml water and 8 g NaOH was treated with 30 g PhCH2NCS in 100 ml EtOH to obtain 1-benzyl-4-ethyl-5-propyl-4-isothiobiuret, which was treated with EtI in EtOH to obtain 1-benzyl-2,4-diethyl-5-propyl-2,4-diisodithiobiuret, which was refluxed with hydrazine hydrate in EtOH to obtain 3-(benzylamino)-5-(propylamino)-1,2,4-triazole (III), m. 164.degree.. III (12.5 g), 7.5 g Me .beta.-methoxy-.alpha.-methylacrylate (IV) in 30 ml EtOH contg. 2.75 g 50% NaH dispersion was refluxed 48 hr to give a mixt. of 65% 2-(benzylamino)-6-methyl-5-oxo-4-propyl-4,5-dihydro-s-triazolo[1,5-a]pyrimidine and 35% 4-benzyl-6-methyl-5-oxo-2-(propylamino)-4,5-dihydro-triazolo[1,5-a]pyrimidine, m. 82-4.degree.. This mixt. was treated in acidified EtOH with H over 5% Pd/C to obtain I (R = PrNH, R1 = benzyl, R2 = Me), m. 102-4.degree. and on further chromatog. I (R = NH2, R1 = Pr, R2 = Me), m. 158.degree. (decompn.). About 40 examples are characterized.

IT **3043-84-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 3043-84-3 CAPLUS

CN s-Triazolo[1,5-a]pyrimidine-6-carboxylic acid, 2-amino-4,5-dihydro-7-methyl-5-oxo-, ethyl ester (7CI, 8CI) (CA INDEX NAME)



L3 ANSWER 95 OF 110 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER:	1970:79087 CAPLUS
DOCUMENT NUMBER:	72:79087
TITLE:	s-Triazolo[1,5-.alpha.]pyrimidines
PATENT ASSIGNEE(S):	VEB Deutsches Hydrierwerk Rodleben
SOURCE:	Fr., 8 pp. CODEN: FRXXAK
DOCUMENT TYPE:	Patent
LANGUAGE:	French
FAMILY ACC. NUM. COUNT:	1
PATENT INFORMATION:	

09/ 895,975

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 1567554		19690516	FR	19680529

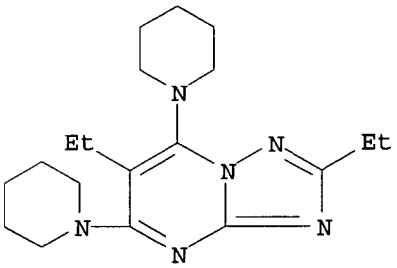
GI For diagram(s), see printed CA Issue.

AB The title compds. (I) and their salts were prepd. Thus, 9.4 g I (R1 = R3 = Cl, R2 = R4 = H) in 100 ml H2O wasslowly added to 7.5 Et2NH, stirred 2 hr at ambient temp. and 2 hr at 70-80.degree. to give 10 g I (R1 = Cl, R3 = Et2N, R2 = R4 = H) (II) m. 110-11.degree.. To 5.7 g II in 50 ml BuOH was added 6 g PhCH2NH2 and the mixt. refluxed 5 hr to give 6 g I (R1 = PhCH2NH, R3 = Et2N, R2 = R4 = H), m. 146-7.degree.. By similar methods the following I were prepd. (R1, R3, R2, R4,and m.p. given): Cl, PhCH2NH, H, H, 178-9.degree.; Et2N, PhCH2NH, H, H, 125-6.degree.; bis(.beta.-hydroxyethyl)amino, furfurylamino, H, H, 107.degree.; Cl, PhEtN, H, H, 145-6.degree.; piperidino, piperidino, H, H, 79.degree. (monohydrate); Cl, Et2N, H, Et, 79-80.degree.; piperidino, piperidino, H, Et, 69.degree.; piperidino, piperidino, Et, Et, 165.degree..

IT **27232-21-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 27232-21-9 CAPLUS

CN s-Triazolo[1,5-a]pyrimidine, 2,6-diethyl-5,7-dipiperidino- (8CI) (CA INDEX NAME)



L3 ANSWER 96 OF 110 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1969:47491 CAPLUS

DOCUMENT NUMBER: 70:47491

TITLE: 5- and 7-(basically substituted)-s-Triazolo[1,5-a]pyrimidine coronary dilators

INVENTOR(S): Tenor, Ernst; Fueller, Heinz

SOURCE: Ger. (East), 3 pp.
CODEN: GEXXA8

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

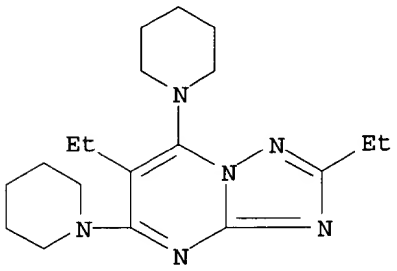
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DD 61269		19680420	DD	19670701

GI For diagram(s), see printed CA Issue.

AB The title compds. (I) were prepd. Thus, 7.5 g. Et2NH was added slowly to 9.4 g. 5,7-dichloro-s-triazolo[1,5-a]pyrimidine in 100 ml. H2O, the mixt. stirred 2 hrs. at room temp. and 2 hrs. at 70-80.degree., the cold soln. acidified and filtered to give 10 g. I (R2 = R4 = H) (II, R1 = Cl, R3 = Et2N) (III), m. 111-12.degree.. III (5.7 g.) was dissolved in 50 ml. BuOH, 6 g. PhCH2NH2 added, the mixt. refluxed 5 hrs. resulting in 6 g. II (R1 = PhNH, R1 = Et2N), m. 146-7.degree. (AcOEt). Similarly prepd. were the following II (R1, R3, and m.p. given): Cl, PhCH2NH, 178-9.degree. (EtOH); Et2N, PhCH2NH, 125-6.degree. (AcOEt); (HOCH2CH2)2N, furfurylamino,

107.degree. (H2O); Cl, PhCH2CH2NH, 145-6.degree. (EtOH); Et2N, Et2N, - (b0.2 165-70.degree.); piperidino (A), A, (monohydrate) 79.degree. (H2O-EtOH); and I (R2 = H, R4 = Et); Cl, Et2N, 79-80.degree. (C6H6); A, A, 69.degree. (C6H6); and R2 = R4 = Et, R1 = R3 = A, hydrochloride, m. 165.degree.. The compds. have coronary dilatory properties.

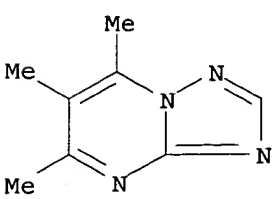
IT **21841-19-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 21841-19-0 CAPLUS
CN s-Triazolo[1,5-a]pyrimidine, 2,6-diethyl-5,7-dipiperidino-, hydrochloride (8CI) (CA INDEX NAME)



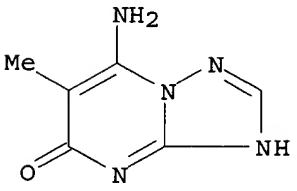
●x HCl

L3 ANSWER 97 OF 110 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1969:4033 CAPLUS
DOCUMENT NUMBER: 70:4033
TITLE: Position of protonation and of N-methylation in the s-triazolo[1,5-a]pyrimidine ring system
AUTHOR(S): Paudler, William W.; Helmick, Larry S.
CORPORATE SOURCE: Ohio Univ., Athens, OH, USA
SOURCE: Journal of Heterocyclic Chemistry (1968), 5(5), 691-3
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB The N-methylation in the title ring system occurred on N3, as shown by the hydrolysis of s-triazolo[1,5-a]pyrimidine methiodide to 3-amino-4-methyl-s-triazole (I). N-Methylation of the imidazo[1,2-a]pyrimidine ring occurred on N2. The position of protonation in the s-triazolo[1,5-a]pyrimidine system was similar to that of N-methylation. 1H N.M.R. spectra are given.

IT **20865-07-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 20865-07-0 CAPLUS
CN s-Triazolo[1,5-a]pyrimidine, 5,6,7-trimethyl- (7CI, 8CI) (CA INDEX NAME)



L3 ANSWER 98 OF 110 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1966:84573 CAPLUS
DOCUMENT NUMBER: 64:84573
ORIGINAL REFERENCE NO.: 64:15878b-e
TITLE: Condensed heterocycles. VIII. Condensation of 3-amino-1,2,4-triazole with cyanoacetic ester
AUTHOR(S): Levin, Ya. A.; Platonava, N. R.; Kukhtin, V. A.
CORPORATE SOURCE: Inst. Org. Chem., Kazan
SOURCE: Izv. Akad. Nauk SSSR, Ser. Khim. (1964), (8), 1475-80
DOCUMENT TYPE: Journal
LANGUAGE: Russian
AB cf. CA 60, 13242g. The reaction of 3-amino-1,2,4-triazole (I) with NCCH2CO2Et was investigated and the structure of the products examined. Na (5.75 g.) and 21 g. I were dissolved in 500 ml. abs. alc., 28.25 g. NCCH2CO2Et was added, and the mixt. boiled for 2.5 hrs. to give 73% 4-amino-6-oxo-1,2,4-triazolo [2,3-a] pyrimidine (II), decompd. 330.degree.. I (2.1 g.) was treated with 2.83 g. NCCH2CO2Et at 180.degree. 15 min. to give 29% II. II (23 g.) was boiled 5 hrs. with 150 ml. Ac2O and 200 ml. pyridine to give 75% 4-acetylamino-6-oxo-1,2,4-triazolo[2,3-a]pyrimidine (III), decompd. >320.degree.. III (1.2 g.) and 5.5 g. MgO was boiled 12 hrs. in 150 ml. water to give 0.41 g. I. III (4.2 g.) was boiled 1.5 hrs. in 60 ml. POCl3 to give 37% 4-acetylamino-6-chloro-1,2,4-triazolo[2,3-a]pyrimidine (IV), decompd. >300.degree.. IV (0.5 g.) and 2 g. MgO was boiled 3 hrs. in 50 ml. water to give 75% 4-amino-6-chloro-1,2,4-triazolo[2,3-a]pyrimidine (V), m. 20.degree.. V (0.4 g.) was hydrolyzed in 30 ml. 5% NaOH for 3.5 hrs., to give II. V (0.2 g.) and 0.11 g. 20% Pd-C were mixed and hydrogenated 3 hrs. to give 4-amino-1,2,4-triazolo[2,3-a] pyrimidine (VI), m 277.8.degree.. Na (4.6 g.) was dissolved in 400 ml. abs. alc. 28.6 g. 2-amino-4-oxo-6-thioxopyrimidine (VII) and 21.8 g. EtBr were added, and the mixt. was boiled 4 hrs. to give 54% 3-ethylthio-4-oxo-6-aminopyrimidine (VIII), m. 216-18.degree.. VIII (7.5 g), 15.5 ml. N2H4 hydrate, and 30 ml. alc. were boiled for 4 hrs. to give 45% 2-hydrazino-4-oxo-6-aminopyrimidine (IX), m. 253-5.degree.. IX (9.3 g.) was boiled 30 hrs. in 150 ml. HCONMe2 to give 8.7 g. 4(6)-amino-6(4)-oxo-1,2,4-triazolo[4,3-a]pyrimidine, m. >330.degree.. Uv spectra are given for all synthesized compds.
IT **5909-11-5**, s-Triazolo[1,5-a]pyrimidin-5(4H)-one, 7-amino-6-methyl- (prepn. of)
RN 5909-11-5 CAPLUS
CN s-Triazolo[1,5-a]pyrimidin-5(4H)-one, 7-amino-6-methyl- (7CI, 8CI) (CA INDEX NAME)



L3 ANSWER 99 OF 110 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1966:44428 CAPLUS
DOCUMENT NUMBER: 64:44428
ORIGINAL REFERENCE NO.: 64:8361h,8362a-c
TITLE: 6-Oxo-1,3,3a,7-tetraazaindenes for photographic emulsions
INVENTOR(S): Williams, Leslie A.

09/ 895,975

PATENT ASSIGNEE(S): Eastman Kodak Co.
SOURCE: 6 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3202512		19650824	US	19610131

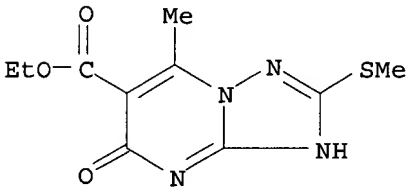
GI For diagram(s), see printed CA Issue.

AB Compds. of the general formula I are prepd. and can be used to prevent the formation of fog during the storage of emulsions. Thus, a mixt. 324 g. MeC(OEt)3, 320 g. CH2(CO2Et)2, and 5.6 g. KOH is heated .apprx.4 hrs. at .apprx.205.degree.C. to give 180 g. MeC(OEt):C(CO2Et)2 (II), m. 25-7.degree.C. II(46.0 g.) and 16.8 g. 3-amino-1,2,4-triazole are added to a soln. of 4.6 g. Na in 120 cc. alc. and the mixt. is refluxed 6 hrs. to give 26 g. I (R = Me, R' = CO2Et, X = H) (III), m. 208.degree.C. (H2O). Similarly prepd. are the following I (X, R, R1, and m.p. given): MeS, Me, CO2Et, 214.degree.C. (50% HOAc); NH2, Me, CO2Et, >300.degree.C. (H2O); MeS, H, CO2Et, 209-10.degree.C. (H2O). III (26 g.) in 150 cc. 10% NaOH is refluxed 1 hr. to give 21 g. I (X = H, R = Me, R' = CO2H) (IV), m. 228-9.degree.C. (H2O). Similarly prepd. are I (X = MeS, R = Me, R' = CO2H), m. 236.degree.C. (H2O) and I (X = NH2, R = Me, R' = CO2H), m. 360.degree.C. IV (5 g.) is heated in vacuo at 280.degree.C. to give 3 g. I((X = R' = H, R = Me),m. 266-7.degree.C. (H2O). Similarly prepd. are I (R1 = H, X = MeS, R = Me), m. 280-1.degree.C. (H2O) and I(R1 = H, X = NH2, R = Me), m. 357.degree.C. (H2O). A high-speed Ag bromoiodide emulsion contg. 1.6 g. IV/mole Ag is incubated 2 weeks at 120.degree.F., exposed, and processed to give relative speed 65, .gamma. 1.15, fog 0.14 as compared with 37, 0.67, and 0.61, resp., for the control.

IT **3043-82-1**, s-Triazolo[1,5-a]pyrimidine-6-carboxylic acid, 4,5-dihydro-7-methyl-2-(methylthio)-5-oxo-, ethyl ester
(prepn. of)

RN 3043-82-1 CAPLUS

CN s-Triazolo[1,5-a]pyrimidine-6-carboxylic acid, 4,5-dihydro-7-methyl-2-(methylthio)-5-oxo-, ethyl ester (7CI, 8CI) (CA INDEX NAME)



L3 ANSWER 100 OF 110 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1965:454706 CAPLUS
DOCUMENT NUMBER: 63:54706
ORIGINAL REFERENCE NO.: 63:9961h,9962a-c
TITLE: New tetrazaindene stabilizers
INVENTOR(S): Williams, Leslie A.
PATENT ASSIGNEE(S): Kodak Ltd.
SOURCE: 5 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

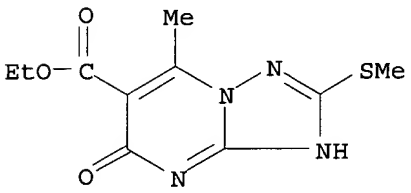
GB 999381		19650728	GB	19601111
US 3271401		1966	US	

AB Compds. of general formula I are made by condensing II and R3(R4O)C:C(CO2R4)2 (III) wherein R1 is H, alkyl, thiol, alkylthio, amino, alkylamino, morpholino, or piperidino, R2 is alkoxycarbonyl, R3 is H or alkyl, and R4 is alkyl. Both I and its 5-carboxy deriv. (made by heating I with an aq. alk. soln. of an alkali metal or NH4 salt, followed by acidification with a mineral acid) stabilize photographic emulsions against changes in speed and fog produced by storage. The compds. should be added at the rate of 0.02-2 g. mole AgX to achieve the best results. Thus, 5-ethoxycarbonyl-4-methyl-6-oxo-1,3,3a,7-tetrazaindene was prepd. by dissolving 4.6 g. Na in 120 ml. EtOH and adding to this soln. 16.8 g. 3-amino-1,2,4-triazole and 46 g. diethyl .alpha.-ethoxyethylidenemalonate and then refluxing 6 hrs. The soln. was chilled and acidified with HCl to ppt. the desired product. After recrystn. from H2O, 26 g. product was obtained, m. 208.degree.. Photographic tests of the compd. in AgBr and AgI emulsions showed improvements in speed and fog on initial testing and after 7 days dry incubation.

IT **3043-82-1**, s-Triazolo[1,5-a]pyrimidine-6-carboxylic acid, 4,5-dihydro-7-methyl-2-(methylthio)-5-oxo-, ethyl ester
(prepn. of)

RN 3043-82-1 CAPLUS

CN s-Triazolo[1,5-a]pyrimidine-6-carboxylic acid, 4,5-dihydro-7-methyl-2-(methylthio)-5-oxo-, ethyl ester (7CI, 8CI) (CA INDEX NAME)



L3 ANSWER 101 OF 110 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER:	1964:417673 CAPLUS
DOCUMENT NUMBER:	61:17673
ORIGINAL REFERENCE NO.:	61:2941g-h,2942a
TITLE:	Azaindolizine compounds. XVIII. Proton magnetic resonance spectra of s-triazolo-[1,5-a]pyrimidine and its derivatives
AUTHOR(S):	Makisumi, Yasuo; Watanabe, Haruyuki; Tori, Kazuo
CORPORATE SOURCE:	Shionogi Co. Ltd., Osaka, Japan
SOURCE:	Chem. Pharm. Bull. (Tokyo) (1964), 12(2), 204-12
DOCUMENT TYPE:	Journal
LANGUAGE:	Unavailable

GI For diagram(s), see printed CA Issue.

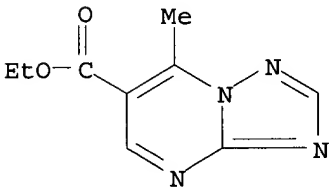
AB cf. CA 60, 5484g. Proton magnetic resonance spectra of 21 s-triazolo[1,5-a]pyrimidine derivs. were detd. The Me substituent effect on the proton chem. shifts and the correlation between the proton chem. shift and the local .pi.-electron density of the C atom to which the proton is bonded are discussed. The charge densities detd. from proton chem. shifts show a good correspondence with the charge distributions calcd. by the simple Hueckel mol. orbital method. Di-Et methylmalonate (17.4 g.) and 8.4 g. 5-amino-s-thiazole added to a soln. of 2.3 g. Na in 75 ml. abs. EtOH, and the stirred soln. refluxed 8 hrs. gave 5.9 g. 6-methyl-s-triazolo[1,5-a]pyrimidine-5,7-diol (I), decompd. 279.degree. (60% EtOH). I (5 g.) heated 4 hrs. with 30 ml. POCl3 at 100.degree. gave 5.35 g. 6-methyl-5,7-dichloro-s-triazolo[1,5-a]pyrimidine (II), m. 150-50.5.degree. (C6H6-ligroine). II (5 g.) in 200 ml. abs. EtOH

hydrogenated over Pd-C and NaOAc gave 2.1 g. 6-methyl-s-triazolo[1,5-a]pyrimidine, m. 157-8.degree. (C6H6-ligroine).

IT **90558-96-6**, s-Triazolo[1,5-a]pyrimidine-6-carboxylic acid, 7-methyl-, ethyl ester
(nuclear magnetic resonance of)

RN 90558-96-6 CAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidine-6-carboxylic acid, 7-methyl-, ethyl ester (9CI) (CA INDEX NAME)



L3 ANSWER 102 OF 110 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1964:3162 CAPLUS

DOCUMENT NUMBER: 60:3162

ORIGINAL REFERENCE NO.: 60:523e-g

TITLE: Condensed heterocycles. IV. Condensation of 3-amino-1,2,4-triazoles with diaceto- and dipropionitriles

AUTHOR(S): Levin, Ya. A.; Kukhtin, V. A.

CORPORATE SOURCE: Cine-Photo Res. Inst., Kazan

SOURCE: Zh. Obshch. Khim. (1963), 33(8), 2678-82

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

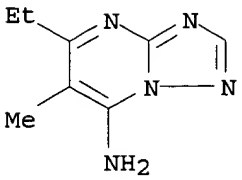
GI For diagram(s), see printed CA Issue.

AB Heating 3-amino-5-substituted 1,2,4-triazoles with substituted .beta.-aminoacrylonitriles 30-40 min at 155-200.degree. gave (Ia) (R, R', R'' % yield, and m.p. shown, resp.): H Me, H (I), 84, 246-7.degree. (picrate decompd. 212-14.degree.); Pr, Me, H, 61, 180-1.degree.; C6H13, Me, H, 56, 128-30.degree.; H, Et, Me (II), 72, 262-3.degree.; Pr, Et, Me, 51, 225-6.degree.. I refluxed with Ac2O in C5H5N gave the Ac deriv., m. 230.degree.; similarly was prepd. Ac deriv. of II, m. 1402.degree., purified on Al2O3 in C6H6. I and tosyl chloride gave 75% ptoluenesulfonamido analog, decompd. 283-5.degree. (.lambda. 304 m.mu.). Treated with Br vapors at 60.degree. in H2O, I gave 88% 4-imino-5bromo-6-methyt-1,2,4-triazolo[2,3-a]pyrimidine, decompd. 2457.degree. (.lambda. 261 and 298 m.mu.). I and aq. I-KI in the presence of K2CO3 at 70-80.degree. gave 4-amino-6-methyl-5-iodo-1,2,4-triazolo[2,3-a]pyrimidine, decompd. 233-5.degree. (.lambda. 260 and 300 m.mu.). 4-Chloro-5-hexyl-6-methyl-1,2,4-triazolo[2,3-a]pyrimidine, m. 412.degree., formed in 82% yield from the 4-oxo analog by refluxing in POCl3 3 hrs. Treated with NH3 in EtOH at 0.degree., then heated 3 hrs. in an ampul at 100.degree., this gave 83% 4-amino-5-hexyl-6methyl-1,2,4-triazolo[2,3-a]pyrimidine, m. 230-1.degree., which could not be prepd. by the above condensation of aminotriazole with dipropionitrile even at 230.degree.. I and concd. HCl in 5 hrs. at 140.degree. in a sealed tube gave 3-amino-1,2,4-triazole, isolated as the picrate, decompd. 228-30.degree.. Ultraviolet spectra of Ia are shown.

IT **90085-15-7**, s-Triazolo[1,5-a]pyrimidine, 7-amino-5-ethyl-6-methyl-
(prepn. of)

RN 90085-15-7 CAPLUS

CN s-Triazolo[1,5-a]pyrimidine, 7-amino-5-ethyl-6-methyl- (7CI) (CA INDEX NAME)



L3 ANSWER 103 OF 110 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1963:415673 CAPLUS
DOCUMENT NUMBER: 59:15673
ORIGINAL REFERENCE NO.: 59:2834c-g
TITLE: Mercapto tetrazaindenes in photographic silver halide emulsions
INVENTOR(S): Knott, Edward B.
PATENT ASSIGNEE(S): Kodak Ltd.
SOURCE: 23 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
PATENT INFORMATION:

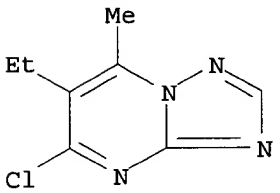
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 893428		19620411	GB	19570409

GI For diagram(s), see printed CA Issue.

AB Prepns. are given for substituted 1,3,3a,7-tetrazaindene-4-thiols (I) and 1,2,3a,4-tetrazaindene-7-thiols (II), in which R1 is alkyl, aryl or aralkyl, R2 is R1, H, or alkylthio, R3 is H or halogen and R4 is H or alkyl. Incorporated into a photographic emulsion in concns. of 0.03-0.15 g./mole AgX I and II act as antiplumming agents; prints and transparencies processed in a non-coupling developer soln. show increased reflection density and (or) contrast. 4-Hydroxy-6-methyl-1,3,3a,7-tetrazaindene (III) (34 g.), 35 ml. PhNMe2, and 100 ml. POCl3 heated at 125.degree. 1 hr. followed by concn. and treatment with ice water gives a 73% yield of its 4-Cl analog (IV), m. 151.degree.. IV (3.75 g.) and 1.7 g. thiourea refluxed in 20 ml. MeOH 3 min. gives 86.5% I (R1 = Me, R2 = R4 = H, R3 = SH), yellow, m. 278-9.degree. (decompn.). The 6-Ph analog (V) of III converted to its 4-Cl deriv., m. 282.degree., similarly gives I (R1 = Ph, R2 = R4 = H, R3 = SH), yellow, m.282.degree.. V brominated in HOAc gives its 5-Br deriv., m. 269.degree., which is converted as above to I (R1 = Me, R2 = H, R3 = SH, R4 = Br), yellow, m. 215.degree.. 3-Amino-5-methyl-1,2,4-triazole (47 g.) and 65.7 g. Et acetoacetate refluxed in 200 ml. HOAc 3 hrs. gives the 2-methyl deriv. of III, m. 307.degree. which is converted to its 4-Cl analog, m. 148.degree. and then to I (R1 = R2 = Me, R3 = SH, R4 = H), yellow, m. 286.degree. (decompn.). The 2-methylthio deriv. of III converted to its 4-Cl deriv., m. 113-16.degree., gives I (R1 = Me, R2 = SMe, R3 = SH, R4 = H), yellow, m. 265.degree.. 3-Amino-1,2,4-triazole (VI) (50 g.), 100 g. Et .alpha.-ethylacetoacetate in 250 ml. HOAc gives the 5-ethyl deriv. (VII) of III, m. 275.degree. which is converted to its 4-Cl analog, m. 132.degree., and then to I (R1 = Me, R2 = H, R3 = SH, R4 = Et), yellow, m. 270-3.degree.. VI and Et .alpha.-isobutylacetoacetate gives the 5-iso-Bu analog of VII, m. 258.degree. which is converted to I (R1 = Me, R2 = H, R3 = SH, R4 = iso-Bu), m. 270.degree. (decompn.). Et benzoylacetate (96 g.) fused with 42 g. 1-amino-1,3,4-triazine (VIII) at 175.degree. 1 hr. and poured into EtOH gives 21 g. 5-phenyl-7-hydroxy-1,2,3a,4-tetrazaindene (IX), m. 284-5.degree. which is converted to its 7-Cl deriv., m. 214.degree. and then to II (R1 = Ph, R2 = H, R3 = SH), m. 173.degree. by means of alk. H2S. VIII and Et .alpha.-ethylacetoacetate gives the 6-ethyl-5-methyl analog of IX which is converted to its 7-Cl deriv., m. 112.degree., and then to II (R1 = Me, R2 = Et, R3 = SH),m.238.degree.

09/ 895,975

IT **89981-48-6**, s-Triazolo[1,5-a]pyrimidine, 5-chloro-6-ethyl-7-methyl- (prepn. of)
RN 89981-48-6 CAPLUS
CN s-Triazolo[1,5-a]pyrimidine, 5-chloro-6-ethyl-7-methyl- (7CI) (CA INDEX NAME)



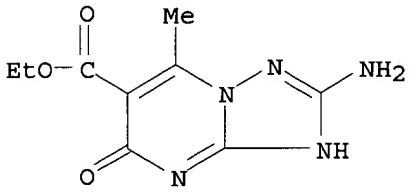
L3 ANSWER 104 OF 110 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1963:53282 CAPLUS
DOCUMENT NUMBER: 58:53282
ORIGINAL REFERENCE NO.: 58:9077b-h
TITLE: The structure of certain polyazaindenes. X. The reaction of ethyl .alpha.-cyano(and .alpha.-ethoxycarbonyl)-.beta.-ethoxyacrylate and -.beta.-ethoxycrotonate with some .alpha.-amino azoles
AUTHOR(S): Williams, L. A.
CORPORATE SOURCE: Kodak Ltd., Harrow, UK
SOURCE: J. Chem. Soc. (1962) 2222-8
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
GI For diagram(s), see printed CA Issue.
AB cf. CA 57, 16613g. A series of new heterocyclic compds. was prepd. by the reaction of EtOCH:C(CN)CO2Et (I), EtOCH:C(CO2Et)2 (II), and EtOCMe:C(CN)CO2Et (III) with derivs. of 3-amino-1,2,4-triazole (IV) and 2-aminoimidazoline (V). Va [R = CH:C(CO2Et)2, R1 = H] (VI), m. 180-1.degree., was prepd. in 11.5% yield by the method of Heimbach and Kelly (U.S. 2,449,225, CA 43, 52i). Va [R = CH(OEt)CH(CO2Et)2, R1 = MeS] (VII), m. 108-9.degree. (aq. EtOH), was prepd. by the same method from 13 g. 5-MeS deriv. (VIII) of IV. VI (1 g.) refluxed 2.5 hrs. in 10 cc. AcOH yielded 0.4 g. VIIIa (R = R1 = H, R2 = CO2Et) (IX), m. 252-4.degree. (H2O). VII (1 g.) gave similarly 0.2 g. VIIIa (R = SMe, R1 = H, R2 = CO2Et) (X), m. 309-10.degree. (AcOH). VIII (13 g.) and 21.6 g. II refluxed overnight with 2.3 g. Na in 60 cc. EtOH, the mixt. dild. with 400 cc. H2O, boiled, acidified hot with HCl, and cooled gave X; the filtrate cooled several hrs. yielded 2 g. Et 6,7-dihydro-2-methylthio-6-oxo-1,3,3a,7-tetraazaindene-5-carboxylate, m. 209-10.degree. (H2O). I (8.4 g.) and 4.2 g. IV heated as 125-8.degree. yielded 10 g. Va (R = CH:C(CN)CO2Et, R1 = H) (XI), m. 202-4.degree. (70% aq. AcOH). I (4.2 g.) and 3.25 g. VIII yielded similarly 1.0 g. 5-MeS deriv. (XII) of XI, m. 184-6.degree. (50% aq. AcOH). I (4.2 g.) and 2.1 g. IV refluxed 3 hrs. in 50 cc. AcOH yielded 1.8 g. XIIa (R = H) (XIII), m. 224-5.degree. (H2O). XI refluxed in AcOH (10 cc./g.) 45 min. also gave XIII. I (4.2 g.) and 3.25 g. VIII in 30 cc. AcOH refluxed 3 hrs., the mixt. cooled, dild. with 30 cc. Et2O, and filtered yielded 3.9 g. XIIa (R = MeS) (XIV), m. 214-16.degree. (aq. AcOH). XII (0.5 g.) refluxed 45 min. in 5 cc. AcOH yielded 0.25 g. XIV. IV (8.4 g.) and 16.9 g. I refluxed with 2.3 g. Na in 60 cc. EtOH to soln., the soln. dild. with 100 cc. H2O, warmed on the steam bath to soln., acidified, and cooled, and the ppt. repptd. from aq. Na2CO3 with CO2 yielded 2 g. VIIIa (R = R1 = H, R2 = CN) (XV), m. 305-7.degree. (H2O); the filtrate acidified with HCl yielded an addnl. 1.5 g. XV. VIII (6.5 g.), 8.45 g. I, and 1.15 g. Na in 60 cc. EtOH refluxed 1.5 hrs., the mixt. dild. with 60 cc. H2O, acidified with HCl, and filtered gave 4 g. mixt. which heated with 8 g. Na2CO3 in 60 cc. H2O at

50-60.degree., and filtered yielded 2.1 g. XIV; the filtrate cooled, filtered, and acidified with HCl yielded 1.7 g. VIIIa (R = SMe, R1 = H, R2 = CN), m. 318-20.degree. (50% AcOH). XI (2.5 g.) in 25 cc. 12% ag. NaOH heated 3 min. on the steam bath, the mixt. cooled, and acidified with dil. HCl gave 0.40 g. free acid of XIII, m. 292-3.degree. (75% AcOH). XI (2.9 g.) refluxed 2 hrs. with 0.32 g. Na in 20 cc. EtOH, the mixt. dild. with H2O, kept 1.5-2 hrs. at room temp., and filtered yielded 1.3 g. XIII; the filtrate acidified and evapd. gave 0.3 g. XV. III (18.3 g.) and 8.4 g. IV in 60 cc. AcOH refluxed 6 hrs. and cooled yielded 10 g. IV salt, m. 275-7.degree. (H2O), of VIIIa. (R = H, R1 = Me, R2 = CN) (XVI); the salt in H2O acidified yielded XVI, m. 301-2.degree.. VIII (13.0 g.) and 18.3 g. III gave similarly 4.5 g. 2-MeS deriv. of XVI, m. 300.degree. (decompn.) (H2O). I(8 g.) and 4 g. V carbonate heated at 130.degree. and cooled gave 2.0 g. 5-cyano-1,2,4,7-tetrahydro-4-oxo-1,3a,7-triazaindene (XVII), m. 297.degree. (H2O). III (4.3 g.) and 2 g. V carbonate gave similarly 1.5 g. 6-Me deriv. of XVII, m. 336.degree. (aq. EtOH).

IT **3043-84-3**, s-Triazolo[1,5-a]pyrimidine-6-carboxylic acid, 2-amino-4,5-dihydro-7-methyl-5-oxo-, ethyl ester
(prepn. of)

RN 3043-84-3 CAPLUS

CN s-Triazolo[1,5-a]pyrimidine-6-carboxylic acid, 2-amino-4,5-dihydro-7-methyl-5-oxo-, ethyl ester (7CI, 8CI) (CA INDEX NAME)



L3 ANSWER 105 OF 110 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1962:483258 CAPLUS

DOCUMENT NUMBER: 57:83258

ORIGINAL REFERENCE NO.: 57:16613g-i,16614a-b

TITLE: Certain heterocyclic derivatives of phenethylamine

AUTHOR(S): Biniecki, Stanislaw; Gora, Danuta; Moll, Maria; Rylski, Leszek; Gogolimska, Barbara; Kurowska, Hanna; Pindor, Elzbieta

CORPORATE SOURCE: Akad. Med., Warsaw

SOURCE: Acta Polon. Pharm. (1961), 18, 261-8

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

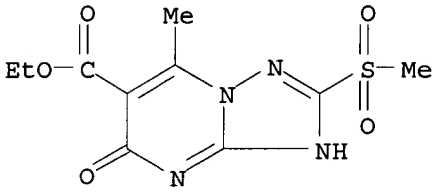
AB Phthalaldehydic acid (10 g.) with hydrazine sulfate gave 9.7 g. 1-phthalazinone (I), m. 183-4.degree.. I treated with POCl3 yielded 72.3% 1-chlorophthalazine (II), m. 109-11.degree.. II (3.5 g.) heated 4.5 hrs. on a water-bath with 14 g. PhCH2CH2NH2 (III), the excess III distd. (8 g.), the residue dissolved in 40 ml. EtOH, and the soln. treated with 130 ml. H2O yielded 4.7 g. yellow 1-phenethylaminophthalazine (IV), m. 151-2.degree.; the HCl salt m. 93-4.degree. and formed a stable sesquihydrate, m. 91-5.degree.. 1,4-Phthalazinedione (11.5 g.) (Drew and Hatt, CA 31, 21884) and 60 g. PCl5 gave 13.5 g. 1,4-dichlorophthalazine (V), m. 164.degree.. V (3 g.) heated 1 hr. at 120.degree. with exclusion of moisture with 3.6 g. III, the mixt. treated while cool with 30 ml. MeOH, and left several days at room temp. yielded 2 g. 1-phenethylamino-4-chlorophthalazine (VI), m. 192-4.degree. (MeOH); the yield was slightly lower when 2.17 g. V, 2.61 g. III, and 10 ml. MeOH was left at room temp. over 3 weeks without being previously heated. The HCl salt of VI m. 243-4.degree. and formed a stable hydrate, m. 185-9.degree.. V (3 g.), 3.6 g. III, and 25 ml. MeOH refluxed 2.5 hrs. yielded 1.78 g.

1-chloro-4-hydroxyphthalazine, m. 271-2.degree.. 4-Quinazolinone (10 g.) (prepd. from anthranilic acid and HCONH2 in 49% yield) refluxed 1 hr. with 20 g. PCl5 and 40 ml. POCl3, the excess POCl3 distd., and the residue extd. with C6H6 yielded 5.5 g. 4-chloroquinazoline (VII), m. 95-6.degree. (petr. ether). VII (4 g.) treated dropwise under cooling with 5.8 g. III, the mixt. heated until it became clear, treated with 22 ml. H2O, and the ppt. dissolved in 78 ml. EtOH and repptd. by adding 150 ml. H2O gave 4.2 g. 4-phenethylaminoquinazoline (VIII), m. 167-71.degree.; the HCl salt m. 183-6.degree. (sealed capillary) and formed a stable hydrate; the picrate m. 192-4.degree.. IV and VIII revealed spasmolytic activity similar to that of papaverine.

IT **90871-26-4**, s-Triazolo[1,5-a]pyrimidine-6-carboxylic acid, 4,5-dihydro-7-methyl-2-(methylsulfonyl)-5-oxo-, ethyl ester
(prepn. of)

RN 90871-26-4 CAPLUS

CN s-Triazolo[1,5-a]pyrimidine-6-carboxylic acid, 4,5-dihydro-7-methyl-2-(methylsulfonyl)-5-oxo-, ethyl ester (7CI) (CA INDEX NAME)



L3 ANSWER 106 OF 110 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1962:483257 CAPLUS

DOCUMENT NUMBER: 57:83257

ORIGINAL REFERENCE NO.: 57:16613g

TITLE: The structure of certain polyazaindenes. XI. The preparation of 2- and 3-alkylsulfonyl- and -hydroxytetraazaindenes

AUTHOR(S): Williams, L. A.

CORPORATE SOURCE: Kodak Lab., Harrow, UK

SOURCE: J. Chem. Soc. (1962) 3854-8

DOCUMENT TYPE: Journal

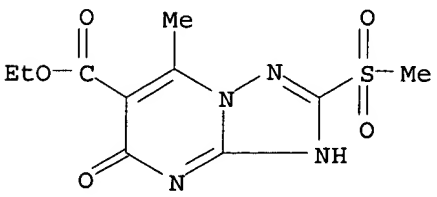
LANGUAGE: Unavailable

AB cf. ibid. 2222; CA 56, 10139e. 2- and 3-Alkylthiotetraazaindenes gives rise to sulfones on oxidn. with hydrogen peroxide in acetic acid. These sulfones are converted into the hydroxy or alkoxy derivs. by hot aq. sodium hydroxide or alc. sodium alkoxides.

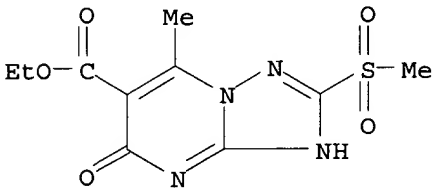
IT **90871-26-4**, s-Triazolo[1,5-a]pyrimidine-6-carboxylic acid, 4,5-dihydro-7-methyl-2-(methylsulfonyl)-5-oxo-, ethyl ester
(prepn. of)

RN 90871-26-4 CAPLUS

CN s-Triazolo[1,5-a]pyrimidine-6-carboxylic acid, 4,5-dihydro-7-methyl-2-(methylsulfonyl)-5-oxo-, ethyl ester (7CI) (CA INDEX NAME)



L3 ANSWER 107 OF 110 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1962:483256 CAPLUS
DOCUMENT NUMBER: 57:83256
ORIGINAL REFERENCE NO.: 57:16613e-g
TITLE: Pyrimidines. X. Antibiotics. 2. Synthesis of bacimethrin, 2-methoxy analog of thiamine, and related alkoxy-pyrimidines
AUTHOR(S): Koppel, Henry C.; Springer, Robert H.; Robins, Roland K.; Cheng, C. C.
CORPORATE SOURCE: Midwest Res. Inst., Kansas City, MO
SOURCE: J. Org. Chem. (1962), 27, 3614-17
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB cf. CA 57, 5914h, 11198b. The proposed structure for the antibiotic bacimethrin has been confirmed synthetically as 4-amino-5-hydroxymethyl-2-methoxypyrimidine. The 2-methoxy analog of thiamine has been prepd. from the synthetic bacimethrin. Several reactions indicating the effect of a substituent group in the 5 position of a pyrimidine ring on the case of nucleophilic replacement of a 2-alkylsulfonyl group have been reported.
IT **90871-26-4**, s-Triazolo[1,5-a]pyrimidine-6-carboxylic acid, 4,5-dihydro-7-methyl-2-(methylsulfonyl)-5-oxo-, ethyl ester
(prepn. of)
RN 90871-26-4 CAPLUS
CN s-Triazolo[1,5-a]pyrimidine-6-carboxylic acid, 4,5-dihydro-7-methyl-2-(methylsulfonyl)-5-oxo-, ethyl ester (7CI) (CA INDEX NAME)



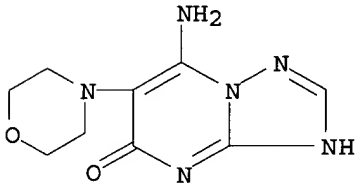
L3 ANSWER 108 OF 110 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1962:483245 CAPLUS
DOCUMENT NUMBER: 57:83245
ORIGINAL REFERENCE NO.: 57:16607e-h
TITLE: Synthesis of potential anticancer agents. VI. Reactivity of 6-bromo-s-triazolo[2,3-a]pyrimidines
AUTHOR(S): Makisumi, Yasuo
CORPORATE SOURCE: Shionogi & Co., Osaka
SOURCE: Chem. Pharm. Bull. (Tokyo) (1961), 9, 814-17
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB The possible activation of the generally inactive Br at the 6-position of s-triazolo[2,3-a]pyrimidine (I) by adjacent groups capable of tautomerism was realized by refluxing 3-4 hrs. the 6,5,7-Br(HO)(H2N) deriv. (II) of I and the 6,5,7-Br(HO)2 deriv. (III) of I with piperidine (IV) and morpholine (V) at the b.ps. of IV and V, resp., to give the corresponding 6-piperidino (VI and VII) and 6-morpholino (VIII and IX) compds. (wt. II or III, wt. IV or V, yield and m.p. product given): 1 g. II, 2 g. IV, 0.8 g. VI, 259.5.degree. (decompn.); 0.5 g. II, 1 g. V, 0.4 g. VIII, 309.degree. (decompn.); 1 g. III, 2 g. IV, 0.9 g. VII, 320-1.degree. (decompn.); and 1.1 g. III, 2.2 g. V, 1 g. IX, 295.degree. (decompn.). III (0.6 g.) refluxed 30 min. in EtOH with 0.2 g. SC(NH2)2 yielded 0.47 g. corresponding 6-[HN:C(NH2)S] compd. (X), m. above 320.degree., and this (0.5 g.) heated 30 min. on a water bath with 5 cc. N NaOH, the filtrate from the hot mixt. pptd. with EtOH, and the resulting Na salt dissolved in

H2O and acidified with HCl yielded 0.3 g. bis(5,7-dihydroxy-s-triazolo[2,3-a]pyrimidin-6-yl) disulfide (XI), m. 234-5.degree. (decompn.), formed also (0.6 g.) by refluxing 1.1 g. III 3 hrs. on a water bath with 0.38 g. SC(NH2)2 in the presence of 1% NaOH. Polarography of XI confirmed the disulfide linkage. However, 0.6 g. II refluxed 5 hrs. with 0.2 g. SC-(NH2)2 in EtOH failed to give a compd. corresponding to X, but yielded free S and 0.23 g. known 5,7-HO(H2N) deriv. (XII) of I, m. above 320.degree., whereas in the presence of 10% NaOH the heated mixt. of 1.2 g. II with 0.4 g. SC(NH2)2 in H2O yielded 0.1 g. bis(5-hydroxy-7-amino-s-triazolo[2,3-a]pyrimidin-6-yl) sulfide, m. above 320.degree., together with 0.4 g. XII.

IT **90563-43-2**, s-Triazolo[1,5-a]pyrimidin-5-ol, 7-amino-6-morpholino-
(prepn. of)

RN 90563-43-2 CAPLUS

CN s-Triazolo[1,5-a]pyrimidin-5-ol, 7-amino-6-morpholino- (7CI) (CA INDEX NAME)



L3 ANSWER 109 OF 110 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1962:408954 CAPLUS

DOCUMENT NUMBER: 57:8954

ORIGINAL REFERENCE NO.: 57:1791c-h

TITLE: Tetraazaindene derivatives as photographic stabilizers

INVENTOR(S): Anon.

PATENT ASSIGNEE(S): Kodak Soc.

DOCUMENT TYPE: Patent

LANGUAGE: Unavailable

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
BE 610096		19611130	BE	
PRIORITY APPLN. INFO.:			GB	19601111

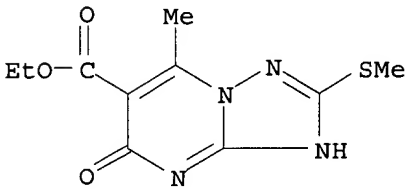
AB Colorless 5-ethoxycarbonyl-4-methyl-6-oxo-1,3,3a,7-tetraazaindene (I), m. 208.degree. (H2O), was prepd. in 26-g. yield by refluxing for 6 hrs. a mixt. of 4.6 g.Na in 120 cc. EtOH, 16.8 g. 3-amino-1,2,4-triazole (Ia) and 46 g. di-Et .alpha.-ethoxyethylidenemalonate (Ib), dilg. with 120 cc. H2O before cooling and adding concd. HCl. The corresponding 5-carboxy deriv. (II), m. 228-9.degree. (H2O) with gas evolution, was prepd. in 21 g. yield by refluxing for 1 hr. 26 g. I in 150 cc. 10% aq. NaOH and adding concd. HCl after cooling. 4-Methyl-6-oxo-1,3,3a,7-tetraazaindene, colorless plates, m. 266-7.degree. (H2O), was obtained in 3 g. yield by sublimation of 5 g. II heated at 280.degree. in vacuo. 5-Ethoxycarbonyl-4 - methyl- 2 - methylthio - 6 - oxo - 1,3,3a,7- tetraazaindene (III), colorless needles, m. 214.degree. (50% AcOH), was prepd. by refluxing to complete solidification (11/2 hrs.) a mixt. of 2.3 g. Na in 60 cc. EtOH, 23 g. Ib, and 13 g. 3-amino-5-methylthio-1,2,4-triazole. The corresponding 5-carboxy deriv., m. 236.degree. (H2O), was obtained in 1.5 g. yield from 2.2 g. ester, and the decarboxylated product, m. 280-1.degree., was obtained by sublimation. 2-Amino-5-ethoxycar-bonyl-4-methyl-6-oxo-1,3,3a,7-tetraazaindene, m. >300.degree. (H2O), was prepd. in 5-g. yield from 9.9 g. 2,5-diamino-1,2,4- triazole and 23 g. Ib; sapon. of 4 g. ester yielded 2.5 g. car-boxy deriv., m. 360.degree., and sublimation of 1.5 g.

product yielded 1 g. 2-amino-4-methyl-6-oxo-1,3,3a,7-tetraazain-dene, m. 357.degree. (II2O). 5-Ethoxycarbonyl-2-methylthio-6-oxo-1,3,3a,7-tetraazindene was similarly prepd., but the 1st crystals pptd. (4-oxo isomer) were removed and cooling to 4.degree. gave 2 g. colorless product, m. 209-10.degree. (H2O). I was alternatively prepd. by refluxing for 16 hrs. 4.2 g. Ia and 11.5 g. Et 2-ethoxy-1-ethoxycarbonyl crotonate in 30 cc. pyridine, cooling, and stirring with 90 cc. Et2O to ppt. the 4-oxo isomer as the pyridinium salt, then the 6-oxo isomer by cooling. Ib, b2 96-8.degree., m. 25-7.degree., was prepd. in 180-g. yield by heating progressively (30-45 min.) a mixt. of 324 g. MeC(OEt)3, 320 g. Et malonate, and 5.6 g. anhyd. KOH to 170.degree. with simultaneous distn. of EtOH; after 4 hrs. (temp. of the oil bath 205.degree.), 200 cc. EtOH was collected, the mixt. was cooled to 80.degree., distd. at 96 and 130.degree. at 2 mm., then fractionated. The 6-oxotetraazaindene derivs. were used as stabilizers and antifogging agents for photographic emul-sions. For example, the relative sensitivity, gamma, and fogging values (a) initially (b) after 1-2 weeks in an oven at 50.degree., are: blank (a) 100, 1.13, 0.11, (b) 37, 0.67, 0.61; with II 1.6 g./g. atom Ag (a) 68, 1.42, 0.08, (b) 65, 1.15, 0.14; blank (a) 100, 1.17, 0.15, (b) 82, 1.00, 0.33; with III 0.15 g./g. atom Ag, (a) 102, 1.27, 0.12, (b) 100, 1.15, 0.14.

IT **3043-82-1**, s-Triazolo[1,5-a]pyrimidine-6-carboxylic acid, 4,5-dihydro-7-methyl-2-(methylthio)-5-oxo-, ethyl ester
(prepn. of)

RN 3043-82-1 CAPLUS

CN s-Triazolo[1,5-a]pyrimidine-6-carboxylic acid, 4,5-dihydro-7-methyl-2-(methylthio)-5-oxo-, ethyl ester (7CI, 8CI) (CA INDEX NAME)



L3 ANSWER 110 OF 110 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1962:18317 CAPLUS

DOCUMENT NUMBER: 56:18317

ORIGINAL REFERENCE NO.: 56:3473h-i,3474a-f

TITLE: Structure of certain polyazaindenes. VIII. Tetraazaindenes derived from the reaction of ethyl .beta.-ethoxy-.alpha.ethoxycarbonylcrotonate with 3-amino-1,2,4-triazoles

AUTHOR(S): Williams, L. A.

CORPORATE SOURCE: Kodak Ltd., Harrow, UK

SOURCE: J. Chem. Soc. (1961) 3046-52

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

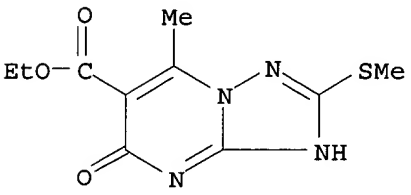
AB cf. CA 55, 1875lb.--The reactions of Et .beta. ethoxy-.alpha.-ethoxycarbonylcrotonate (I) with 3-amino-1,2,4triazoles could occur by 2 different routes, depending on the basicity of the medium. A no. of tetraazaindenes were prepd. by this reaction and their spectra compared. Et orthoacetate (324 g.), 320 g. Et malonate, and 2.3 g. Na in 60 cc. alc. heated, the temp. raised in 30-45 min. to 170.degree., the alc. collected, heating continued, after 4 hrs., distn. discontinued, and the mixt. cooled and distd. in vacuo gave 220 g. I, m. 25-7.degree., n20D 1.463. 3-Amino-1,2,4-triazole (II) (4.2 g.) and 11.5 g. I refluxed 16 hrs. in 30 cc. C5H5N, shaken 2 min. with 90 cc. Et2O, the pyridinium salt sepd., the soln. acidified, and the solid crystd. gave 2 g. Et 4,7-dihydro-6methyl-4-

oxo-1,3,3a,7-tetraazaindene-5-carboxylate (III), m. 175.degree. (H2O). II (21 g.) and 57.5 g. I heated 3 hrs. in 40 cc. AcOH gave 23 g. III. The ether filtrate obtained above afforded 3 g. Et 6,7-dihydro-4-methyl-6-oxo-1,3,3a,7-tetra azaindene-5-carboxylate (IV), m. 208.degree. (H2O). The above reaction was repeated with 5 g. NEt3; the mixt. refluxed overnight gave 4 g. IV. Na (4.6 g.) in 120 cc. alc. refluxed 6 hrs. with 16.8 g. II and 46 g. I gave 26 g. IV. III (2 g.) refluxed 1 hr. in 20 cc. 10% NaOH, acidified, and the acid recrystd. gave 1 g. 4,7-dihydro-6-methyl-4-oxo-1,3,3a,7tetraazaindene-5-carboxylic acid, m. 212.degree., with evolution of CO2, resolidified, m. 278.degree. (gas evolution). IV (26 g.) hydrolyzed as above gave 21 g. 6,7-dihydro-4-methyl-6-oxo 1,3,3a,7-tetraazaindene-5-carboxylic acid (V), m. 228-9.degree. (evolution of CO2), resolidified, m. 266-7.degree.. V (5 g.) melted under vacuum at 280.degree. gave 3 g. 6,7-dihydro-4-methyl-6oxo-1,3,3a,7-tetraazaindene (VI), plates, m. 266-7. Et .beta.-ethoxycrotonate (VII) (15.8 g.) added to 2.3 g. Na in 100 cc. alc., then 8.4 g. II and the mixt. refluxed 24 hrs. and acidified gave 2.2 g. VI. VII (15.8 g.) and 8.4 g. II refluxed 4 hrs. in 100 cc. AcOH gave 10 g. 6,7-dihydro-4-oxo-6methyl-1,3,3a,7-tetraazaindene, m. 278.degree. (H2O). Na (2.3 g.) refluxed 1.5 hrs. with 60 cc. alc., 23 g. I and 13 g. 3-amino-5methylthio-1,2,4-triazole (VIIa), the mixt. acidified, and the product crystd. gave 12 g. Et 6,7-dihydro-4-methyl-2-methylthio-6-oxo-1,3,3a,7-tetraazaindene-5-carboxylate (VIII), m. 214.degree. (50% AcOH). VIII was hydrolyzed as above to the acid (IX), m. 235.degree. (H2O). IX heated under vacuum until the evolution of CO2 ceased gave 6,7-dihydro-4-methyl-2methylthio-6-oxo-1,3,3a,7-tetraazaindene, m. 280-1.degree. (H2O). VIIa (3.8 g.) and 6.8 g. I refluxed 4 hrs. in 30 cc. C5H5N, Et2O added, the pyridinium salt removed, and the filtrate acidified gave 1 g. Et 4,7-dihydro-6-methyl-2-methylthio-4oxo-1,3,3a,7-tetraazaindene-5-carboxylate, m. 238.degree. (H2O). 3,5-Diamino-1,2,4-triazole (9.9 g.) and 23.0 g. I refluxed in 60 cc. alc. contg. 2.3 g. Na, after 3-3.5 hrs. dild. with H2O, and acidified gave 5 g. Et 2-amino-6,7-dihydro-4-methyl-6oxo-1,3,3a,7-tetraazaindene-5-carboxylate (X), m. above 300.degree. (H2O). Hydrolysis of X gave the acid (XI), m. above 360.degree.. XI (1.5 g.) heated under vacuum until all had sublimed gave 0.9 g. 2-amino-6,7-dihydro-4-methyl-6-oxo-1,3,3a,7-tetraazaindene, m. 357.degree. (H2O). Ultraviolet spectra were given for some of the compds.

IT **3043-82-1**, s-Triazolo[1,5-a]pyrimidine-6-carboxylic acid, 4,5-dihydro-7-methyl-2-(methylthio)-5-oxo-, ethyl ester
(prepn. of)

RN 3043-82-1 CAPLUS

CN s-Triazolo[1,5-a]pyrimidine-6-carboxylic acid, 4,5-dihydro-7-methyl-2-(methylthio)-5-oxo-, ethyl ester (7CI, 8CI) (CA INDEX NAME)



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